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FILE 'HOME' ENTERED AT 08:55:51 ON 09 OCT 2003

FILE 'REGISTRY' ENTERED AT 08:56:00 ON 09 OCT 2003
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STRUCTURE FILE UPDATES: 7 OCT 2003 HIGHEST RN 600637-01-2
DICTIONARY FILE UPDATES: 7 OCT 2003 HIGHEST RN 600637-01-2

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

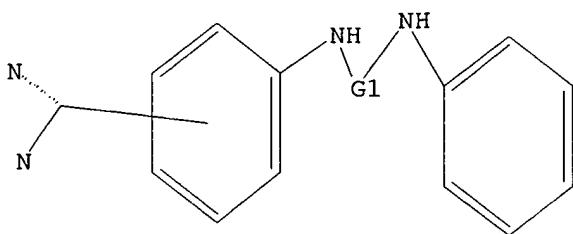
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>
Uploading 10083008.str

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 ST



G1 C, S

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 08:56:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1068 TO ITERATE

93.6% PROCESSED    1000 ITERATIONS          7 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
                        BATCH **COMPLETE**
PROJECTED ITERATIONS:      19400 TO     23320
PROJECTED ANSWERS:          7 TO       313

L2           7 SEA SSS SAM L1

=> s 11 sss full
FULL SEARCH INITIATED 08:56:27 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 21344 TO ITERATE

100.0% PROCESSED    21344 ITERATIONS          185 ANSWERS
SEARCH TIME: 00.00.01

L3           185 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY          SESSION
FULL ESTIMATED COST          148.15         148.36

FILE 'CAPLUS' ENTERED AT 08:56:34 ON 09 OCT 2003
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FILE COVERS 1907 - 9 Oct 2003 VOL 139 ISS 15
FILE LAST UPDATED: 8 Oct 2003 (20031008/ED)

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s 13
L4           84 L3
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10/083,008

Page 4

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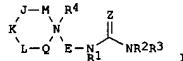
Habte

10/09/2003

L4 ANSWER 1 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:622568 CAPLUS
 DOCUMENT NUMBER: 139:164710
 TITLE: Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.
 INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Co., USA
 SOURCE: U.S., 145 pp., Cont.-in-part of U.S. Ser. No. 465,286, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6605623	B1	20030812	US 2000-598821	20000621
US 631541	B1	20011218	US 1999-465288	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
WO 2001098269	A2	20011227	WO 2001-US19745	20010620
WO 2001098269	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZB, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
PRIORITY APPLN. INFO.:				
			US 1998-112717P	P 19981218
			US 1999-161243P	P 19991022
			US 1999-465286	B2 19991217
			US 1999-161222P	P 19991022
			US 1999-465288	A3 19991217
			US 2000-213051P	P 20000621
			US 2000-598821	A 20000621

OTHER SOURCE(S): MARPAT 139:164710
 GI



AB [Title compds. I; M = CH₂, CHR₅, CHR₁₃, CR13R13, CR5R13; Q = CH₂, CHR₅, CHR₁₃, CR13R13, CR5R13; J, L = CH₂, CHR₅, CR6R6, CR5R6; Z = O, S; M = CH₂, CHR₅, CHR₁₃, CR13R13, CR5R13; K = CHR₅, CR5R6; Z = O, S; E =

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003:492708 CAPLUS
 DOCUMENT NUMBER: 139:69058
 TITLE: Preparation of N-amidinophenyl-N'-sulfamoylphenylureas and related compounds for the treatment of protozoal diseases and as inhibitors of intracellular protein degradation pathways.
 INVENTOR(S): Aschenbrenner, Andreas; Fuchs, Katharina Aulinger; Dornmeyer, Matthias; Garcia, Gabriel; Kramer, Bernd; Kraus, Jurgen; Krauss, Rolf; Leban, Johan; Pegoraro, Stefano; Saeb, Wael; Wolf, Kristina
 PATENT ASSIGNEE(S): Germany
 SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 20,683.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003119876	A1	20030626	US 2002-83008	20020226
DE 10109204	A1	20020919	DE 2001-10109204	20010226
US 2002165236	A1	20021107	US 2001-20683	20011212

PRIORITY APPLN. INFO.:

DE 2001-10109204	A	20010226
US 2001-20683	A2	20011212

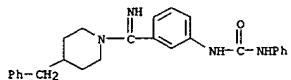
OTHER SOURCE(S): MARPAT 139:69058
 AB R1R2NHYHBR3R4R5R6 [Y = CO, CS, C(=O), CO₂, SO₂; A, B = aryl optionally contg. gtoreq.1 S, O, N, wherein the N is optionally substituted with R', and/or the heteroatom S is optionally bonded to :O, :O₂; R' = H, hydroxymalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl (unsatd.) cyclopentenyl, cyclohexyl, (hetero)aryl; R1 = C(NR2R3)NR4R5; R_a, R_c = H, O²⁻CR', OH, hydroxymalkyl, aminoalkyl, alkoxyl, cyanoalkyl, alkyl, (unsatd.) cyclopentenyl, cyclohexyl, aryl, heteroaryl; R_b = null, Ra, R_c = H, O²⁻CR_e (CH₂)_nR_f; R_e = H, alkoxy, alkylthio, halo, haloalkyl, haloalkoxy, hydroxymalkyl, hydroxymalkylamino, alkyl, (hetero)aryl, amino, amidoalkyl, alkylamino, RF = H, hydroxymalkyl, alkyl, allyl, amino, alkylamino, morpholinol, 2-tetrahydrofuryl, N-pyrrolidinol, 3-pyridyl, Ph, PhCH₂, biphenyl, heterocycl, NR4R5 = 0-3; RaRd = 5-6 membered (unsatd.) heterocyclyl contg. 0-3 "R"; R" = H, alkoxy, alkylthio, amidoalkyl, halo, CO₂R", CR²O, haloalkyl, haloalkoxy, NO₂, CN, OH, hydroxymalkyl, alkyl, aryl, amino, alkylamino, amidoalkyl; R₃ = H, halo, haloalkyl, NO₂, CN, alkyl, aryl; R₄ = H, group capable of hydrogen bond formation except for R1; R₅ = H, R₄; R₆ = H, R₂, were prep'd. Thus, 1,1-thiocarbonyldimidazole in MeNO₂ at 4 degree, was treated dropwise with Me triflate, the reaction was stirred for 30 min at 4 degree. then 4-amino-N-benzylbenzenesulfonamide in DMA was added dropwise. The reaction was stirred for 2.5 h at rt, then 3-aminobenzamidine dihydrochloride and DIEA in DMA were added followed by stirring for 16 h at rt to give 15% 3-[3-(4-benzylsulfamoylphenyl)thioureido]benzamidine. Several title compds. showed activity against Plasmodium falciparum Dd2 with IC₅₀<1 .mu.M.

IT 455899-89-5P 455899-90-8P 455899-91-9P
 455899-92-0P 455899-93-1P 455899-95-3P
 455899-96-4P 455899-97-5P 455899-98-6P
 455899-99-7P 455900-00-2P 455900-01-3P
 455900-02-4P 455900-03-5P 455900-08-0P

Habte

L4 ANSWER 1 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 (CHR7)(CHR8)(CR11R12); R₁, R₂ = H, alkyl, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R₂R₃ = atoms to form a (substituted) 5-7 membered ring; R₃, R₅ = (substituted) (alkyl)cycloalkyl, (alkyl)heterocycl; R₄ = null, O, alkyl, alkenyl, alkynyl, etc.; R₄ with R₇, R₉, or R₁₁ = atoms to form a 5-7 membered ring; R₇ = alkyl, alkenyl, alkynyl, etc.; R₇, R₉ = H or R₄R₉ = (substituted) spirocycl; R₁₃ = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R₁₁R₁₂ = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prep'd. as modulators of chemokine activity (no data) for preventing asthma and other allergic diseases. Thus, 4-benzyl-1-(3-(aminopropyl)piperidine (prep'n. given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-(3-[4-(phenylmethyl)-1-piperidinyl]propyl)urea. A pharmaceutical compn. comprising the compnd. I was claimed.

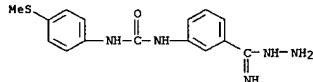
IT 275810-52-1 CAPLUS
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prep'n. of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)
 RN 275810-52-1 CAPLUS
 CN Piperidine, 1-[imino[3-[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 455900-09-1P 455900-10-4P 455900-11-5P
 455900-12-6P 455900-13-7P 455900-14-0P
 455900-15-9P 455900-16-0P 455900-17-1P
 455900-18-2P 455900-19-3P 455900-20-6P
 455900-21-7P 455900-22-8P 455900-23-9P
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 455900-94-4P 455900-95-5P 455900-96-6P
 455900-97-7P 455900-98-8P 455900-99-9P
 455901-01-6P 548783-59-1P 548783-60-4P
 548783-61-5P 548784-24-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prep'n. of amidinophenylsulfamoylphenylureas and related compds. for the treatment of protozoal diseases and as inhibitors of intracellular protein degrdn. pathways)

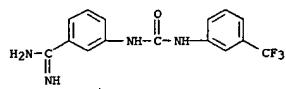
RN 455899-89-5 CAPLUS
 CN Benzenecarboximidic acid, 3-[[[4-(methylthio)phenyl]amino]carbonyl]amino]hydrazide (9CI) (CA INDEX NAME)



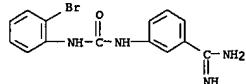
RN 455899-90-8 CAPLUS
 CN Benzenecarboximidic acid, 3-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]hydrazide (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

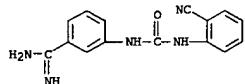
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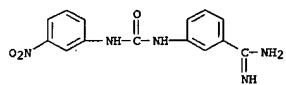
RN 455899-91-9 CAPLUS
CN Benzenecarboximidamide, 3-[(2-bromophenyl)amino]carbonyl)amino]- (9CI)
(CA INDEX NAME)



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CN Benzenecarboximidamide, 3-[(2-cyanophenyl)amino]carbonyl)amino]- (9CI)
(CA INDEX NAME)

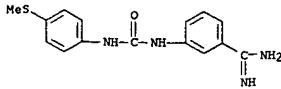


RN 455899-93-1 CAPLUS
CN Benzenecarboximidamide, 3-[(3-nitrophenyl)amino]carbonyl)amino]- (9CI)
(CA INDEX NAME)

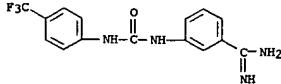


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(CA INDEX NAME)

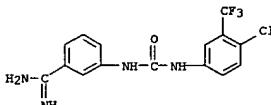
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



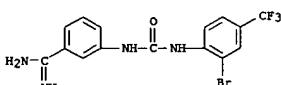
RN 455899-96-4 CAPLUS
CN Benzenecarboximidamide, 3-[(4-(trifluoromethyl)phenyl)amino]carbonyl)amino]- (9CI)
(CA INDEX NAME)



RN 455899-97-5 CAPLUS
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(CA INDEX NAME)

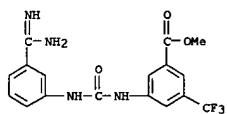


RN 455899-98-6 CAPLUS
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(CA INDEX NAME)

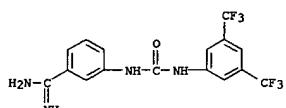


RN 455899-99-7 CAPLUS
CN Benzoic acid, 3-[[3-(aminoinomethyl)phenyl]amino]carbonyl)amino]-5-(trifluoromethyl)-, methyl ester (9CI)
(CA INDEX NAME)

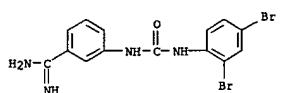
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



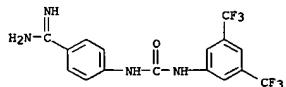
RN 455900-00-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI)
(CA INDEX NAME)



RN 455900-01-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[2,4-dibromophenyl]amino]carbonyl]amino]- (9CI)
(CA INDEX NAME)

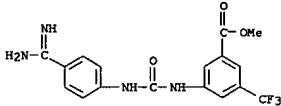


RN 455900-02-4 CAPLUS
CN Benzenecarboximidamide, 4-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI)
(CA INDEX NAME)

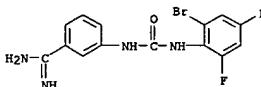


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(CA INDEX NAME)

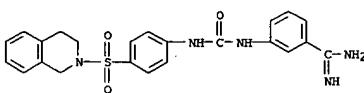
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



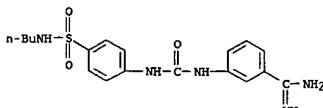
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CN Benzenecarboximidamide, 3-[[[2-bromo-4,6-difluorophenyl]amino]carbonyl]amino]- (9CI)
(CA INDEX NAME)



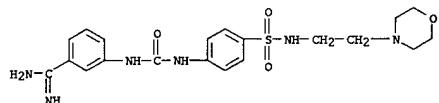
RN 455900-09-1 CAPLUS
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(CA INDEX NAME)



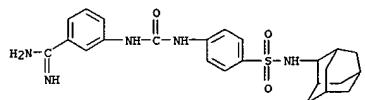
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(CA INDEX NAME)



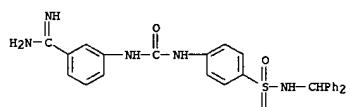
RN 455900-11-5 CAPLUS
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(CA INDEX NAME)



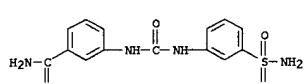
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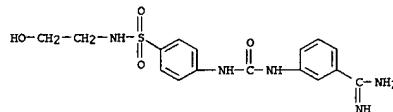
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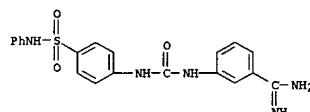
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CN Benzenecarboximidamide, 3-[[[3-(aminosulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



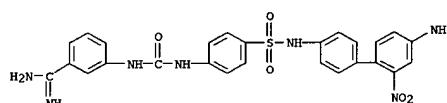
RN 455900-15-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((2-hydroxyethyl)amino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



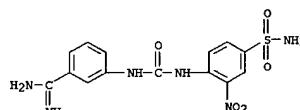
RN 455900-16-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((phenylamino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



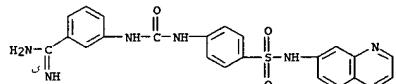
RN 455900-17-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((4'-aminobiphenyl)-2-yl)amino]sulfonyl]phenyl]amino- (9CI) (CA INDEX NAME)



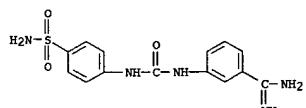
RN 455900-18-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-(aminosulfonyl)-2-nitrophenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



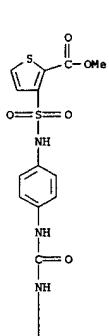
RN 455900-19-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((7-quinolinylamino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-20-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-(aminosulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

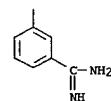


RN 455900-21-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4-(((3-(aminocinomethyl)phenyl)amino)carbonyl)amino]sulfonyl]phenyl]amino- (9CI) methyl ester (CA INDEX NAME)

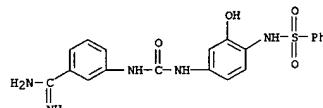


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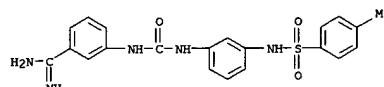
PAGE 2-A



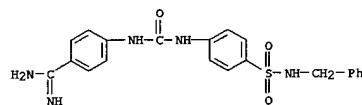
RN 455900-22-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[3-hydroxy-4-((phenylsulfonyl)amino)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-23-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[3-((4-methylphenyl)sulfonyl)amino)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



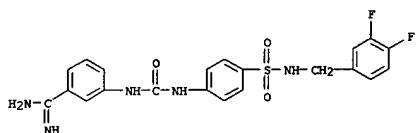
RN 455900-24-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[4-((phenylmethyl)amino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



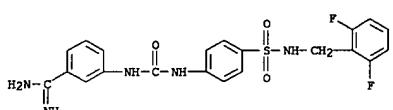
RN 455900-25-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[3-((phenylmethyl)amino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

10/09/2003

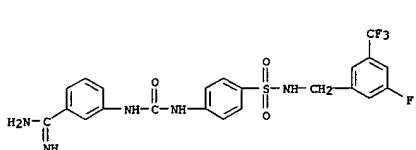
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 Y1]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-41-1 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

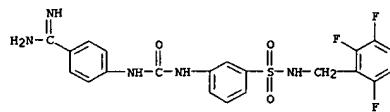


RN 455900-42-2 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[(3-fluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

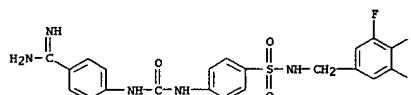


RN 455900-43-3 CAPLUS
 CN Benzenecarboximidamide, 4-[[[3-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

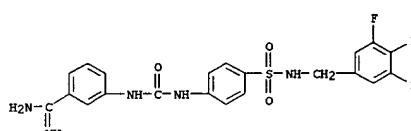
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-44-4 CAPLUS
 CN Benzenecarboximidamide, 4-[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

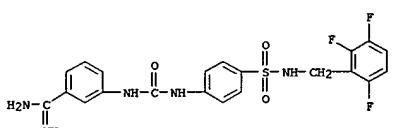


RN 455900-45-5 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[(3,4,5-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

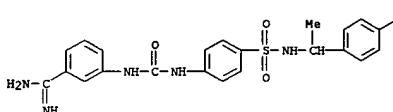


RN 455900-46-6 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

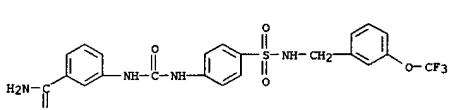
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



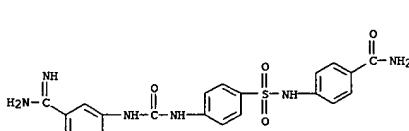
RN 455900-47-7 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[(1-(4-fluorophenyl)ethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-48-8 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[(3-(trifluoromethoxy)phenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

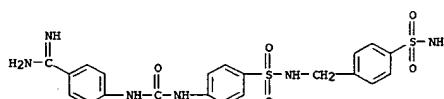


RN 455900-50-2 CAPLUS
 CN Benzamide, 4-[[[4-[[[(3-aminoiminomethyl)phenyl]amino]carbonyl]amino]phenylsulfonyl]amino]- (9CI) (CA INDEX NAME)

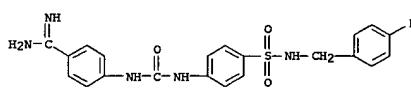


RN 455900-51-3 CAPLUS
 CN Benzenecarboximidamide, 4-[[[4-[[[(4-(aminosulfonyl)phenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

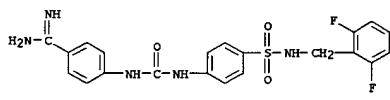
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 uifonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



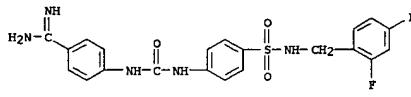
RN 455900-52-4 CAPLUS
 CN Benzenecarboximidamide, 4-[[[4-[[[(4-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-53-5 CAPLUS
 CN Benzenecarboximidamide, 4-[[[4-[[[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



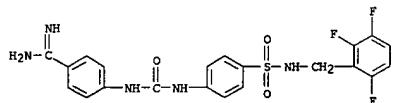
RN 455900-54-6 CAPLUS
 CN Benzenecarboximidamide, 4-[[[4-[[[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



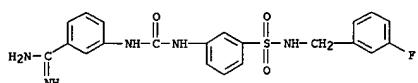
RN 455900-55-7 CAPLUS
 CN Benzenecarboximidamide, 4-[[[4-[[[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

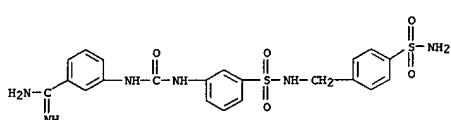
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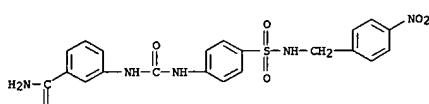
RN 455900-57-9 CAPLUS
CN Benzenecarboximidamide, 3-[[{3-[(3-fluorophenyl)methyl]amino}sulfonyl]phenyl]carbonylaminobenzene carboximidamide - (9CI) (CA INDEX NAME)



RN 455900-58-0 CAPLUS
CN Benzenecarboximidamide, 3-[[{3-[(4-aminosulfonyl)phenyl]methyl}amino]sulfonyl]phenyl]carbonylaminobenzene carboximidamide - (9CI) (CA INDEX NAME)

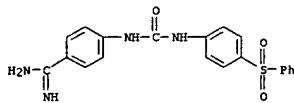


RN 455900-59-1 CAPLUS
CN Benzenecarboximidamide, 3-[[{3-[(4-nitrophenyl)methyl]amino}sulfonyl]phenyl]carbonylaminobenzene carboximidamide - (9CI) (CA INDEX NAME)

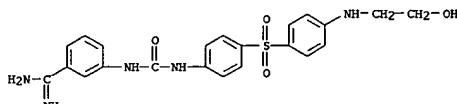


RN 455900-60-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2-[[{3-

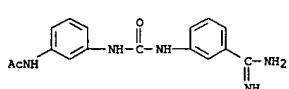
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-64-8 CAPLUS
CN Benzenecarboximidamide, 4-[[{4-(phenylsulfonyl)phenyl}amino]carbonylaminobenzene carboximidamide - (9CI) (CA INDEX NAME)



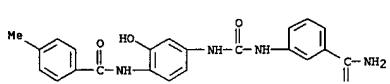
RN 455900-65-9 CAPLUS
CN Benzenecarboximidamide, 3-[[{4-[(2-hydroxyethyl)amino]phenyl}sulfonyl]phenyl]carbonylaminobenzene carboximidamide - (9CI) (CA INDEX NAME)



RN 455900-66-0 CAPLUS
CN Acetamide, N-[3-[[{3-(aminoiminomethyl)phenyl}amino]carbonyl]amino]phenyl - (9CI) (CA INDEX NAME)



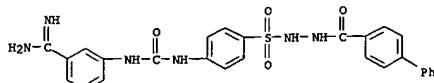
RN 455900-67-1 CAPLUS
CN Benzenamide, N-[4-[[{3-(aminoiminomethyl)phenyl}amino]carbonyl]amino]-2-hydroxyphenyl-4-methyl- (9CI) (CA INDEX NAME)



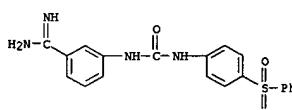
RN 455900-68-2 CAPLUS
CN Benzenamide, N-[3-[[{3-(aminoiminomethyl)phenyl}amino]carbonyl]amino]phenyl-2-methoxy- (9CI) (CA INDEX NAME)

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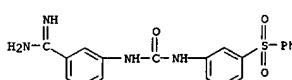
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-[[{[(3-aminomethyl)phenyl]amino}carbonyl]amino]phenylsulfonylhydrazide - (9CI) (CA INDEX NAME)



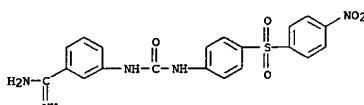
RN 455900-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[{[(4-phenylsulfonyl)phenyl]amino}carbonyl]amino] - (9CI) (CA INDEX NAME)



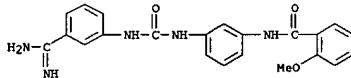
RN 455900-62-6 CAPLUS
CN Benzenecarboximidamide, 3-[[{[(3-phenylsulfonyl)phenyl]amino}carbonyl]amino] - (9CI) (CA INDEX NAME)



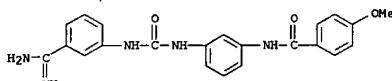
RN 455900-63-7 CAPLUS
CN Benzenecarboximidamide, 3-[[{[(4-nitrophenyl)sulfonyl]phenyl]amino}carbonyl]amino] - (9CI) (CA INDEX NAME)



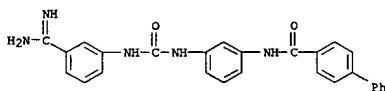
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-69-3 CAPLUS
CN Benzenamide, N-[3-[[{3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]phenyl-4-methoxy- (9CI) (CA INDEX NAME)



RN 455900-70-6 CAPLUS
CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[[{3-(aminoiminomethyl)phenyl}amino]carbonyl]amino]phenyl - (9CI) (CA INDEX NAME)

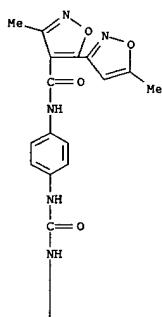


RN 455900-71-7 CAPLUS
CN [3,5'-Biisoxazole]-4'-carboxamide, N-[4-[[{3-(aminoiminomethyl)phenyl}amino]carbonyl]amino]phenyl-3',5-dimethyl- (9CI) (CA INDEX NAME)

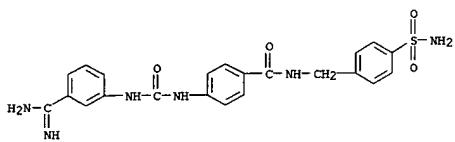
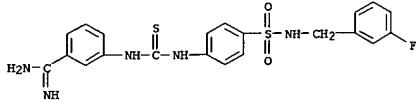
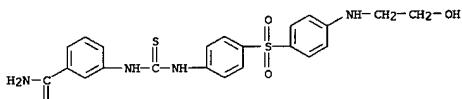
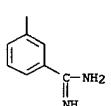
10/09/2003

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

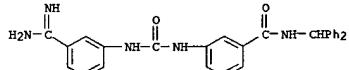
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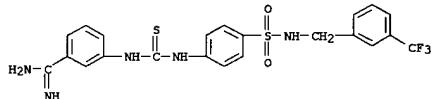
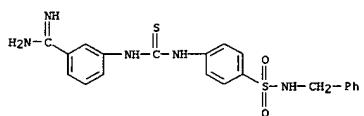
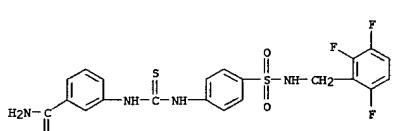
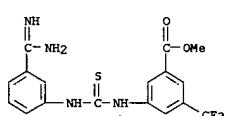
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L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(aminosulfonyl)phenyl)methyl - (9CI) (CA INDEX NAME)RN 455900-74-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]thioxomethyl - (9CI) (CA INDEX NAME)RN 455900-76-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]thioxomethyl - (9CI) (CA INDEX NAME)RN 455900-77-3 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[3-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]thioxomethyl - (9CI) (CA INDEX NAME)

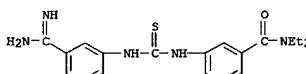
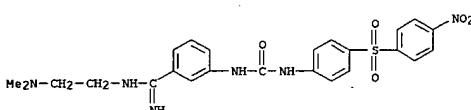
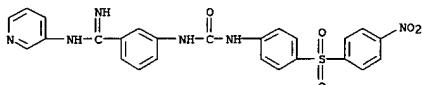
PAGE 2-A

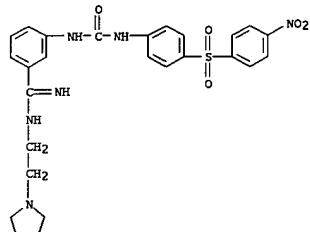
RN 455900-72-8 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-(diphenylmethyl) - (9CI) (CA INDEX NAME)RN 455900-73-9 CAPLUS
CN Benzamide, 4-[[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-[4-

L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

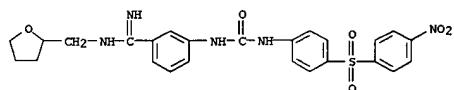
RN 455900-78-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(phenylmethyl)amino]sulfonyl]phenyl]amino]thioxomethyl - (9CI) (CA INDEX NAME)RN 455900-79-5 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[[[2,3,6-trifluorophenyl]methyl]sulfonyl]phenyl]amino]methyl]amino] - (9CI) (CA INDEX NAME)RN 455900-80-8 CAPLUS
CN Benzoic acid, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)RN 455900-81-9 CAPLUS
CN Benzamide, 3-[[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-N,N-

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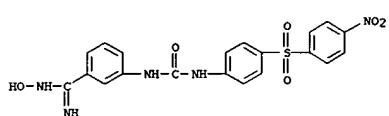
L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
diethyl - (9CI) (CA INDEX NAME)RN 455900-82-0 CAPLUS
CN Benzenecarboximidamide, N-[2-(dimethylamino)ethyl]-3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)RN 455900-83-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl - (9CI) (CA INDEX NAME)RN 455900-84-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]-N-(2-(1-pyrrolidinyl)ethyl) - (9CI) (CA INDEX NAME)



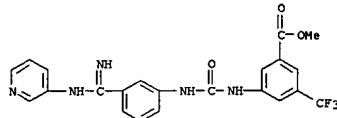
RN 455900-85-3 CAPLUS
CN Benzene carboximidamide, 3-[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino-N-[tetrahydro-2-furanyl]methyl- (9CI) (CA INDEX NAME)



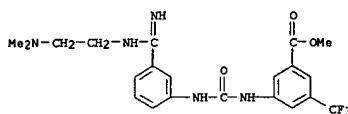
RN 455900-86-4 CAPLUS
CN Benzene carboximidamide, N-hydroxy-3-[[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



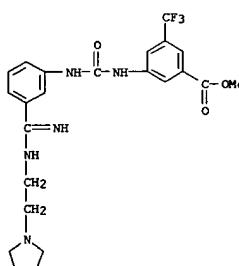
RN 455900-87-5 CAPLUS
CN Benzene carboximidamide, 3-[[[3-[imino(3-pyridinylamino)methyl]phenyl]amino]carbonyl]amino-S-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



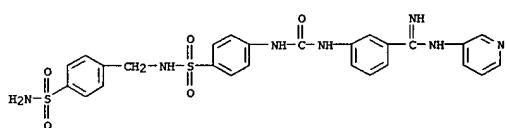
RN 455900-88-6 CAPLUS
CN Benzoic acid, 3-[[[3-[(2-dimethylamino)ethyl]amino]iminomethyl]phenyl]amino]carbonyl]amino-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 455900-89-7 CAPLUS
CN Benzoic acid, 3-[[[3-[imino(2-(1-pyrrolidinyl)ethyl)amino]methyl]phenyl]amino]carbonyl]amino-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

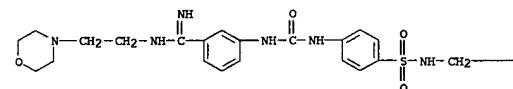


L4 ANSWER 2 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-90-0 CAPLUS
CN Benzene carboximidamide, 3-[[[4-[(4-aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino-N-3-pyridinyl- (9CI) (CA INDEX NAME)

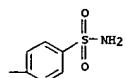


RN 455900-91-1 CAPLUS
CN Benzene carboximidamide, 3-[[[4-[(4-aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

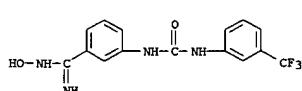
PAGE 1-A



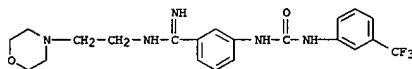
PAGE 1-B



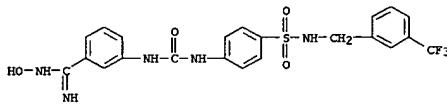
RN 455900-93-3 CAPLUS
CN Benzene carboximidamide, N-hydroxy-3-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



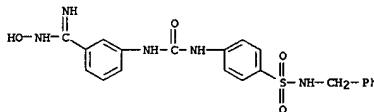
RN 455900-94-4 CAPLUS
CN Benzene carboximidamide, N-[2-(4-morpholinyl)ethyl]-3-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



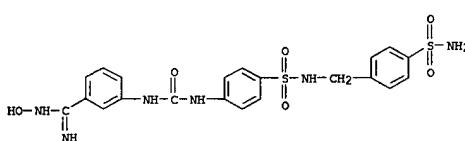
RN 455900-95-5 CAPLUS
CN Benzene carboximidamide, N-hydroxy-3-[[[4-[(3-trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-96-6 CAPLUS
CN Benzene carboximidamide, N-hydroxy-3-[[[4-[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



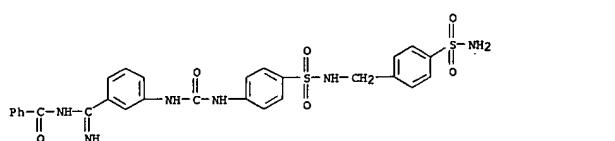
RN 455900-97-7 CAPLUS
CN Benzene carboximidamide, 3-[[[4-[(4-aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino-N-hydroxy- (9CI) (CA INDEX NAME)



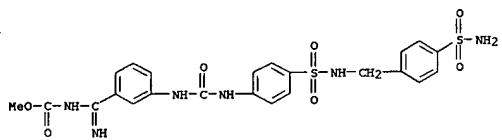
RN 455900-98-8 CAPLUS
CN Benzamide, N-[(3-[[[4-[(4-aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl)iminomethyl]- (9CI) (CA INDEX NAME)

10/09/2003

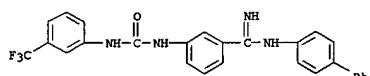
Habte



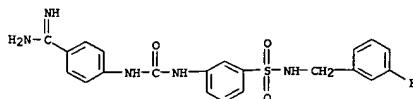
RN 455900-99-9 CAPLUS
 CN Carbamic acid, [3-[{[4-[(aminosulfonyl)phenyl]methyl]amino}sulfonyl]phenyl]amino]carbonyl]imonomethyl-, methyl ester (9CI) (CA INDEX NAME)



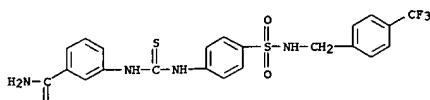
RN 455901-01-6 CAPLUS
 CN Benzenecarboximidamide, N-[1,1'-biphenyl]-4-yl-3-[[{[3-(trifluoromethyl)phenyl]amino}carbonyl]amino]- (9CI) (CA INDEX NAME)



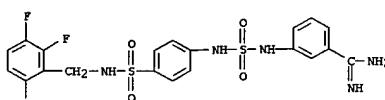
RN 548783-59-1 CAPLUS
 CN Benzenecarboximidamide, 4-[[{[3-[(3-fluorophenyl)methyl]amino}sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



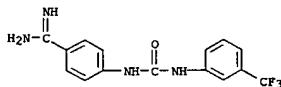
RN 548783-60-4 CAPLUS
 CN Benzenecarboximidamide, 3-[[{[4-[(trifluoromethyl)phenyl]methyl]amino}sulfonyl]phenyl]amino]- (9CI) (CA INDEX NAME)



RN 548783-61-5 CAPLUS
 CN Benzenecarboximidamide, 3-[[{[4-[(2,3,6-trifluorophenyl)methyl]amino}sulfonyl]phenyl]amino]- (9CI) (CA INDEX NAME)



RN 548784-24-3 CAPLUS
 CN Benzenecarboximidamide, 4-[[{[3-(trifluoromethyl)phenyl]amino}carbonyl]amino]- (9CI) (CA INDEX NAME)

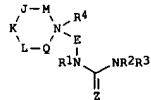


TITLE: Preparation of N-ureidoalkylpiperidines as modulators of CCR3 chemokine receptor activity for the prevention of asthma and other allergic diseases
 INVENTOR(S): Ko, Soo S.; DeLuca, George V.; Duncia, John V.; Kim, U; Tae; Wacker, Dean A.; Zheng, Changsheng
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Co., USA
 SOURCE: U.S., 126 pp., Cont.-in-part of U.S. Ser. No. 466,442.
 CODEN: USXXAM

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6525069	B1	20030225	US 2000-597400	20000621
US 6331541	B1	20011218	US 1999-655288	19991217
US 6444686	B1	20020903	US 1999-466442	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
WO 2001098270	A2	20011227	WO 2001-US19752	20010620
WO 2001098270	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KO, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SL, TQ, TH, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TQ, US, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GR, IE, IT, JP, MC, NL, PT, SE, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1294693	A2	20030226	EP 2001-950360	20010620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, LT, SI, LT, LV, FI, RO, MK, CY, AL, TH				
US 200313741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
US 2003114489	A1	20030619	US 2002-180869	20020626
PRIORITY APPLN. INFO.:			US 1998-112717 P 19981218	
			US 1999-161221 P 19991022	
			US 1999-466442 A2 19991217	
			US 1999-161222P P 19991022	
			US 1999-465288 A3 19991217	
			US 2000-213208P P 20000621	
			US 2000-597400 A 20000621	
			WO 2001-US19752 W 20010620	

OTHER SOURCE(S): MARPAT 138:204946
 GI

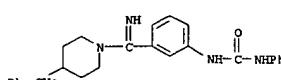


I

AB Title compds. [I; M, Q = CH₂, CHR₅, CHR₆, CR₅R₆; gtoeq.1 of J, K, L = CH₂, CHR₅, CHR₆, CR₅R₆; gtoeq.1 of J, K, L contains R₅, R₆ = O, S, NR₁, CHCN, CHNO₂, C(CN)₂; R₁ = H, alkyl, cycloalkyl, CN, NO₂, etc.; E = (substituted)C₃₋₆ carbocycl, methylenecarbocycl, ethylenehecarbocycl, etc.; R₁, R₂ = H, alkyl, alkenyl, alkynyl; R₃ = (substituted) alkyl, alkenyl, alkynyl, cycloalkylalkyl, etc.; R₅ = (substituted) alklenecarbocycl, alkyleneheterocycl; R₆ = alkyl, alkenyl, alkynyl, alkylcycloalkyl, perfluoroalkyl, hydroxylalkyl, mercaptalkyl, amioalkyl, CN, etc.; R₁₃ = alkyl, alkenyl, alkynyl, cycloalkyl, mercaptalkyl, acylaminoalkyl, (substituted)phenylalkyl, etc.; etc.) were prep'd as CCR3 modulators (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (prep'n. given) and 3-cyanophenylisocyanate were stirred 30 min. in THF to give N-3-cyanophenyl-N'-(3-[4-(phenoxyethyl)-1-piperidinyl]propyl)urea.

IT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prep. of N-ureidoalkylpiperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS
 CN Piperidine, 1-[imino[3-[(phenylamino)carbonyl]amino]phenyl]methyl-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



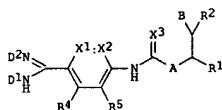
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2003:4857 CAPLUS
DOCUMENT NUMBER: 138:55748

TITLE: Preparation of ureidobenzamidines as Factor VIIa inhibitors.
INVENTOR(S): Schudok, Manfred; Klingler, Otmar; Nestler, Hans-Peter; Matter, Hans; Schreuder, Herman; Szillat, Hauke
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1270551	A1	20030102	EP 2001-115353	20010626
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2003002524	A2	20030109	WO 2002-EP6422	20020612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RV: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
US 2003176439	A1	20030918	US 2002-183848	20020626
PRIORITY APPLN. INFO.: MARPAT 138:55748		EP 2001-115353	A	20010626
OTHER SOURCE(S):				

GI



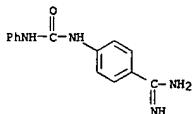
AB Title compds. I, D1, D2 = H, alkylcarbonyl, arylcarbonyl, amino, etc.; or D1 = H, D2 = OH, alkylcarbonyloxy, arylcarbonyloxy, amino, etc.; or D2 = H, D2 = OH, alkylcarbonyloxy, arylcarbonyloxy, amino, etc.; D1D2 = atoms to form specified azoyl rings; RH2 = atoms to form (substituted) aryl, heteroaryl; X1, X2 = CR4, N; R4 = H, alky1, OH, alkoxy, halo, amino, NO2; X3 = O, S, NH; A = bond, CH2, CH(OH), CHCO2H, CHCO2H, OCH2, O, etc.; B = substituted aryl, heteroaryl. Thus, 1,2-phenylenediamine, K2CO3, and 1-bromo-1-phenylmethane were stirred 8 h at rt in DMF; KBr was filtered off,

L4 ANSWER 5 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:938177 CAPLUS
DOCUMENT NUMBER: 138:233863

TITLE: Inhibition of arginine gingipains (RgpB and HRgpA) with benzamidine inhibitors: zinc increases inhibitory potency.
AUTHOR(S): Krauser, Joel A.; Potempa, Jan; Travis, James; Powers, James C.
CORPORATE SOURCE: School of Chemistry and Biochemistry, Georgia Institute of Technology, Atlanta, GA, 30093-0400, USA
SOURCE: Biological Chemistry (2002), 383(7/8), 1193-1198
PUBLISHER: Birkhäuser Verlag
DOCUMENT TYPE: Journal Article
LANGUAGE: English
AB We assayed several benzamidine derivs. for inhibition potency with HRgpA and RgpB gingipains, enzymes which are involved in the pathogenesis of gingivitis and periodontal disease. The benzamidine derivs. proved to be effective inhibitors of HRgpA and RgpB, with the best inhibitor being a bis-benzamidine with a urea linker (K_i = 30 μM). The inhibition potency was increased 2-3 fold in the presence of low concns. of zinc with the benzamidines contg. a urea moiety linking the two arom. rings. We propose an inhibition model involving a tetrahedral zinc atom coordinated with the active site Cys and His of gingipain and the urea linker in the benzamidine inhibitor. In summary, we have discovered a new series of effective inhibitors for the gingipains and found a novel way to increase inhibitor potency with the HRgpA and RgpB gingipains using zinc.

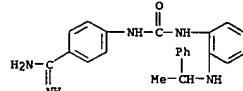
IT 162020-99-7 162021-00-3 162021-02-5
162021-03-6 162021-04-7 501953-21-5
RL: BSL (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(inhibition model for gingipains RgpB and HRgpA suggests Zn²⁺ coordinates with Cys and His active site residues and urea linker in benzamidine inhibitor)

RN 162020-99-7 CAPLUS
CN Benzenecarboximidamide, 4-[(phenylamino)carbonyl]amino- (9CI) (CA INDEX NAME)



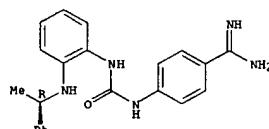
RN 162021-00-3 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-chlorophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
the solvent removed, and the mixt. in THF was treated with 4-cyanophenyl isocyanate followed by stirring for 50 h at rt to give 98% 1-(4-cyanophenyl)-3-[-(1-phenylethylamino)phenyl]urea. The latter was stirred 10 h with HCl in MeOH and the resulting imnoester was stirred 16 h with NH4OAc in MeOH to give 4-[3-[-(1-phenylethylamino)phenyl]ureido]benzamidine. The latter inhibited FVIIa with K_i = 0.7 μM.
IT 479355-49-2 CAPLUS
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of ureidobenzamidines as Factor VIIa inhibitors)
RN 479355-55-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[2-[(1-phenylethyl)amino]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 479355-55-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[2-[(1R)-1-phenylethyl]amino]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

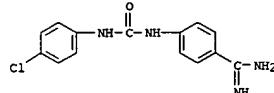
Absolute stereochemistry.



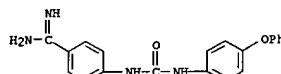
● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

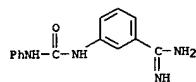
L4 ANSWER 5 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



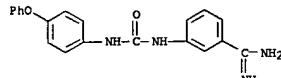
RN 162021-02-5 CAPLUS
CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 162021-03-6 CAPLUS
CN Benzenecarboximidamide, 3-[[phenylamino]carbonyl]amino- (9CI) (CA INDEX NAME)



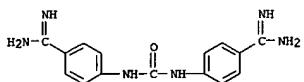
RN 162021-04-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 501953-21-5 CAPLUS
CN Benzenecarboximidamide, 4,4'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:695938 CAPLUS

DOCUMENT NUMBER: 137:216781

TITLE: Derivatives of diphenylurea, diphenyloxallic acid diamide and diphenylsulfuric acid diamide and their use as medicaments

INVENTOR(S): Aschenbrenner, Andrea; Aulinger Fuchs, Katharina; Dornmeyer, Matthias; Garcia, Gabriel; Kramer, Bernd; Kraus, Juergen; Krauss, Rolf; Leban, Johan; Pegoraro, Stefano; Saeb, Wael; Wolf, Kristina

PATENT ASSIGNEE(S): 4SC A.-G., Germany

SOURCE: PCT Int. Appl., 125 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070467	A1	20020912	WO 2002-EP2040	20020226
WO 2002070467	B1	20030116		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, N2, OM, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, T3, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, A2, BY, KG, KZ, MD, RU, TJ, TM, RW, GH, GM, KE, LS, MW, MZ, SD, SL, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG

DE 10109204 A1 20020919 DE 2001-10109204 20010226

US 2002165236 A1 20021107 US 2001-20683 20011212

PRIORITY APPLN. INFO.: DE 2001-10109204 A 20010226

US 2001-20683 A 20011212

OTHER SOURCE(S): MARPAT 137:216781

AB Title compds. were prep'd. for use in the treatment of protozoal diseases and of diseases where the inhibition of intracellular protein-degrdn. pathways was benefit. Thus, 3-NCCGH4NHCO was treated with 4-O2NCGH4SO2CGH4NH2-4 to give 3-NCCGH4NHCONHCGH4(SO2CGH4NO2-4)-4 which was subjected to methanolysis and treated with NH3-MeOH to give 3-H2NC(:NH)NHC(GH4NO2-4)-4 (I). I had IC50 < 1 .mu.M against Plasmodium falciparum Dd2 and caused 75-90% inhibition of human 20S protease at 5 .mu.M.

IT 455899-89-5P 455899-90-6P 455899-91-9P

455899-92-0P 455899-93-1P 455899-95-3P

455899-96-4P 455899-97-5P 455899-98-6P

455899-99-7P 455900-00-2P 455900-01-3P

455900-02-4P 455900-03-5P 455900-08-0P

455900-09-1P 455900-10-4P 455900-11-5P

455900-12-6P 455900-13-7P 455900-14-8P

455900-15-9P 455900-16-0P 455900-17-1P

455900-18-2P 455900-19-3P 455900-20-6P

455900-21-7P 455900-22-8P 455900-23-9P

455900-24-0P 455900-25-1P 455900-26-2P

455900-27-3P 455900-28-4P 455900-29-5P

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

455900-30-6P 455900-31-9P 455900-32-0P

455900-33-1P 455900-34-2P 455900-35-3P

455900-36-4P 455900-37-5P 455900-38-6P

455900-39-7P 455900-40-0P 455900-41-1P

455900-42-2P 455900-43-3P 455900-44-4P

455900-45-5P 455900-46-6P 455900-47-7P

455900-48-8P 455900-50-2P 455900-51-3P

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455900-81-9P 455900-82-0P 455900-83-1P

455900-84-2P 455900-85-3P 455900-86-4P

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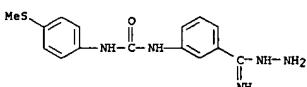
455900-97-7P 455901-01-6P 548783-59-1P

RU: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(derivs. of diphenylurea, diphenyloxallic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)

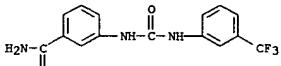
RN 455899-89-5 CAPLUS

CN Benzenecarboximidic acid, 3-[[[4-(methylthio)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455899-90-8 CAPLUS

CN Benzenecarboximidic acid, 3-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

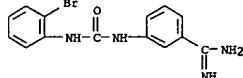


RN 455899-91-9 CAPLUS

CN Benzenecarboximidic acid, 3-[[[2-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

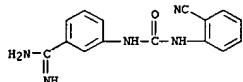
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L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



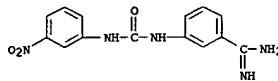
RN 455899-92-0 CAPLUS

CN Benzenecarboximidamide, 3-[[[(2-cyanophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



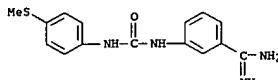
RN 455899-93-1 CAPLUS

CN Benzenecarboximidamide, 3-[[[(3-nitrophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-95-3 CAPLUS

CN Benzenecarboximidamide, 3-[[[[4-(methylthio)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



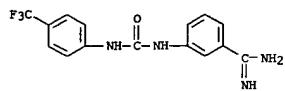
RN 455899-96-4 CAPLUS

CN Benzenecarboximidamide, 3-[[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

10/09/2003

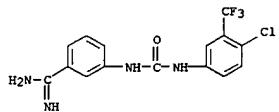
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)



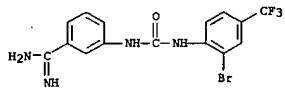
RN 455899-97-5 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-chloro-3-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



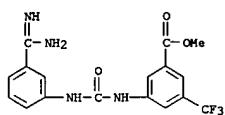
RN 455899-98-6 CAPLUS

CN Benzenecarboximidamide, 3-[[[2-bromo-4-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455899-99-7 CAPLUS

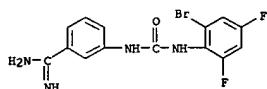
CN Benzoic acid, 3-[[[3-(aminoinomethyl)phenyl]amino]carbonyl]amino-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 455900-00-2 CAPLUS

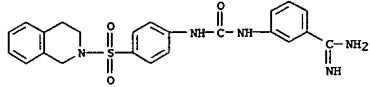
CN Benzenecarboximidamide, 3-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



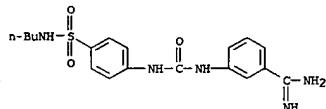
RN 455900-09-1 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-[(3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



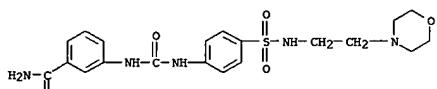
RN 455900-10-4 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-[(butylamino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-11-5 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-[[2-(4-morpholinyl)ethyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

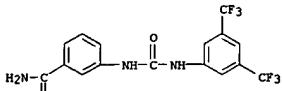


RN 455900-12-6 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-[(tricyclo[3.3.1.13.7]dec-2-yloamino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

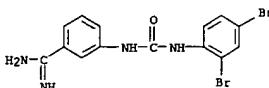
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L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



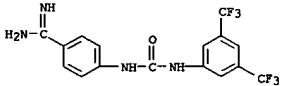
RN 455900-01-3 CAPLUS

CN Benzenecarboximidamide, 3-[[[2,4-dibromophenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



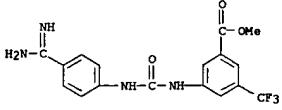
RN 455900-02-4 CAPLUS

CN Benzenecarboximidamide, 4-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-03-5 CAPLUS

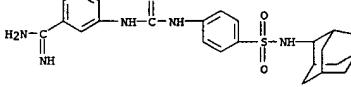
CN Benzoic acid, 3-[[[4-(aminoinomethyl)phenyl]amino]carbonyl]amino-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 455900-08-0 CAPLUS

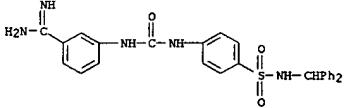
CN Benzenecarboximidamide, 3-[[[2-bromo-4,6-difluorophenyl]amino]carbonyl]am

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



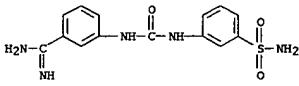
RN 455900-13-7 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-((diphenylmethyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



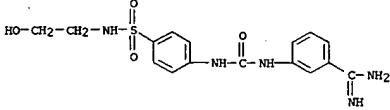
RN 455900-14-9 CAPLUS

CN Benzenecarboximidamide, 3-[[[3-(aminosulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-15-9 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-((2-hydroxyethyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



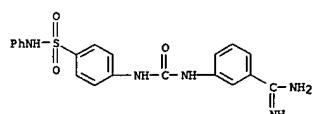
RN 455900-16-0 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-((phenylamino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

10/09/2003

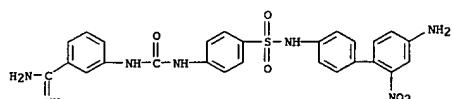
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

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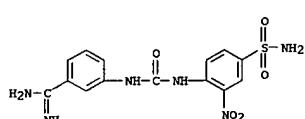
RN 455900-17-1 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-((4'-aminobiphenyl)-4-yl)amino]sulfonyl]phenyl]carbonylamine - (9CI) (CA INDEX NAME)



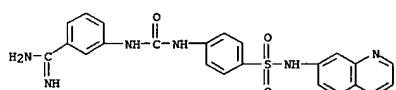
RN 455900-18-2 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-(aminosulfonyl)-2-nitrophenyl]amino]carbonyl]amine - (9CI) (CA INDEX NAME)



RN 455900-19-3 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-(7-quinolinylamino)sulfonyl]phenyl]amino]carbonylamine - (9CI) (CA INDEX NAME)

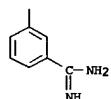


RN 455900-20-6 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-(aminosulfonyl)phenyl]amino]carbonyl]amine

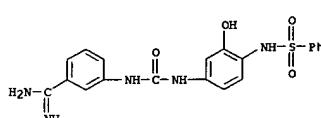
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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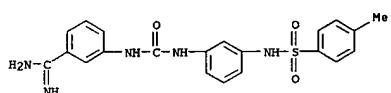
RN 455900-22-8 CAPLUS

CN Benzenecarboximidamide, 3-[[[3-hydroxy-4-[(phenylsulfonyl)amino]phenyl]amino]carbonyl]amine - (9CI) (CA INDEX NAME)



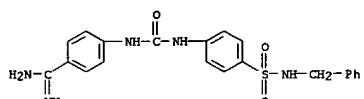
RN 455900-23-9 CAPLUS

CN Benzenecarboximidamide, 3-[[[3-[(4-methylphenyl)sulfonyl]amino]phenyl]amino]carbonylamine - (9CI) (CA INDEX NAME)



RN 455900-24-0 CAPLUS

CN Benzenecarboximidamide, 4-[[[4-[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonylamine - (9CI) (CA INDEX NAME)



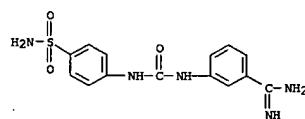
RN 455900-25-1 CAPLUS

CN Benzenecarboximidamide, 3-[[[3-[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonylamine - (9CI) (CA INDEX NAME)

RN 455900-26-2 CAPLUS

CN Benzenecarboximidamide, 4-[[[3-[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonylamine - (9CI) (CA INDEX NAME)

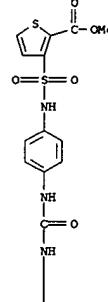
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-21-7 CAPLUS

CN 2-Thiophene carboxylic acid, 3-[[[4-((3-aminomethylphenyl)sulfonyl)phenyl]amino]carbonyl]amine - (9CI) (CA INDEX NAME)

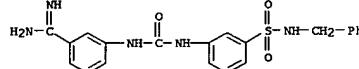
PAGE 1-A



L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

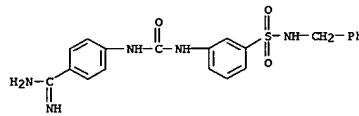
PAGE 2-A

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



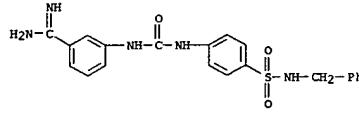
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CN Benzenecarboximidamide, 4-[[[3-((phenylmethyl)amino)sulfonyl]phenyl]amino]carbonylamine - (9CI) (CA INDEX NAME)



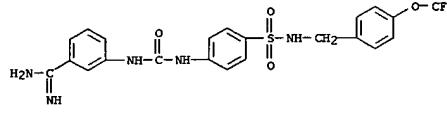
RN 455900-27-3 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-((phenylmethyl)amino)sulfonyl]phenyl]amino]carbonylamine - (9CI) (CA INDEX NAME)



RN 455900-28-4 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-((trifluoromethoxy)phenyl)methyl]amino]sulfonyl]phenyl]carbonylamine - (9CI) (CA INDEX NAME)

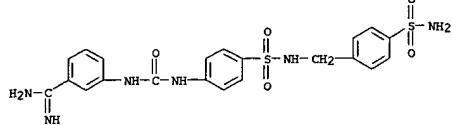


RN 455900-29-5 CAPLUS

CN Benzenecarboximidamide, 3-[[[4-((aminosulfonyl)phenyl)methyl]amino]sulfonyl]phenyl]carbonylamine - (9CI) (CA INDEX NAME)

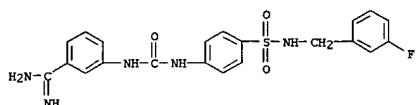
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

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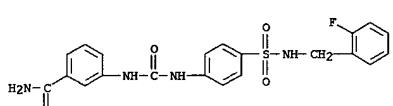
RN 455900-30-8 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(3-fluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



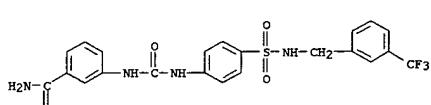
RN 455900-31-9 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(2-fluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

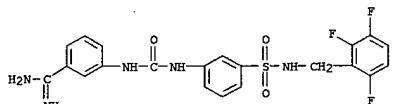


RN 455900-32-0 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(3-trifluoromethyl)phenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

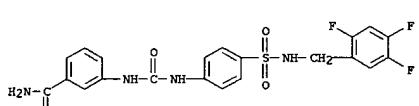


L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



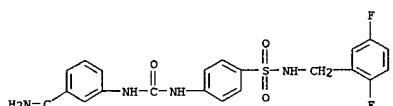
RN 455900-37-5 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(2,4,5-trifluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



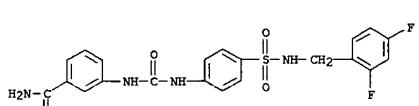
RN 455900-38-6 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(2,5-difluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-39-7 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(2,4-difluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-40-0 CAPLUS

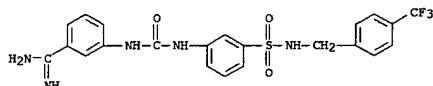
CN Benzenecarboximidamide, 3-[{[{4-[{[(3,4-difluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

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L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

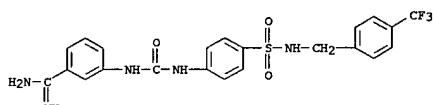
RN 455900-33-1 CAPLUS

CN Benzenecarboximidamide, 3-[{[{3-[{[4-(trifluoromethyl)phenyl]methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



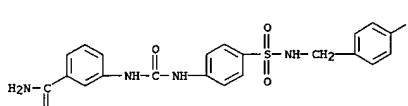
RN 455900-34-2 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(4-(trifluoromethyl)phenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-35-3 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(4-fluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



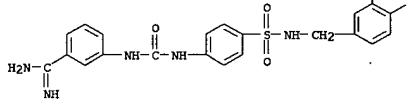
RN 455900-36-4 CAPLUS

CN Benzenecarboximidamide, 3-[{[{3-[{[(2,3,6-trifluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

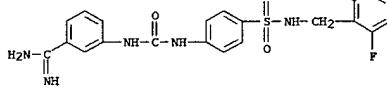
RN 455900-41-1 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(2,6-difluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



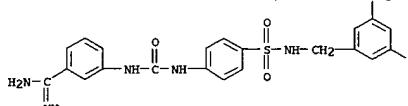
RN 455900-42-2 CAPLUS

CN Benzenecarboximidamide, 3-[{[{4-[{[(3-fluoro-5-trifluoromethyl)phenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



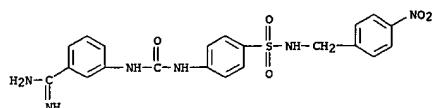
RN 455900-43-3 CAPLUS

CN Benzenecarboximidamide, 4-[{[{3-[{[(2,3,6-trifluorophenyl)methyl]amino}sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

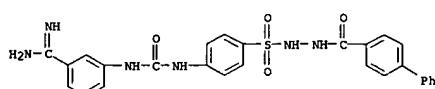


L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

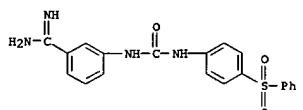
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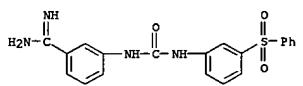
RN 455900-60-4 CAPLUS
 CN [1,1'-Biphenyl]-4-carboxylic acid, 2-[{4-[{3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]phenylsulfone - (9CI) (CA INDEX NAME)



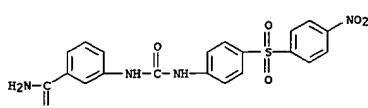
RN 455900-61-5 CAPLUS
 CN Benzenecarboximidamide, 3-[{[4-(phenylsulfonyl)phenyl]amino}carbonyl]amin o - (9CI) (CA INDEX NAME)



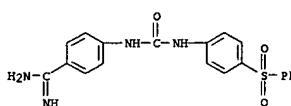
RN 455900-62-6 CAPLUS
 CN Benzenecarboximidamide, 3-[{[3-(phenylsulfonyl)phenyl]amino}carbonyl]amin o - (9CI) (CA INDEX NAME)



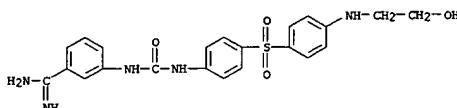
RN 455900-63-7 CAPLUS
 CN Benzenecarboximidamide, 3-[{[4-(4-nitrophenyl)sulfonyl]phenyl]amino}carb

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 onyl]amino - (9CI) (CA INDEX NAME)

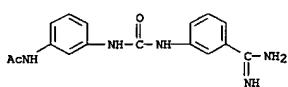
RN 455900-64-8 CAPLUS
 CN Benzenecarboximidamide, 4-[{[4-(phenylsulfonyl)phenyl]amino}carbonyl]amin o - (9CI) (CA INDEX NAME)



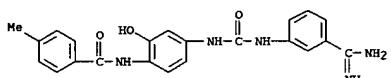
RN 455900-65-9 CAPLUS
 CN Benzenecarboximidamide, 3-[{[4-[{2-hydroxyethyl}amino]phenyl]sulfonyl}phenyl]amino - (9CI) (CA INDEX NAME)



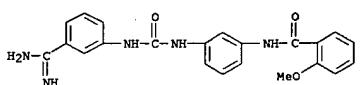
RN 455900-66-0 CAPLUS
 CN Acetamide, N-[3-[{[3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]phenyl - (9CI) (CA INDEX NAME)



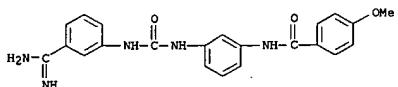
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 455900-67-1 CAPLUS
 CN Benzamide, N-[4-{[3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]-2-hydroxyphenyl]-4-methyl- (9CI) (CA INDEX NAME)



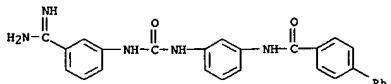
RN 455900-68-2 CAPLUS
 CN Benzamide, N-[3-[{[3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]phenyl]-2-methoxy- (9CI) (CA INDEX NAME)



RN 455900-69-3 CAPLUS
 CN Benzamide, N-[3-[{[3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]phenyl]-4-methoxy- (9CI) (CA INDEX NAME)



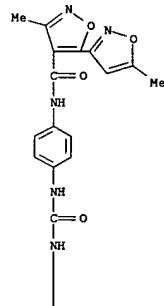
RN 455900-70-6 CAPLUS
 CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[{[3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]phenyl - (9CI) (CA INDEX NAME)



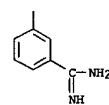
RN 455900-71-7 CAPLUS
 CN (3,5'-Biisoxazole)-4'-carboxamide, N-[4-{[3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]phenyl]-3',5-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

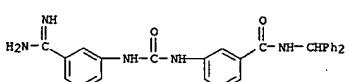
PAGE 1-A



RN 455900-72-8 CAPLUS
 CN Benzamide, 3-[{[3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]-N-(diphenylmethyl)- (9CI) (CA INDEX NAME)

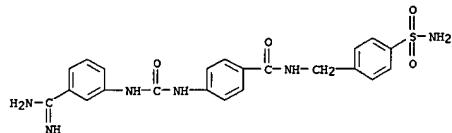


RN 455900-73-9 CAPLUS
 CN Benzamide, 4-[{[3-(aminoiminomethyl)phenyl]amino}carbonyl]amino]-N-[{[4-(aminosulfonyl)phenyl]methyl}- (9CI) (CA INDEX NAME)

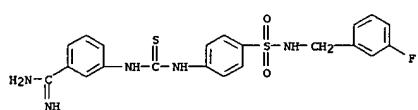


L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

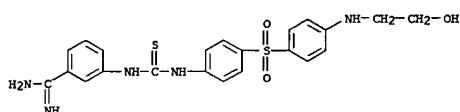
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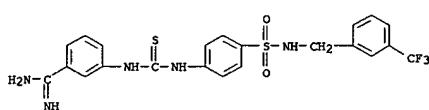
RN 455900-74-0 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-((3-fluorophenyl)methyl)amino]sulfonyl]phenyl]amino- (9CI) (CA INDEX NAME)



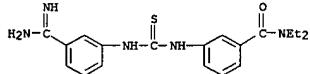
RN 455900-76-2 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-((2-hydroxyethyl)amino)phenyl]sulfonyl]phenyl]amino- (9CI) (CA INDEX NAME)



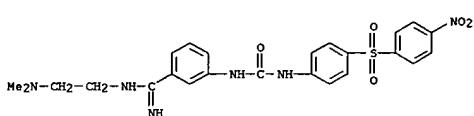
RN 455900-77-3 CAPLUS
 CN Benzenecarboximidamide, 3-[[thioxo[[4-((3-(trifluoromethyl)phenyl)methyl)amino]sulfonyl]phenyl]amino- (9CI) (CA INDEX NAME)



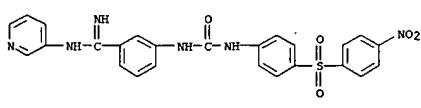
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-82-0 CAPLUS
 CN Benzenecarboximidamide, N-[2-(dimethylamino)ethyl]-3-[[[4-((4-nitrophenyl)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-83-1 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-((4-nitrophenyl)sulfonyl)phenyl]amino]carbonyl]amino-N-3-pyridinyl- (9CI) (CA INDEX NAME)

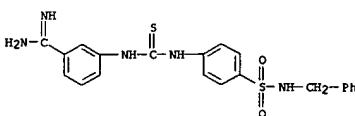


RN 455900-84-2 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-((4-nitrophenyl)sulfonyl)phenyl]amino]carbonyl]amino-N-(2-(1-pyrrolidinyl)ethyl)- (9CI) (CA INDEX NAME)

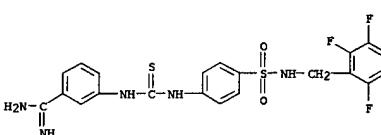
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L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

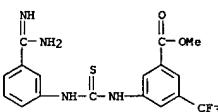
RN 455900-78-4 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-((phenylmethyl)amino)sulfonyl]phenyl]amino]thioxomethyl- (9CI) (CA INDEX NAME)



RN 455900-79-5 CAPLUS
 CN Benzenecarboximidamide, 3-[[thioxo[[4-((2,3,6-trifluorophenyl)methyl)amino]sulfonyl]phenyl]amino]methoxy- (9CI) (CA INDEX NAME)

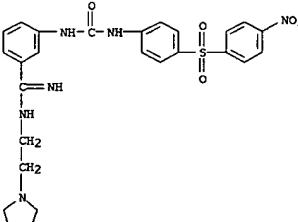


RN 455900-80-8 CAPLUS
 CN Benzoic acid, 3-[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

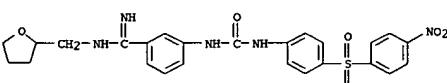


RN 455900-81-9 CAPLUS
 CN Benzamide, 3-[[[3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

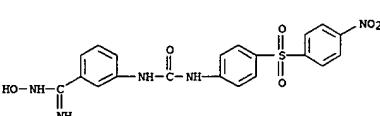
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-85-3 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-((4-nitrophenyl)sulfonyl)phenyl]amino]carbonyl]amino-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



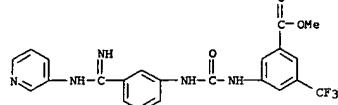
RN 455900-86-4 CAPLUS
 CN Benzenecarboximidamide, N-hydroxy-3-[[[4-((4-nitrophenyl)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



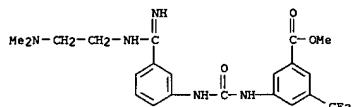
RN 455900-87-5 CAPLUS
 CN Benzoic acid, 3-[[[3-(imino(3-pyridinylamino)methyl)phenyl]amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

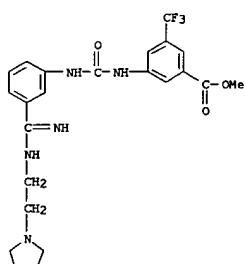
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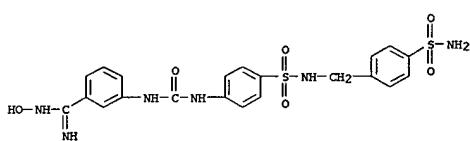
RN 455900-88-6 CAPLUS
CN Benzoic acid, 3-[[[3-((2-(dimethylamino)ethyl)amino)iminomethyl]phenyl]amino]carbonyl-amino-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



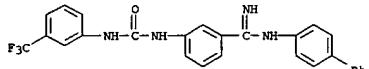
RN 455900-89-7 CAPLUS
CN Benzoic acid, 3-[[[3-(imino)[2-(1-pyrrolidinyl)ethyl]amino)methyl]phenyl]amino]carbonyl-amino-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



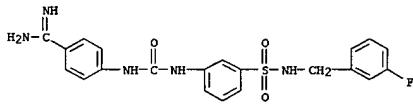
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-97-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-[(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino-N-hydroxy- (9CI) (CA INDEX NAME)



RN 455901-01-6 CAPLUS
CN Benzenecarboximidamide, N-[1,1'-biphenyl]-4-y1-3-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



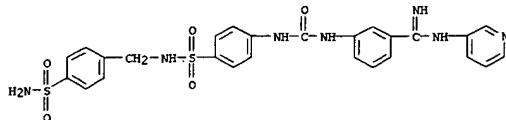
RN 548783-59-1 CAPLUS
CN Benzenecarboximidamide, 4-[[[3-[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



IT 455901-19-6P 548783-59-1P 548783-60-4P
548783-61-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)
RN 455901-19-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-[(diphenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino-N-hydroxy- (9CI) (CA INDEX NAME)

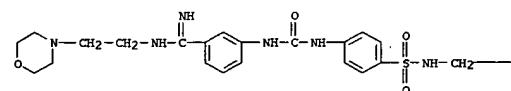
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 455900-90-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-[(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

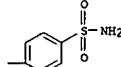


RN 455900-91-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-[(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

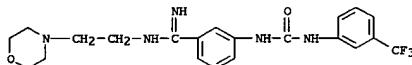
PAGE 1-A



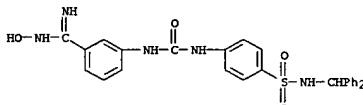
PAGE 1-B



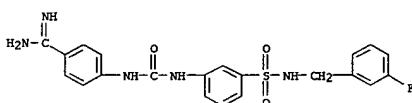
RN 455900-94-4 CAPLUS
CN Benzenecarboximidamide, N-[2-(4-morpholinyl)ethyl]-3-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



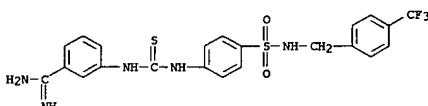
L4 ANSWER 6 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



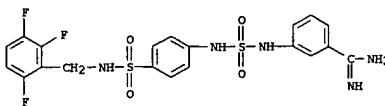
RN 548783-59-1 CAPLUS
CN Benzenecarboximidamide, 4-[[[3-[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 548783-60-4 CAPLUS
CN Benzenecarboximidamide, 3-[[thioxo[[4-[(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 548783-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



IT 455900-93-3P 455900-95-5P 455900-96-6P
455900-98-6P 455900-99-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of diphenylurea, diphenyloxalic acid diamide and

10/09/2003

L4 ANSWER 9 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:935575 CAPLUS
 DOCUMENT NUMBER: 136:69739
 TITLE: Preparation of piperidinoalkylureas as chemokine receptor modulators
 INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Kim, U; Tae Wacker, Dean A.; Zheng, Changsheng
 PATENT ASSIGNEE(S): DuPont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 333 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098270	A2	20011227	WO 2001-US19752	20010620
WO 2001098270	A3	20020530		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, TA, BF, BJ, CF, CG, CI, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6525069	BI	20030225	US 2000-597400	20000621
EP 1294690	A2	20030326	EP 2001-950360	20010620
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PRIORITY APPLN. INFO.:				
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			US 2000-597400	A 20000621
			US 1999-112717P	P 19981218
			US 1999-161221P	P 19991022
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			WO 2001-US19752	W 20010620

OTHER SOURCE(S): MARPAT 136:69739

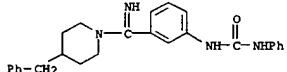
AB The title compds. were prep'd. as chemokine receptor modulators (no data). Thus, PhCH2(CH2)3NHR (2-piperidine-4,1-diyil) (I; R = H) (prepn. given) was amidated by 3-(NC)CGH4NCO to give I [R = CONHC(H4)(CN)-3].

IT 275810-52-1

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidinoalkylureas as chemokine receptor modulators)

RN 275810-52-1 CAPLUS
 CN Piperidine, 1-[imino[3-[(phenylamino)carbonyl]amino]phenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

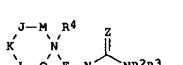


L4 ANSWER 10 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:935574 CAPLUS
 DOCUMENT NUMBER: 136:69738
 TITLE: Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity
 INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B.; Wacker, Dean A.; Yao, Wenqing
 PATENT ASSIGNEE(S): DuPont Pharmaceuticals Company, USA; Bristol-Myers Squibb Pharmaceutical Co.
 SOURCE: PCT Int. Appl., 446 pp.
 CODEN: PIIXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098269	A2	20011227	WO 2001-US19745	20010620
WO 2001098269	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6605623	BI	20030812	US 2000-598821	20000621
PRIORITY APPLN. INFO.:			US 2000-213051P	P 20000621
			US 2000-598821	A 20000621
			US 1998-112717P	P 19981218
			US 1999-161243P	P 19991022
			US 1999-465286	B2 19991217

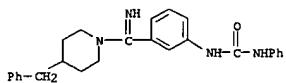
OTHER SOURCE(S): MARPAT 136:69738

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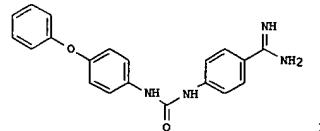


AB [Title compds. I: M = CH2, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, L = CH2, CHR5, CHR6, CR6R6, CR5R6; Z = O, S; M = CH2, CHR5, CHR13, CR13R13, CR5R13; K = CHR5, CR5R6; O = S, O; E = (CHR7)(CHR8)(CR11R12); R1, R2 = H, alky1, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R2R3 = atoms to form a (substituted) 5-7 membered ring; R3, R5 = (substituted) (alkyl)cycloalkyl, (alkyl)heterocycl1; R4 = null, O, alky1, alkenyl, alkynyl, etc.; R4 with R7, R9, or R11 = atoms to form a 5-7 membered ring; R7, R9 = H; R4R7, R4R9 = (substituted) spirocyclyl; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R11R12 = pyrrolidinyl, tetrahydrofuryl, piperidinyl, tetrahydropyranyl; v = 1, 2], were prep'd. as modulators of chemokine activity (no data). Thus, 4-benzyl-1-(3-aminopropyl)piperidine (prepn. given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-(3-[(4-(phenylmethyl)-

L4 ANSWER 10 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity)
 RN 275810-52-1 CAPLUS
 CN Piperidine, 1-[imino[3-[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



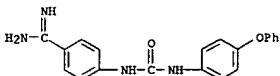
L4 ANSWER 11 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 ACESSION NUMBER: 2001-623551 CAPLUS
 DOCUMENT NUMBER: 135:327005
 TITLE: New class of small nonpeptidyl compounds blocks Plasmodium falciparum development in vitro by inhibiting plasmeprins
 AUTHOR(S): Jiang, Suping; Prigge, Sean T.; Wei, Lan; Gao, Yu-E.; Hudson, Thomas H.; Gerena, Lucia; Dame, John B.; Kyla, Dennis E.
 CORPORATE SOURCE: Department of Parasitology, Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910-7500, USA
 SOURCE: Antimicrobial Agents and Chemotherapy (2001), 45(9), 2577-2584
 PUBLISHER: American Society for Microbiology
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



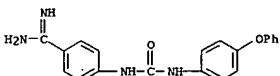
AB Malarial parasites rely on aspartic proteases called plasmeprins to digest HB during the intraerythrocytic stage. Plasmeprins from Plasmodium falciparum and Plasmodium vivax have been cloned and expressed for a variety of structural and enzymatic studies. Recombinant plasmeprins possess kinetic similarity to the native enzymes, indicating their suitability for target-based antimalarial drug development. We developed an automated assay of P. falciparum plasmeprin II and P. vivax plasmeprin to quickly screen compds. in the Walter Reed chem. database. A low-mol.-mass (346 Da) diphenylurea deriv. [WR269961 (I)] was found to inhibit plasmeprins with a Ki of 1 to 6 .mu.M. This compd. appears to be selective for plasmeprin, since it is a poor inhibitor of the human aspartic protease cathepsin D (Ki greater than 280 .mu.M). I inhibited the growth of P. falciparum strains W2 and D6, with 50% inhibitory concns. ranging from 0.03 to 0.16 .mu.g/mL, but was much less toxic to mammalian cells. The Walter Reed chem. database contains over 1,500 compds. with a diphenylurea core structure, 9 of which inhibit the plasmeprins, with a Ki value ranging from 0.05 to 0.68 .mu.M. These nine compds. show specificity for the plasmeprins over human cathepsin D, but they are poor inhibitors of P. falciparum growth in vitro. Computational docking expts. indicate how diphenylurea compds. bind to the plasmeprin active site and inhibit the enzyme.

IT 162021-02-5D, plasmeprin complexes

L4 ANSWER 11 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
 (mol. modeling of)
 RN 162021-02-5 CAPLUS
 CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



IT 162021-02-5, WR 268961
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (new class of small nonpeptidyl compds. blocks Plasmodium falciparum development in vitro by inhibiting plasmeprins)
 RN 162021-02-5 CAPLUS
 CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

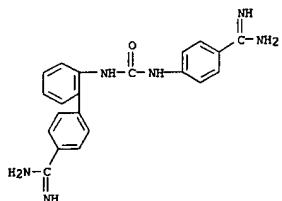
L4 ANSWER 12 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 ACESSION NUMBER: 2001-565039 CAPLUS
 DOCUMENT NUMBER: 135:153111
 TITLE: Preparation of aryl-amidines and derivatives, and products thereof as factor Xa inhibitors
 INVENTOR(S): Kang, Hyung-Gyun; Park, Doo-Hee; Kwon, Oh-Ivan; Kim, Bundi; Eun-Kyeong; Hwang, Kwang-Yeon; Heo, Yong-Seok; Park, Tae-Kyo; Lee, Tae-Hee; Moon, Kwang-Yul; Park, Jong-Woo; Chang, Hye-Kyung; Lee, Sang-Koo; Lee, Sun-Hwan; Park, Su-Kyung; Lee, Sung-Hack; Park, Hee-Dong
 PATENT ASSIGNEE(S): LG Chem Investment Ltd., S. Korea
 SOURCE: PCT Int. Appl., 177 pp.
 CODEN: PIXDD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001055146	A1	20010802	WO 2001-KR13	20010104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1254136	A1	20021106	EP 2001-901571	20010104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003523356	T2	20030805	JP 2001-561005	20010104
US 2003065176	A1	20030403	US 2002-181975	20020724
PRIORITY APPLN. INFO.:				
			KR 2000-4458	A 20000129
			KR 2000-6354	A 20000211
			KR 2000-7487	A 20000217
			KR 2000-7489	A 20000217
			WO 2001-KR13	W 20010104

OTHER SOURCE(S): MARPAT 135:153111
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L4 ANSWER 12 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

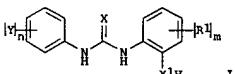
(Continued)

CRN 76-05-1
CMF C2 H F3 O2

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2001:521916 CAPLUS
DOCUMENT NUMBER: 135:107152
TITLE: Preparation of N,N'-diphenyl ureas as IL-8 receptor antagonists
INVENTOR(S): Widdowson, Katherine Louisa; Veber, Daniel Frank; Jurewicz, Anthony Joseph; Hertzberg, Robert Philip; Rutledge, Melvin Clarence, Jr.
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
SOURCE: U.S., 51 pp., Cont.-in-part of U.S. 58,86,044.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6262113	B1	20010717	US 1998-125279	19980814
US 5886044	A	19990323	US 1996-641990	19960320
WO 9729743	A1	19970821	WO 1996-US13632	19960821
			W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KP, KR, LX, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
US 2002128321	A1	20020912	US 2001-871076	20010531
			PRIORITY APPLN. INFO.: US 1996-641990 A2 19960320 WO 1996-US13632 W 19960821 US 1995-390260 B2 19950217 WO 1996-US2260 A 19960216 US 1998-125279 A3 19980814	

OTHER SOURCE(S): MARPAT 135:107152
GI

AB The title compds. [I; X = O; X1 = O, S; R1 = H, halo, NO2, etc.; two R1 moieties together may form O(CH2)sO, 5-6 membered unsatd. ring; s = 1-3; Y = H, halo, NO2, etc.; two Y moieties together may form O(CH2)sO, 5-6 membered unsatd. ring; n, m = 1-3], useful for treating a chemokine mediated disease, wherein the chemokine is one which binds to an IL-8 .alpha. or .beta. receptor, were prep'd. Thus, reacting Me 4-amino-3-hydroxybenzoate with Ph isocyanate afforded 90% I [X = O; R = OH; R1 = 4-CO2Me; m = 1; Y = H]. All of the exemplified compds. I showed an IC50 from about 45 to about < 1 .mu.g/mL against IL-8 receptor binding. All of these compds. were also found to be inhibitors of Gro-.alpha.

L4 ANSWER 13 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

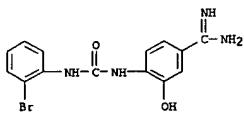
binding at about the same level.

IT 210358-3B-6P

R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep'n. of N,N'-diphenyl ureas as IL-8 receptor antagonists)

RN 210358-3B-6 CAPLUS

CN Benzenecarboximidamide, 4-[[[(2-bromophenyl)amino]carbonyl]amino]-3-hydroxy- (9CI) (CA INDEX NAME)



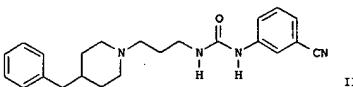
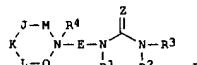
REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:420964 CAPLUS

DOCUMENT NUMBER: 133:43445
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Duncia, John V. K.; Santella, Joseph B., III; Wacker, Dean A.; Klim, Ue Tae
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 351 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035454	A1	20000622	WO 1999-US30336	19991217
			W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE	
EP 1140087	A1	20011010	EP 1999-965322	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			US 1998-112717P P 19981218 US 1999-161184P P 19991022 US 1999-161222P P 19991022 US 1999-465288 A3 19991217 WO 1999-US30336 W 19991217	
US 6331541	B1	20011219	US 1999-465288	19991217
US 6492400	B1	20021210	US 1999-465287	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030210		

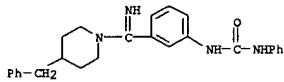
PRIORITY APPLN. INFO.: MARPAT 133:43445
GI

L4 ANSWER 14 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CHR₅, etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR₃ useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275810-52-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepns. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

CN Piperidine, 1-[imino[3-[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



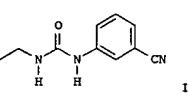
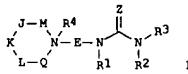
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 ACCESSION NUMBER: 2000:420963 CAPLUS
 DOCUMENT NUMBER: 133:43444
 TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
 INVENTOR(S): Ko, Soo, Clark, Cheryl Mcardie, Delucca, George V., Duncia, John V., Santella, Joseph B., III, Wacker, Dean A.
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
 SOURCE: PCT Int. Appl., 316 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035453	A1	20000622	WO 1999-US30335	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1158980	A1	20011205	EP 1999-965321	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011218	US 1999-465288	19991217
US 6486180	B1	20021126	US 1999-465948	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
			US 1999-161137P	P 19991022
			US 1999-161222P	P 19991022
			US 1999-465288	A3 19991217
			WO 1999-US30335	W 19991217

OTHER SOURCE(S): MARPAT 133:43444
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L4 ANSWER 15 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

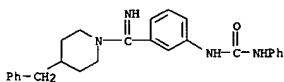


AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CH(CH₂Ph), etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR₃ useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275810-52-1P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepns. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

CN Piperidine, 1-[imino[3-[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

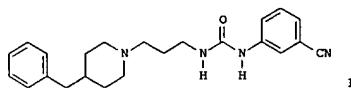
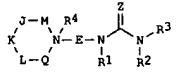


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 ACCESSION NUMBER: 2000:420962 CAPLUS
 DOCUMENT NUMBER: 133:4343
 TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
 INVENTOR(S): Ko, Soo S., Delucca, George V., Duncia, John V., Kim, U, Tae, Santella, Joseph B., III, Wacker, Dean A. K.
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 388 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035452	A1	20000622	WO 1999-US30334	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1161240	A1	20011212	EP 1999-963107	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011218	US 1999-465288	19991217
BR 9917039	A	20020402	BR 1999-17039	19991217
JP 20020532427	T2	20021002	JP 2000-587772	19991217
NZ 511394	A	20030735	NZ 1999-511394	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
NO 2001002977	A	20010820	NO 2001-2977	20010615
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
			US 1999-161137P	P 19991022
			US 1999-161222P	P 19991022
			US 1999-465288	A3 19991217
			WO 1999-US30334	W 19991217

OTHER SOURCE(S): MARPAT 133:43443
 GI



10/09/2003

L4 ANSWER 16 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

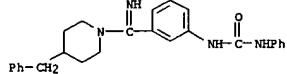
AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CH(CH₂Ph), etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275810-52-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepns. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

CN Piperidine, 1-[imino[3-[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



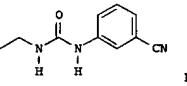
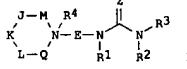
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:420961 CAPLUS
DOCUMENT NUMBER: 133:43442
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.; Watson, Paul S.; Varner, Jeffrey G.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 394 pp.
CODEN: PIKKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200003451	A1	20000522	WO 1999-US30332	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1140086	A1	20011010	EP 1999-964297	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011218	US 1999-465288	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
			US 1999-161243P	P 19991022
			US 1999-161222P	P 19991022
			US 1999-465288	A3 19991217
			WO 1999-US30332	W 19991217

OTHER SOURCE(S): MARPAT 133:43442
GI

L4 ANSWER 17 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



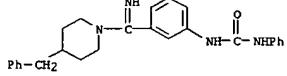
AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CH(CH₂Ph), etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275810-52-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepns. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

CN Piperidine, 1-[imino[3-[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

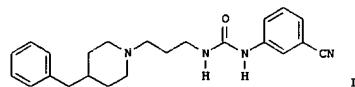
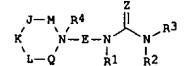


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 18 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:420959 CAPLUS
DOCUMENT NUMBER: 133:43441
TITLE: Preparation of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity
INVENTOR(S): Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Gardner, Daniel S.
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 327 pp.
CODEN: PIKKD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000035449	A1	20000622	WO 1999-US30292	19991217
W: AL, AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1156807	A1	20011128	EP 1999-968144	19991217
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6331541	B1	20011219	US 1999-465288	19991217
ZA 2001003756	A	20020509	ZA 2001-3756	20010509
US 2003013741	A1	20030116	US 2001-7172	20011023
US 6521592	B2	20030218		
PRIORITY APPLN. INFO.:			US 1998-112717P	P 19981218
			US 1999-161221P	P 19991022
			US 1999-161222P	P 19991022
			US 1999-465288	A3 19991217
			WO 1999-US30292	W 19991217

OTHER SOURCE(S): MARPAT 133:43441
GI



AB The title compds. [I; M = absent, CH₂, CH(CH₂Ph), etc.; Q = CH₂, CHR₅, etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantyl, etc.; R₄ = absent, alkyl, alkenyl, etc.], modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

10/09/2003

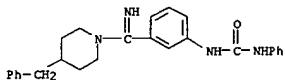
L4 ANSWER 18 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
etc.; J, K, L = CH₂, CH(CH₂Ph), etc.; Z = O, S; E = (CH₂)₂, (CH₂)₃, CH₂CH(OH)CH(Ph), etc.; R₁, R₂ = H, alkyl, alkenyl, etc.; R₂ and R₃ may join to form (un)substituted 5-7 membered ring; R₃ = (un)substituted Ph, naphthyl, adamantlyl, etc.; R₄ = absent, alkyl, alkenyl, etc.), modulators of CCR3 useful for the prevention of asthma and other allergic diseases, were prepd. and formulated. E.g., a multi-step synthesis of II was given. Compds. I are effective at 1.0-20 mg/kg/day (oral dosage).

IT 275810-52-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity)

RN 275810-52-1 CAPLUS

CN Piperidine, 1-[imino[3-[(phenylamino)carbonyl]amino]phenyl]methyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 19 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:112066 CAPLUS
DOCUMENT NUMBER: 132:273766
TITLE: Determination by capillary zone electrophoresis of berenil, phenamidine, diampron and dibromopropamidine in serum and urine
AUTHOR(S): Rabanal, B.; de Paz, , E.; Merino, G.; Negro, A.
CORPORATE SOURCE: Analytical Chemistry, Department of Biochemistry and Molecular Biology, University of Leon, Leon, E-24071, Spain
SOURCE: Journal of Chromatography, B: Biomedical Sciences and Applications (2000), 738 (2), 293-303
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A quick, simple and reliable anal. method has been developed in order to det. berenil, phenamidine, diampron and dibromopropamidine by capillary zone electrophoresis in samples of serum and urine. In order to define the operation parameters in CZE, we have carried out a study on how the apparent electrophoretic mobility (μ_{app}) varies when pH, buffer concn., voltage and temp. are modified. Ohm's law plot has been studied, too. With the data obtained from this study we have detd. the optimum work conditions, which are: citrate buffer: 25 mM, pH: 3.70, 14 kV, 30°.C., wavelength of the UV detector: 200 nm, capillary tube: 570 mm.times.75 μm. Under these conditions, all the products appear in times between: 7.6 min phenamidine and 9.9 min dibromopropamidine, limits of detection being: berenil: 0.50, phenamidine: 0.25, diampron: 0.40 and dibromopropamidine: 0.80. μg/ml. We have carried out a recovery study with three kinds of extrn. cartridges: Sep-pak C-18 plus, Sep-pak C-8 plus and Oasis HLB for each one of the products in blood and urine.

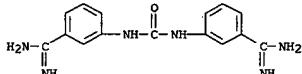
IT 3671-72-5, Diampron

RL: ANT (Analyte); ANST (Analytical study)
(detn. of berenil, phenamidine, diampron and dibromopropamidine in serum and urine by CZE)

RN 3671-72-5 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis(benzene carboximidamide) (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

CM 2

L4 ANSWER 19 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CRN 107-36-8
CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 20 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:98347 CAPLUS
DOCUMENT NUMBER: 133:37773
TITLE: Polyamines: agents with macrofilaricidal activity
AUTHOR(S): Kinnamon, K. E.; Engle, R. R.; Poon, B. T.; Ellis, W. Y.; McCall, J. W.; Dzimianski, M. T.
CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Washington, DC, 20307-5104 USA
SOURCE: Annals of Tropical Medicine & Parasitology (1999), 93(8), 851-858
PUBLISHER: Carfax Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English

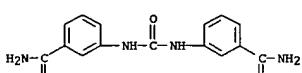
AB There is a need for effective macrofilaricidal drugs. The polyamine metab. of filarial worms has been recognized as a possible target for effective drug action. In an attempt to identify agents that might provide leads in developing an effective macrofilaricide, 78 polyamine compds. were selected from among > 250 000 structures that have been amassed by the Walter Reed Army Institute of Research, in the U.S.A. These thousands of agents have been chosen principally for drug-development programs for other parasitic diseases. The 78 prospective drugs selected were evaluated for their macrofilaricidal activity against Brugia malayi and Acanthocheilonema viteae, in male Mongolian jirds (*Meriones unguiculatus*). The animal models using these two parasites were designed to mimic, in so far as possible, human lymphatic filariasis and onchocerciasis, resp. Thirteen of the compds. were found to be active although none of these had been previously reported to be macrofilaricidal. Two were suppressive for *B. malayi* and 11 for *A. viteae*. These active agents may represent a nucleus around which highly effective drugs can be synthesized.

IT 3459-96-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(polyamines: agents with macrofilaricidal activity)

RN 3459-96-9 CAPLUS

CN Benzene carboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 21 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:306124 CAPLUS
 DOCUMENT NUMBER: 131:124978

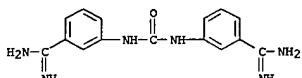
TITLE: Leishmania infantum promastigotes: effects of diamidines on DNA synthesis and non-protein thiol contents
 AUTHOR(S): Azas, N.; Di Giorgio, C.; Gasquet, M.; Delmas, F.; Timon-David, P.
 CORPORATE SOURCE: Laboratoire de Parasitologie, Faculte de Pharmacie, Marseille, 13385, Fr.
 SOURCE: Medical Science Research (1999), 27(3), 149-152
 CODEN: MSCREJ ISSN: 0269-8951
 PUBLISHER: Lippincott Williams & Wilkins
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB We have compared the antiproliferative activity of eight diamidines and two inhibitors of polyamine synthesis on Leishmania infantum promastigotes to their action on the cell cycle and non-protein thiol contents. As expected, both diamidines and polyamine synthesis inhibitors induced an exponential dose-related decrease in growth, a concomitant fall in non-protein thiol contents and a significant inhibition of DNA synthesis. However, in contrast to the inhibitors of polyamine synthesis, which reduced the percentages of cells in the S phase of the cell cycle only at high concns., diamidines inhibited DNA synthesis at infinitesimal concns. There was also a strong correlation between the S-phase decline and inhibition of growth. This suggests that DNA synthesis inhibition due to diamidine treatment could not be considered as a side-effect resulting from polyamine depletion, but may be the principal mechanism of diamidine antiproliferative activity in Leishmania promastigotes.

IT 3459-96-9, Amicarbazide
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (effects of antileishmanial diamidines on DNA synthesis and non-protein thiol contents)

RN 3459-96-9 CAPLUS

CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 22 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1998:800403 CAPLUS
 DOCUMENT NUMBER: 130:177122

TITLE: Novel GABA_A receptor blockers: an attempt to find more potent clozapine-like selective GABA antagonists
 AUTHOR(S): Squires, Richard F.; Saederup, Else
 CORPORATE SOURCE: Nathan S. Kline Psychiatric Research, Orangeburg, NY, 10962, USA
 SOURCE: Voprosy Meditsinskoi Khimii (1997), 43(6), 576-583
 CODEN: VMKAM; ISSN: 0042-8809
 PUBLISHER: NII Biomeditsinskoi Khimii
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

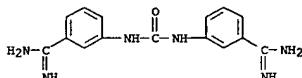
AB Because clozapine and a no. of other antipsychotic, as well as antidepressant drugs selectively block subsets of GABA receptors, we have routinely screened 1100 compds. since 1983 for GABA antagonists effects on 355-TBPS binding, with a view to finding more potent clozapine-like selective GABA receptor blockers. About 225 GABA antagonists were identified. Among compds. not previously published, four groups of tricyclic compds. (phenothiazines, phenoxazines, acridines and phenazines) contained GABA_A receptor blockers, with acridines and oxidized phenothiazines in general being the most potent. Other active groups include cocaine derivs., xanthines, indoles and phenethylamine derivs. A large group of misc. structures includes all known GABA_A receptor blockers, as well as some antihistamines, antitussives, antimalarial/antiprotozoals, potential antidepressant, and a large non-therapeutic category consisting of diverse chem. structures. The amido steroid R5135 remains the most potent GABA_A receptor blocker by far (EC₅₀ = 5.7 nM, .DELTA.Bopt = 130%), and is non-arom. Pitcazepin, the next-most potent GABA_A receptor blocker (EC₅₀ = 360 nM), also fully reverses the inhibitory effect of 1 .mu.M GABA on 355-TBPS binding, but is 63-fold less potent than R5135. Appropriately positioned amido groups, ring (arom.) nitrogen, ether and keto groups can contribute to the potency of GABA_A receptor blockade. Clozapine-like selective GABA_A receptor blockers with EC₅₀ values in the low nanomolar range remain to be identified. Such compds. may have potent antipsychotic effects.

IT 3459-96-9, Amicarbazide

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (novel GABA_A receptor blockers: an attempt to find more potent clozapine-like selective GABA antagonists)

RN 3459-96-9 CAPLUS

CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

ACCESSION NUMBER: 1998:721912 CAPLUS
 DOCUMENT NUMBER: 130:77828

TITLE: Oxyanion-Mediated Inhibition of Serine Proteases
 AUTHOR(S): Presnell, Steven R.; Patil, Girish S.; Muria, Cameron; Jude, Kevin M.; Conley, Jennifer M.; Bertrand, Jay A.; Kam, Chih-Min; Powers, James C.; Williams, Loren Dean
 CORPORATE SOURCE: School of Chemistry Biochemistry, Georgia Institute of Technology, Atlanta, GA, 30332-0400, USA
 SOURCE: Biochemistry (1998), 37(48), 17068-17081
 CODEN: BICHAW; ISSN: 0006-2960
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

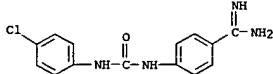
AB Novel aryl derivs. of benzamidine were synthesized and tested for their inhibitory potency against bovine trypsin, rat skin tryptase, human recombinant granzyme A, human thrombin, and human plasma kallikrein. All compds. show competitive inhibition against these proteases with Ki values in the micromolar range. X-ray structures were add. at 1.8 .ANG. resolution for trypsin complexed with two of the para-substituted benzamidine derivs., 1-(4-amidinophenyl)-3-(4-chlorophenyl)urea (ACPU) and 1-(4-amidinophenyl)-3-(4-phenoxyphenyl)urea (APPU). Although the inhibitors do not engage in direct and specific interactions outside the Si pocket, they do form intimate indirect contacts with the active site of trypsin. The inhibitors are linked to the enzyme by a sulfate ion that forms an intricate network of three-centered hydrogen bonds. Comparison of these structures with other serine protease structures with non-covalently bound oxyanions reveals a pair of highly conserved oxyanion-binding sites in the active site. The positions of the non-covalently bound oxyanions, such as the oxygen atoms of sulfate, are distinct from the positions of covalent oxyanions of tetrahedral intermediates. Non-covalent oxyanion positions are outside the oxyanion hole. Kinetics data suggest that protonation stabilizes the ternary inhibitor/oxyanion/protease complex. In sum both cations and anions can mediate Ki. Cation-mediated of potency of competitive inhibitors of serine proteases was previously reported by Stroud and co-workers [Katz, B. A., Clark, J. M., Finer-Moore, J. S., Jenkins, T. E., Johnson, C. R., Rose, M. J., Luong, C., Moore, W. R., and Stroud, R. M. (1998) Nature 391, 608-612].

IT 218967-55-6D, trypsin complexes 218967-57-8D, trypsin complexes

RL: PRP (Properties)
 (crystal structure, prepn. of and oxyanion-mediated inhibition of serine proteinases by benzamidine derivs.)

RN 218967-55-6 CAPLUS

CN Benzenecarboximidamide, 4-[[[[(4-chlorophenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

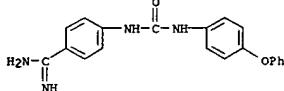


● HCl

Habte

L4 ANSWER 23 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 218967-57-8 CAPLUS
 Benzenecarboximidamide, 4-[[[[(4-phenoxyphenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



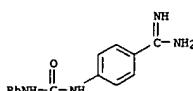
● HCl

IT 218967-54-5P 218967-55-6P 218967-57-8P
 218967-58-8P 218967-59-9P 218967-61-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of and oxyanion-mediated inhibition of serine proteinases by benzamidine derivs.)

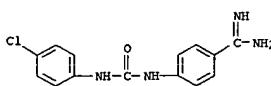
IT 218967-54-5 CAPLUS

CN Benzenecarboximidamide, 4-[[[[(phenylamino)carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 218967-55-6 CAPLUS
 Benzenecarboximidamide, 4-[[[[(4-chlorophenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



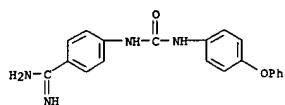
● HCl

10/09/2003

L4 ANSWER 23 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 218967-57-8 CAPLUS

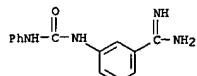
CN Benzenecarboximidamide, 4-[[(4-phenoxyphenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 218967-58-9 CAPLUS

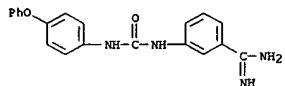
CN Benzenecarboximidamide, 3-[[(phenylamino)carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 218967-59-0 CAPLUS

CN Benzenecarboximidamide, 3-[[(4-phenoxyphenyl)amino]carbonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



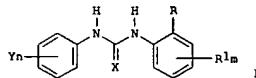
● HCl

RN 218967-61-4 CAPLUS

CN Benzenecarboximidamide, 4,4'-(carbonyldiimino)bis-, dihydrochloride (9CI)

L4 ANSWER 24 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1998:479029 CAPLUS
 DOCUMENT NUMBER: 129:122458
 TITLE: Preparation of N,N'-diphenylureas derivatives as interleukin-8 receptor antagonists
 INVENTOR(S): Widdowson, Katherine Louise; Weber, Daniel Frank; Jurewicz, Anthony Joseph; Hertzberg, Robert Philip; Rutledge, Melvin Clarence, Jr.
 PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
 SOURCE: U.S., 50 pp., Cont.-in-part of U.S. Ser. No. 641,990.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5780483	A	19980714	US 1996-701299	19960821
US 5886044	A	19990323	US 1996-641990	19960320
US 6211373	B1	20010403	US 1998-111663	19980708
PRIORITY APPLN. INFO.:			US 1995-390260	B2 19950217
			US 1996-641990	A2 19960320
			WO 1996-US2260	W 19960216
			US 1996-701299	A3 19960821

OTHER SOURCE(S): MARPAT 129:122458
GI

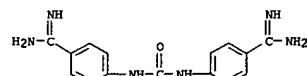
AB The title compds. [I; X = O, S; R = any functional moiety having an ionizable H and pKa of >10 (sic)] R1, Y = H, halo, NO2, cyano, (halo)alkyl, alkaryl, (halo)alkoxy, N3, HO, hydroxylalkyl, acyl, arylalkyl, acyloxy, arylalkoxy, heteroarylyl, heterocarylyl, heterocycl, heterocyclylalkyl, heterocyclylalkenyl, heteroarylalkenyl, (un)substituted NH2, CONH2, or SO3H, etc.; m, n = 1-3], which are useful for the treatment of disease states mediated by the chemokine, interleukin-8 (IL-8) (no data), are prep'd. Thus, Me 4-amino-3-hydroxybenzoate was added to a soln. of Ph isocyanate in PhMe and the resulting mixt. was stirred at approx. 80 degree. for 24-48 h to give 90% N-[2-hydroxy-4-(methoxycarbonyl)phenyl]-N'-phenylurea.

IT 210358-38-6

R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prep. of N,N'-diphenylurea derivs. as interleukin-8 receptor antagonists for disease treatment)

RN 210358-38-6 CAPLUS

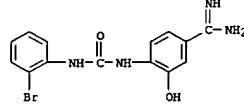
CN Benzenecarboximidamide, 4-[[(2-bromophenyl)amino]carbonyl]amino]-3-hydroxy- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
(CA INDEX NAME)

● 2 HCl

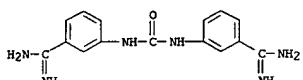
REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 24 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



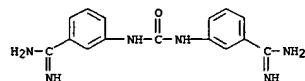
REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 25 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1998:75630 CAPLUS
 DOCUMENT NUMBER: 128:215441
 TITLE: QacA multidrug efflux pump from *Staphylococcus aureus*: comparative analysis of resistance to diamidines, biguanidines, and guanylhydrazones
 AUTHOR(S): Mitchell, Bernadette A.; Brown, Melissa H.; Skurray, Ronald A.
 CORPORATE SOURCE: School of Biological Sciences, University of Sydney, New South Wales, 2006, Australia
 SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(2), 475-477
 CODEN: AMACQ; ISSN: 0066-4804
 PUBLISHER: American Society for Microbiology
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The staphylococcal multidrug efflux pump QacA mediates resistance to a broad spectrum of monovalent and divalent antimicrobial cations. Resistance toward various classes of these compds. identified features of the substrate that may be important for interaction with QacA. Anal. of combinations of two substrates suggested that the same mechanism is used for the extrusion of different classes of compds.
 IT 3459-96-9, Amicarbalide
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (comparative anal. of QacA multidrug efflux pump-mediated resistance to diamidines, biguanidines, and guanylhydrazones in *Staphylococcus aureus*)
 RN 3459-96-9 CAPLUS
 CN Benzene-carboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



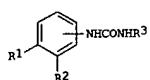
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 26 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997:292798 CAPLUS
 DOCUMENT NUMBER: 126:324972
 TITLE: Therapeutic efficacy of atovaquone against the bovine intracellular parasite, *Babesia divergens*
 AUTHOR(S): Pudney, Mary; Gray, Jeremy S.
 CORPORATE SOURCE: Department of Molecular Sciences, Wellcome Foundation Limited, Kent, BR3 3BS, UK
 SOURCE: Journal of Parasitology (1997), 83(2), 307-310
 CODEN: JOPAAZ; ISSN: 0022-3395
 PUBLISHER: American Society of Parasitologists
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB This study demonstrates the activity of the hydroxynaphthoquinone (HNQ), atovaquone, against *Babesia divergens*, the cause of a rare but lethal form of human babesiosis. In vitro studies showed that unlike other anti-malarial drugs, the HNQs studied have a high level of anti-babesial activity and atovaquone was more active than imidocarb, the most effective compd. used so far for human *B. divergens* babesiosis and also used routinely for the treatment of bovine babesiosis. Atovaquone also proved to be extremely active against *B. divergens* in gerbils (*Meriones unguiculatus*). Acute fulminating infections were effectively treated with as little as 1.0 mg/kg with increasing effectiveness up to 10 mg/kg, which compares well with the activity of imidocarb. Although immunosuppression with dexamethasone slowed the decline of parasitemias after treatment with atovaquone, gerbil survival was unaffected. Pretreatment of gerbils with 4 daily low doses of atovaquone did not have any effect on the development of subsequent infections. However, if treatment was continued after infection, daily doses as low as 0.5 mg/kg effectively suppressed the parasites.
 IT 3459-96-9, Amicarbalide
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (therapeutic efficacy of atovaquone and other drugs against bovine intracellular parasite *Babesia divergens*)
 RN 3459-96-9 CAPLUS
 CN Benzene-carboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

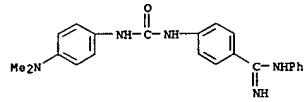


L4 ANSWER 27 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 ACCESSION NUMBER: 1997:107406 CAPLUS
 DOCUMENT NUMBER: 126:117864
 TITLE: Preparation of N-heterocyclyl-ureas as 5-HT antagonists
 INVENTOR(S): Ito, Kiyotaka; Spears, Glen W.; Yamamoto, Toshio; Harada, Keiko; Hotta, Yukai; Kato, Masayuki
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan; Ito, Kiyotaka; Spears, Glen, W.; Yamamoto, Toshio; Harada, Keiko; Hotta, Yukai; Kato, Masayuki
 SOURCE: PCT Int. Appl., 76 pp.
 CODEN: PIXKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

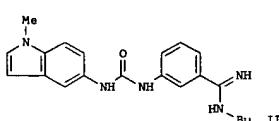
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9639382	A1	19961212	WO 1996-JP1500	19960604
W: CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE	T2	19990608	JP 1996-500302	19960604
JP 11506468			GB 1995-11355	19950606
PRIORITY APPN. INFO.:			WO 1996-JP1500	19960604
OTHER SOURCE(S): MARPAT 126:117864				
GI				



L4 ANSWER 27 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 MeOH afforded II.HI which showed 77% inhibition against [3H]-mesulergine binding in the rat prefrontal cortex.
 IT 186128-56-3
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn of N-heterocyclyl-ureas as 5-HT antagonists)
 RN 186128-56-3 CAPLUS
 CN Benzene-carboximidamide, 4-[{[(4-(dimethylamino)phenyl]amino]carbonyl}amino]-N-phenyl-, monohydriodide (9CI) (CA INDEX NAME)



● HI

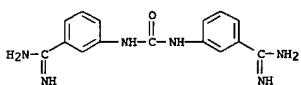


AB The title compds. [I; R1 = CN, thiocarbamoyl, -(AlNH)mC(:NH)(NH)nR4 (whereas R4 = H, optionally substituted lower alkyl, aryl, etc.; Al = lower alkylene, m, n = 0-1), -A2R5 (whereas R5 = morpholino, piperidino, etc.; A2 = lower alkylene), -A3N(R6)R7 (whereas R6, R7 = H, optionally substituted aryl, acyl, etc.; A3 = lower alkylene); R2 = H; R1R2 = -(CH2)3CH(R8) - , -(CH2)2N(R9)CH2 - , -(CH2)3N(R9) - (whereas R8 = NH2, acylamino; R9 = H, acyl, lower alkyl, etc.); R3 = 1-lower alkylindolyl, benzofuranyl, dihydrobenzofuranyl, optionally substituted aryl], useful as a medicament for prophylactic and therapeutic treatment of 5-HT mediated diseases, were prep'd. Thus, reaction of N-(1-methyl-1H-indol-5-yl)-N'-(3-(methylthio)imino)methylphenylurea with BuNH2 in the presence of AcOH in

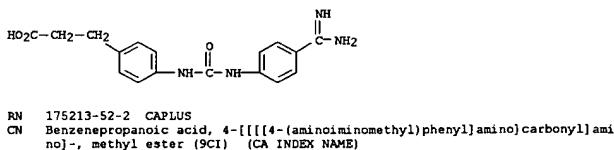
Habte

10/09/2003

L4 ANSWER 28 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997-0755 CAPLUS
 DOCUMENT NUMBER: 126:180830
 TITLE: Structural determinants of putrescine uptake inhibition produced by cationic diamidines in model of Trypanosomatidae Crithidia fasciculata
 AUTHOR(S): Navas, Isabel M.; Garcia-Fernandez, Antonio J.; Johnson, Raoul A.; Reguera, Rosa M.; Balana-Fouce, Rafael; Ordonez, David
 CORPORATE SOURCE: Facultad Veterinaria, Universidad Murcia, Murcia, E-30071, Spain
 SOURCE: Biological Chemistry (1996), 377(12), 833-836
 COEN: BICHF3; ISSN: 1431-6730
 PUBLISHER: de Gruyter
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The effect of a heterologous series of cationic diamidines was tested on cell growth and polyamine uptake on the model of Trypanosomatidae C. fasciculata. The max. inhibitory effect on both parameters was found for pentamidine and dibromopropamide, which exhibit a longer distance between amino and imino substituents. A min. inhibitory effect was found with amicarbazide. A good relationship was obtained when the distance between amino moieties was plotted vs. the inhibitory effect on putrescine uptake, suggesting a role of this structural property on polyamine transport in C. fasciculata. In addn., a similar correlation was obtained for another Trypanosomatidae parasite, Leishmania infantum.
 IT RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (structural determinants of putrescine uptake inhibition by cationic diamidines in Crithidia fasciculata)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

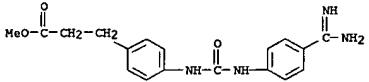


L4 ANSWER 29 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1996-214772 CAPLUS
 DOCUMENT NUMBER: 124:260954
 TITLE: Preparation of sulfamides, ureas, and analogs as bioadhesion inhibitors
 INVENTOR(S): Himmelbach, Frank; Austel, Volkhard; Pieper, Helmut; Linz, Guenter; Weisenberger, Johannes; Guth, Brian
 PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
 SOURCE: Ger. Offen., 18 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:
 PRIORITY APPLN. INFO.: DE 1994-4424974 19940715
 OTHER SOURCE(S): MARPAT 124:0084
 AB R1R2NR3 (R1 = amidinophenyl, amidinobiphenyl, carboxyalkyl, etc.; R2 = H, alkyl; R3 = OR1, NR1R6, etc.; R6 = H, alkyl) were prep'd. Thus, 2-(HO)CGH4OSO3H was amidated by H2NCH2CH2CO2Et and the product amidated by 4-(H2N)CGH4CGH4 (CN)-4 to give 4-(NC)CGH4CGH4(NHSO2NHCH2CH2CO2R)-4 (I; R = Et). I (R = Me) was converted in 3 steps to 4-(H2NHNH2)CGH42INHGNHC2H2CO2H (II; Z = SO2, Z1 = 1,4-phenylene). II (Z = CO, Z1 = bond) had IC50 of 450nM against BIBU 52 binding to human thrombocytes *in vitro*.
 IT 175213-47-5P 173213-52-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prep'n. of sulfamides, ureas, and analogs as bioadhesion inhibitors)
 RN 175213-47-5 CAPLUS
 CN Benzenepropanoic acid, 4-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

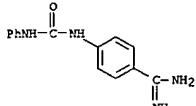


RN 175213-52-2 CAPLUS
 CN Benzenepropanoic acid, 4-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino-, methyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 30 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1995-366994 CAPLUS
 DOCUMENT NUMBER: 122:234109
 TITLE: Mammalian tissue trypsin-like enzymes: substrate specificity and inhibitory potency of substituted isocoumarin mechanism-based inhibitors, benzamidine derivatives, and arginine fluoroalkyl ketone transition-state inhibitors
 AUTHOR(S): Kam, Chih-Min; Hernandez, Maria A.; Patil, Girish S.; Ueda, Toshihisa; Simmons, William H.; Braganza, Vincent J.; Powers, James C.
 CORPORATE SOURCE: Sch. Chem. Biochem., Georgia Inst. Technology, Atlanta, GA, 30332-0400, USA
 SOURCE: Archives of Biochemistry and Biophysics (1995), 316(2), 808-14
 PUBLISHER: Academic
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Amino acid and peptide thioesters which contained Arg or Lys in the P1 position were tested as substrates for rat skin trypsinase, and the kinetic consts. kcat/Km for the better substrates, such as 2-Aba-Arg-SBzl, and Z-Gly-Arg-SBzl (Aba = α -aminobutyric acid; Z = benzylxycarbonyl; SBzl = thiobenzyl ester), were >5 times, 106 M-1 s-1. The inhibitory potency of arginine fluoroalkyl ketones, benzamidine derivs., and substituted isocoumarins contg. basic functional groups was studied with rat skin trypsinase, human lung trypsinase, human skin trypsinase, and bovine trypsin. 1-Naphthoyl-Arg-CF3 was the best arginine fluoroalkyl ketone reversible inhibitor for rat skin trypsinase with a Ki of 0.9 μ M. 1-(4-Aminophenyl)-3-(4-phenoxyphenyl)urea showed competitive inhibition against bovine trypsin and rat skin trypsinase with Ki values of 2 and 4 μ M, resp. Isocoumarin derivs. with isothioureidoalkoxy substituents at the 3-position were potent irreversible inhibitors of these 3 trypases with kobs/[I] values of 104-105 M-1 s-1. 4-Chloro-3-(2-isothioureido)ethoxy-7-phenylcarbamoylaminoisocoumarin and 7-benzylcarbamoylaminoo-4-chloro-3-(3-isothioureido)propoxyscoumarin inactivated trypsin and formed stable trypsin-inhibitor complexes which regained <8% activity upon standing in the pH 7.5 buffer and regained 30-75% activity in the presence of 0.3M NH2OH after 1 day. In contrast, the complexes with rat skin trypsinase regained activity rapidly, indicating differences in the inhibition mechanism and active site structures of these related enzymes.
 IT 162020-99-7 162021-00-3 162021-02-5
 162021-03-6 162021-04-7
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors of rat and human tryptases)
 RN 162020-99-7 CAPLUS
 CN Benzenecarboximidamide, 4-[(phenylamino)carbonyl]amino- (9CI) (CA INDEX NAME)

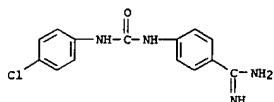


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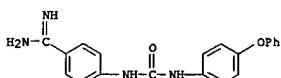
Habte

L4 ANSWER 30 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

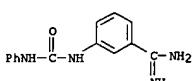
RN 162021-00-3 CAPLUS
 CN Benzenecarboximidamide, 4-[[[(4-chlorophenyl)amino]carbonyl]amino]- (9CI)
 (CA INDEX NAME)



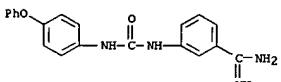
RN 162021-02-5 CAPLUS
 CN Benzenecarboximidamide, 4-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI)
 (CA INDEX NAME)



RN 162021-03-6 CAPLUS
 CN Benzenecarboximidamide, 3-[[[(phenylamino)carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 162021-04-7 CAPLUS
 CN Benzenecarboximidamide, 3-[[[(4-phenoxyphenyl)amino]carbonyl]amino]- (9CI)
 (CA INDEX NAME)



L4 ANSWER 31 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1994:473074 CAPLUS
 DOCUMENT NUMBER: 121:73074

TITLE: Putrescine uptake inhibition by aromatic diamidines in Leishmania infantum promastigotes
 Requera, R.; Balana Fouce, R.; Cubria, J. C.; Alvarez Bujidos, M. L.; Ordóñez, D.

AUTHOR(S):
 CORPORATE SOURCE: Fac. Vet., Univ. Leon, Leon, 24071, Spain
 SOURCE: Biochemical Pharmacology (1994), 47(10), 1859-66
 CODEN: BCPGAG; ISSN: 0006-2952

DOCUMENT TYPE: Journal
 LANGUAGE: English

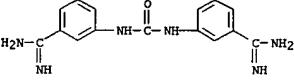
AB The effect of a series of arom. diamidines has been tested on Leishmania infantum promastigotes in both culture growth and putrescine uptake. The EC50 values calcd. by means of dose-response curves were 45, 80, 165, 259, and 600 μ M for 4',6-diaminod-2-phenylindole (DAPI), dibromo propamidine, pentamidine 2-hydroxy stilbamidine and stilbamidine, resp., although no inhibitory effects on cell growth were found at 1 mM propamidine, phenamidine and amicarbalide. When these compds. were kinetically analyzed for putrescine uptake using Lineweaver-Burk plots, the Ki values reached were: DAPI, 15 μ M; pentamidine, 3 μ M; dibromo propamidine, 7 μ M; 2-hydroxy stilbamidine, 21 μ M; stilbamidine, 20 μ M; propamidine, 25 μ M; and phenamidine, 95 μ M. Amicarbalide, however, was not able to reduce putrescine uptake to a significant extent, even at the highest concn. studied of 1 mM.

IT 3459-96-9, Amicarbalide

RL: BIOL (Biological study)
 (Leishmania infantum promastigotes growth inhibition by, structure in relation to)

RN 3459-96-9 CAPLUS

CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 32 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:400286 CAPLUS
 DOCUMENT NUMBER: 119:286

TITLE: Aromatic diamidines are reversible inhibitors of porcine kidney diamine oxidase
 Cubria, J. C.; Balana Fouce, R.; Alvarez-Bujidos, M.

AUTHOR(S): L.; Negro, A.; Ortiz, A. I.; Ordóñez, D.
 CORPORATE SOURCE: Fac. Vet., Univ. Leon, Leon, 24071, Spain
 SOURCE: Biochemical Pharmacology (1993), 45(6), 1355-7
 CODEN: BCPGAG; ISSN: 0006-2952

DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The inhibitory ability of arom. diamidines has been studied on porcine kidney diamine oxidase. The reversibility of drug-protein interactions has been tested by means of exhaustive dialysis expts., showing in all cases a reversible binding pattern. Ki Values obtained by means of Lineweaver-Burk plots were: stilbamidine 12 μ M, 2-OH-stilbamidine 8.5 μ M, phenamidine 4 μ M, propamidine 8 μ M, dibromopropamidine 4.9 μ M and amicarbalide 1.2 μ M.

IT 3671-72-5, Amicarbalide isethionate

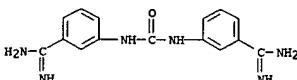
RL: BIOL (Biological study)
 (diamine oxidase reversible inhibition by)

RN 3671-72-5 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O



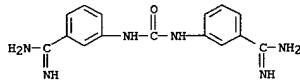
CM 2

CRN 107-36-8
 CMF C2 H6 O4 S

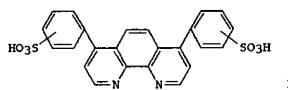
HO-CH₂-CH₂-SO₃H

L4 ANSWER 33 OF 84 CAPIUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1988:447851 CAPIUS
 DOCUMENT NUMBER: 109:47851
 TITLE: Cationic antitrypanosomal and other antimicrobial agents in the therapy of experimental *Pneumocystis carinii* pneumonia
 AUTHOR(S): Walzer, Peter D.; Kim, C. Kurtis; Foy, Jilanna; Linke, Michael J.; Cushion, Melanie T.
 CORPORATE SOURCE: Coll. Med., Univ. Cincinnati, Cincinnati, OH, 45220, USA
 SOURCE: Antimicrobial Agents and Chemotherapy (1988), 32(6), 896-905
 CODEN: AMACQ; ISSN: 0066-4804
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Cationic compds. used in the treatment of veterinary African trypanosomiasis have structural properties similar to those of pentamidine, which has been used in the therapy of human trypanosomiasis and infection with *P. carinii*. The activities of these drugs and other antimicrobial agents were compared in an immunosuppressed rat model of *P. carinii* pneumonia. Diminazene, imidocarb, amicarbazide, quinapyramine, and isometamidium showed efficacy greater than or equal to that of pentamidine in the therapy of *P. carinii* infection, whereas ethidium and methylglyoxal bis(guanylhydrazone) were only slightly active against the organism. Diminazene and pentamidine also exhibited comparable efficacy in *P. carinii* prophylaxis. α , β -Difluoromethylornithine (DFMO), a polyamine inhibitor, was ineffective therapy when used alone and did not improve the effectiveness of pentamidine or diminazene. Quinine, quinidine, quinacrine, chlorpromazine, spiramycin, Pentostam, Astiban, dehydroemetine, ampicillin, gentamicin, chloramphenicol, and spectinomycin also showed little or no activity against the organism. Thus, in this model anti-*P. carinii* activity appears to be a common property of veterinary cationic trypanocidal compds. This should be important in studying structure-activity relationships and in developing new drugs for the treatment of *P. carinii* infection in humans.
 IT 3671-72-5, Amicarbazide isethionate
 RL: BIOL (Biological study)
 (Pneumocystis carinii pneumonia therapy with, structure in relation to)
 RN 3671-72-5 CAPIUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

L4 ANSWER 34 OF 84 CAPIUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1986:491184 CAPIUS
 DOCUMENT NUMBER: 105:91184
 TITLE: Iontophoretic studies on rat hippocampus with some novel GABA antagonists
 AUTHOR(S): Daikara, Turgay; Saederup, Else; Squires, Richard F.; Krnjevic, Kresimir
 CORPORATE SOURCE: Anaesthesia Res. Dep., McGill Univ., Montreal, QC, H3G 1Y6, Can.
 SOURCE: Life Sciences (1986), 39(5), 415-22
 CODEN: LIFSAK; ISSN: 0024-3205
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Twelve substances which appear to be GABA [56-12-2] antagonists, judging by their ability to reverse the inhibitory effect of GABA on [35S]tert-butylbicyclophosphorothionate/[35S]TBPS binding to rat brain membranes, were tested iontophoretically on population spikes in the rat hippocampus. Eight of them, including 7 which completely reversed the inhibitory action of GABA on [35S]TBPS binding, caused a marked enhancement of population spikes, with slow onset and long duration and they antagonized the inhibition of population spikes by GABA. These effects were similar to those produced by bicuculline [485-49-4]. Electrophysiol., the most potent of the complete reversers were bathophenanthroline disulfonate (I), [28061-20-3], and brucine [357-57-3]. In vitro, amoxapine [14028-44-5] and brucine most effectively reversed the inhibitory action of GABA on 35S-TBPS binding. Of the 5 substances which only partly reversed the inhibitory effect of GABA on [35S]TBPS binding, 4 depressed the population spikes and potentiated the inhibitory action of GABA. The partial reverser, pipazethate [2167-85-3], potentially increased the population spikes like the complete reversers. Results are consistent with the existence of several GABA-A receptor types in brain, only some of which are blocked by certain partial reversers.
 IT 3671-72-5
 RL: BIOL (Biological study)
 (hippocampus elec. activity response to, GABA in relation to)
 RN 3671-72-5 CAPIUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

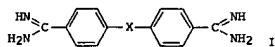
L4 ANSWER 33 OF 84 CAPIUS COPYRIGHT 2003 ACS on STN (Continued)
 CM 2
 CRN 107-36-8
 CMF C2 H6 O4 S
 HO-CH₂-CH₂-SO₃H

L4 ANSWER 34 OF 84 CAPIUS COPYRIGHT 2003 ACS on STN (Continued)
 CM 2
 CRN 107-36-8
 CMF C2 H6 O4 S
 HO-CH₂-CH₂-SO₃H

L4 ANSWER 35 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1986:206932 CAPLUS
 DOCUMENT NUMBER: 104:206932
 TITLE: Antiprotozoal diamidines
 INVENTOR(S): Glazer, Edward A.
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: U.S., 13 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4546113	A	19851008	US 1983-484803	19830414
US 4624958	A	19861125	US 1985-770328	19850928
US 4732907	A	19880322	US 1986-889540	19860725
PRIORITY APPLN. INFO.:			US 1983-484803	19830414
			US 1985-770328	19850928

OTHER SOURCE(S): CASREACT 104:206932
 GI

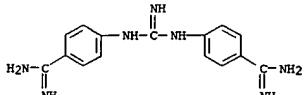


AB Eighteen title compds., including bis(amidinophenyl)propenes I ($X = \text{CH}=\text{CH}_2, \text{CH}_2\text{Me}=\text{CH}_2$), were prep'd. Thus, 4-NCC₆H₄COCH₂CO₂Me and Me₂CO₂ were condensed to give 68.6% 4-NCC₆H₄COCH₂CO₂Me, which was alkylated by 4-NCC₆H₄CH₂Br to give 53.6% RCOCH(CH₂)CO₂Me ($R = 4\text{-NCC}_6\text{H}_4$). Hydrolysis and decarboxylation of the latter gave 71-75% RCOCH₂CH₂R ($R = \text{as given}$), which was reduced by NaBH₄ to give RCH(OH)CH₂CH₂R. Dehydration of the alc. gave RCH=CHCH₂ ($\text{II}; R = \text{as given}$), which reacted with EtOH-HCl to give $\text{II} \cdot \text{HCl}$ ($R = 4\text{-EtOC}(\text{NH})\text{C}_6\text{H}_4$). Ammonolysis of the imide with NH₃-EtOH gave I ($X = \text{CH}=\text{CH}_2$; III) as the dihydrochloride. At 50 mg/kg s.c. in lethally infected mice, III gave >90% protection against Trypanosoma congoense and Babesia rochaine.

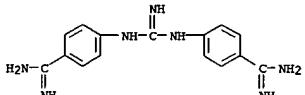
IT 80498-63-1P 101341-00-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prep. of, as protozoacide)

RN 80498-63-1 CAPLUS
 CN Benzene carboximidamide, 4,4'-(carbonimidoyldiimino)bis- (9CI) (CA INDEX NAME)

L4 ANSWER 35 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 101341-00-8 CAPLUS
 CN Benzene carboximidamide, 4,4'-(carbonimidoyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

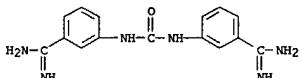
L4 ANSWER 36 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1986:180321 CAPLUS
 DOCUMENT NUMBER: 104:180321
 TITLE: Human seminal antiliquefying agents - a potential approach towards vaginal contraception
 AUTHOR(S): Mandal, Arambuda; Bhattacharyya, Asok K.
 CORPORATE SOURCE: Coll. Sci., Calcutta Univ., Calcutta, 700019, India
 SOURCE: CODEN: CCPAY; ISSN: 0010-7824
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB One-hundred-one natural and synthetic enzyme inhibitors or inactivators were screened in vitro against the liquefaction property of human ejaculates with a view to develop antiliquefying agents for vaginal contraception. Of those compounds, 27 demonstrated no effect, 36 quickened, and 20 delayed the process of liquefaction, whereas 18 agents stopped it completely. The highly effective antiliquefying agents also showed spermicidal properties and coagulated the liq. ejaculates. Compds. having antiliquefying property, together with coagulating and spermicidal activities, will offer a highly promising approach towards vaginal contraception.

IT 94965-38-0
 RL: BIOL (Biological study)
 (men liquefaction inhibition by, from men)

RN 94965-38-0 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carboxyldiimino)bis[benzenecarboximidamide] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O



CM 2

CRN 107-36-8
 CMF C2 H6 O4 S

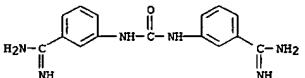
HO-CH₂-CH₂-SO₃H

L4 ANSWER 37 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1985:450278 CAPLUS
 DOCUMENT NUMBER: 103:50278
 TITLE: Inhibition studies of soybean trypsin-like enzyme
 AUTHOR(S): Nishikubo, Makoto
 CORPORATE SOURCE: Sch. Dent., Hokkaido Univ., Sapporo, 060, Japan
 SOURCE: Journal of Biochemistry (Tokyo, Japan) (1985), 97(6), 1541-
 CODEN: JOBIAO; ISSN: 0021-924X
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The results of inhibition studies of soybean trypsinlike serine proteinase (I) by substrate analogs (derivs. of arginine) suggested that a net neg. charge exists at or near the substrate binding region of the enzyme. On hydrolysis of substrates, this neg. charge seems to repel the products from the substrate-binding region and facilitate the turnover of substrates. From the data on inhibition by various amidines, guanidines, and amines, some information about the structure of the hydrophobic binding pocket of I was obtained. Inactivation of I by the irreversible inhibitor diisopropylfluorophosphate and tosyllysine chloromethyl ketone was decreased by competitive inhibitors, indicating that these irreversible inhibitors bind with residues at the substrate binding region (probably with serine and histidine residues, resp.).

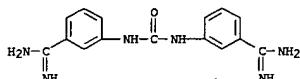
IT 3459-96-9
 RL: BIOL (Biological study)
 (tryptainlike serine proteinase of soybean inhibition by, kinetics of)

RN 3459-96-9 CAPLUS
 CN Benzene carboximidamide, 3,3'-(carboxyldiimino)bis- (9CI) (CA INDEX NAME)



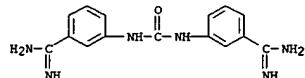
L4 ANSWER 38 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1985:125142 CAPLUS
 DOCUMENT NUMBER: 102:125142
 TITLE: A comparison of the efficacy of isometamidium, amicarbalide and diminazene against Babesia canis in dogs and the effect on subsequent immunity
 AUTHOR(S): Stewart, C. G.
 CORPORATE SOURCE: Fac. Vet. Sci., Univ. Pretoria, Onderstepoort, 0110, S. Afr.
 SOURCE: Journal of the South African Veterinary Association (1983), 54(1), 47-51
 CODEN: JAVTAP; ISSN: 0038-2809
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Isometamidium chloride [34301-55-8], amicarbalide [3459-96-9] and diminazene diacetate [908-54-3] were used to treat exptl.-induced canine babesiosis. Relapse parasites developed after treatment in all groups of animals. The relapse interval, however, was shorter and more relapses occurred after treatment with amicarbalide than either of the other 2 drugs. Only half of the dogs treated with either isometamidium or diminazene relapsed to infection. Challenge with homologous parasites 62 days after initial infection resulted in severe babesiosis in all 3 animals which had not developed relapse infections. Of the 9 animals which had relapses after treatment, only 1 developed severe babesiosis following homologous challenge.

IT 3459-96-9
 RL: BIOL (Biological study)
 (Babesia canis infection treatment with, in dog)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 39 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1985:125090 CAPLUS
 DOCUMENT NUMBER: 102:125090
 TITLE: Stimulation of Rauscher leukemia virus DNA polymerase DNA-directed DNA synthesis by cationic trypanocides and polyamines
 AUTHOR(S): Marcus, Stuart L.; Petrylak, Daniel P.; Burchenal, Joseph J.; Bacchi, Cyrus J.
 CORPORATE SOURCE: Mem. Sloan-Kettering Cancer Cent., New York, NY, 10021, USA
 SOURCE: Cancer Research (1985), 45(1), 112-15
 CODEN: CNREAB; ISSN: 0008-5472
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Cationic trypanocides stimulated Rauscher leukemia virus (RLV) DNA polymerase [9012-90-2]-catalyzed DNA-directed DNA synthesis at concns. significantly inhibiting eukaryotic DNA polymerases. Such stimulation was negated by polyamines. Kinetic anal. of the stimulation of RLV DNA polymerase by 3 structurally dissimilar cationic trypanocides (Antrycide [3270-78-8], Burroughs-Wellcome Compd. 64A [5611-46-1], and Bayer 1694 [62340-10-7]) suggests that such stimulation is, in part, due to a drug-DNA interaction structure resembling the polyamine-DNA structural complex recognized by the RLV DNA polymerase.

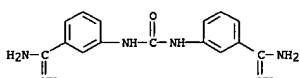
IT 3459-96-9
 RL: BIOL (Biological study)
 (DNA-directed DNA formation stimulation by, of Rauscher leukemia virus, structure in relation to)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1984:543621 CAPLUS
 DOCUMENT NUMBER: 101:143621
 TITLE: The effect of chemotherapy on Babesia bigemina in the tick vector Boophilus microplus
 AUTHOR(S): Du Toit, A. J.; Stewart, N. F.; Dalgleish, R. J.
 CORPORATE SOURCE: Anim. Res. Inst., Queensland Dep. Primary Ind., Wacol, 4076, Australia
 SOURCE: International Journal for Parasitology (1984), 14(3), 249-52
 CODEN: IJPYBT; ISSN: 0020-7519
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Percentages of feeding ticks in which B. bigemina could be detected (infection rates) were detd. following treatment of bovine hosts with each of 4 babesicides. Infection rates were suppressed by imidocarb dipropionate [55750-06-6], quinuronium sulfate [135-14-8] and amicarbalide isethionate [3671-72-5], reaching min. levels 3-4 days after treatment, but imidocarb dihydrochloride [5318-76-3] had comparatively little effect. Total elimination of the parasite from ticks was not achieved. Treatment of tick infested hosts with imidocarb dipropionate or quinuronium sulfate failed to prevent transmission of B. bigemina by transovarian passage or by transfer of adult male ticks. These findings indicate that the use of babesicides for chemotherapy is unlikely to have a significant effect on the rate of transmission of B. bigemina.

IT 3671-72-5
 RL: BIOL (Biological study)
 (Babesia bigemina infestation response to, in cattle)
 RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

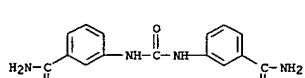
CM 1
 CRN 3459-96-9
 CMF C15 H16 N6 O



CM 2
 CRN 107-36-8
 CMF C2 H6 O4 S
 HO-CH2-CH2-SO3H

L4 ANSWER 41 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1984:483594 CAPLUS
 DOCUMENT NUMBER: 101:83594
 TITLE: Inhibitors of histamine metabolism in vitro and in vivo. Correlations with antitrypanosomal activity
 AUTHOR(S): Dush, David S.; Bacchi, Cyrus J.; Edelstein, Mark P.; Nichols, Charles A.
 CORPORATE SOURCE: Dep. Med. Biochem., Wellcome Res. Lab., Research Triangle Park, NC, 27709, USA
 SOURCE: Biochemical Pharmacology (1984), 33(9), 1547-53
 CODEN: BCPCAG; ISSN: 0006-2952
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The effects of antimalarial and antitrypanosomal drugs on the activity of histamine N-Me transferase [9029-80-5] and diamine oxidase [9001-53-0] in vitro, as well as diamine oxidin, and histamine [51-45-6] levels in vivo, were examt. Diamidine antitrypanosomal drugs which interfere with polyamine metab. were potent inhibitors both in vitro and in vivo. Antrycide [3270-78-8] and isometamidium [20438-03-3] were the best inhibitors of both enzymes. KI Values for histamine N-Me transferase were 3 times, 10-8M for both compds., and the inhibition was competitive for histamine. Antrycide and isometamidium were both non-competitive inhibitors of diamine oxidase, having KI values of 6 times, 10-9 M and 3 times, 10-9 M resp. Isometamidium elevated histamine levels in rat kidney 2-fold and produced a long-term inhibition of putrescine [110-60-1] oxidin, in vivo. Among the compds. examt., only known active antitrypanosomal agents inhibited both histamine N-Me transferase and diamine oxidase in vitro as well as putrescine oxidin, in vivo. These observations suggest that the enzymes acting on histamine and putrescine as substrates can be used to select compds. which interfere with polyamine metab. and that persistence of such compds. in vivo, as indicated by inhibition of putrescine oxidin, correlates with favorable chemotherapeutic properties as antitrypanosomal agents.

IT 3459-96-9
 RL: BIOL (Biological study)
 (histamine metab. response to)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

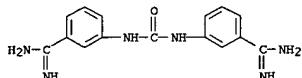


L4 ANSWER 42 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1984:96216 CAPLUS
 DOCUMENT NUMBER: 100:96216
 TITLE: Chemotherapy of Babesia divergens in the gerbil, Meriones unguiculatus
 AUTHOR(S): Gray, J. S.
 CORPORATE SOURCE: Dep. Agric. Zool. Genet., Univ. Coll., Dublin, Ire.
 SOURCE: Research in Veterinary Science (1983), 35(3), 318-24
 CODEN: RVTSA9; ISSN: 0034-5288
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Surprisingly low doses of 4 babesicides were effective against Babesia divergens in gerbils, and this was due to the involvement of host resistance, which may be of a nonspecific nature. The efficacy of the drugs relative to each other was the same in gerbils as in cattle and this host-parasite system is evidently more suitable for the screening of babesicides than are other rodent babesia systems. The prophylactic dose of imidocarb dipropionate [55750-06-6] required to provide similar degree of protection in gerbils as in cattle was much higher and was very close to toxic levels. Challenge infections resulted in sterile immunity. Acute babesiosis in gerbils could be cured with all 4 drugs if parasitemias were below approx. 45% and packed cell vols. above 18% at treatment.

IT 3671-72-5
 RL: BIOL (Biological study)
 (Babesia divergens infection response to, in gerbils, cattle in relation to)
 RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O

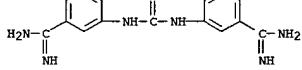
CM 2

CRN 107-36-8
 CMF C2 H6 O4 SHO-CH₂-CH₂-SO₃H

L4 ANSWER 43 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1983:46509 CAPLUS
 DOCUMENT NUMBER: 98:46509
 TITLE: Proteinase inhibitors as antileishmanial agents
 AUTHOR(S): Coombs, G. H.; Hart, D. T.; Capaldo, J.
 CORPORATE SOURCE: Dep. Zool., Univ. Glasgow, Glasgow, G12 8QQ, UK
 SOURCE: Transactions of the Royal Society of Tropical Medicine and Hygiene (1982), 76(5), 660-3
 CODEN: TRSTA2; ISSN: 0035-9203
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Leishmania mexicana mexicana Amastigote proteinase [9001-92-7] activity was largely inhibited by low concns. of leupeptin, antipain [37691-11-5], and 2-epoxysuccinate, compds. known to affect cysteine proteinases. Of these inhibitors, only 2 had leishmanicidal activity, trans-dicyclohexylepoxysuccinate [84315-89-9]. At 10 μg/mL inhibited the in vitro transformation of L. m. mexicana amastigotes to promastigotes by greater than 50%. Antipain was a potent antileishmanial agent, which inhibited promastigote growth over 7 days by 50% at 0.5 μg/mL. The no. of amastigotes that transformed in vitro to promastigotes was reduced 78% by antipain at 0.1 μg/mL. Each of the 3 diamidines, investigated (pentamidine isothionate [140-64-7], amicarbilide [3459-96-9], and M and B 4596 [4174-73-6]) exhibited marked antileishmanial activity, but only M and B 4596 had any significant effect (36% inhibition at 33 μg/mL) on L. m. mexicana amastigote proteinase activity.

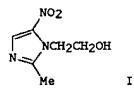
IT 3459-96-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
 (antileishmanial activity of)

RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 42 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

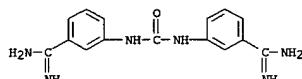
L4 ANSWER 44 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982:210476 CAPLUS
 DOCUMENT NUMBER: 96:210476
 TITLE: Mutagenic activity of some antiprotozoal drugs in the *Salmonella* typhimurium test by Ames
 AUTHOR(S): Jahn, F.
 CORPORATE SOURCE: Inst. Pharmakol., Veterinaermed. Univ. Wien, Vienna, Austria
 SOURCE: Wiener Tierärztliche Monatsschrift (1982), 69(1), 19-21
 CODEN: WTMQA3; ISSN: 0043-535X
 DOCUMENT TYPE: Journal
 LANGUAGE: German



AB Of 17 antiprotozoal drugs tested for mutagenicity in a *Salmonella* typhimurium test only 4 drugs were mutagenic. These 4 drugs were arom. or heterocyclic compds. with 1 or 2 nitro groups as substituents as in metronidazole (I) [443-48-1]. In addn. to their mutagenic potential these drugs were previously shown to be carcinogenic and alter spermatogenesis in exptl. animals.

IT 3671-72-5
 RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
 (mutagenicity of, protozoacide in relation to)
 RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O

CM 2

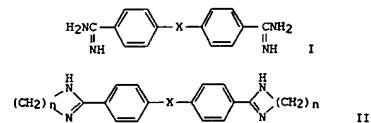
CRN 107-36-8
 CMF C2 H6 O4 S

L4 ANSWER 44 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

HO-CH₂-CH₂-SO₃H

L4 ANSWER 45 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982-135352 CAPLUS
 DOCUMENT NUMBER: 96:135352
 TITLE: *Leishmania donovani*, *Plasmodium berghei*, *Trypanosoma rhodesiense*: antiprotozoal effects of some amidine types
 AUTHOR(S): Steck, Edgar A.; Kinnaman, Kenneth E.; Rane, Dora S.; Hanson, William L.
 CORPORATE SOURCE: Div. Exp. Ther., Walter Reed Army Inst. Res., Washington, DC, 20012, USA
 SOURCE: Experimental Parasitology (1981), 52(3), 404-13
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB A series of 39 diamidines and cyclic congeners I [X = O, O(CH₂)₅, OC₆H₄O, furan, etc.] and II [X = O(CH₂)₅, O(CH₂)₅, furan, etc.; n = 2 or 3] was investigated for antiprotozoal effects in std. animal models. The test systems employed were the following: *L. donovani* in hamsters, *P. berghei* (malaria) in mice, and *T. rhodesiense* in mice. None of the compds. exhibited appreciable antimalaria or anti-leishmanial activity. One compd., WR 199,385 [2,5-bis(4-guanylphenyl)furan] [73819-26-8] had antitrypanosomal activity in the same range as pentamidine, and was deemed worthy of further study.

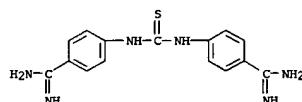
IT 80498-62-0 80498-63-1

RL: PRF (Properties)

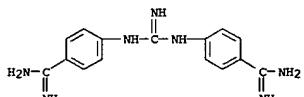
(antiprotozoal effect of)

RN 80498-62-0 CAPLUS

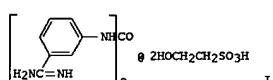
CN Benzene-carboximidamide, 4,4'-(carbonothioylidimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 45 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 80498-63-1 CAPLUS
 CN Benzene-carboximidamide, 4,4'-(carbonimidoyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 46 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982-110233 CAPLUS
 DOCUMENT NUMBER: 96:110233
 TITLE: Microchemical identification of drugs with an amidine group. V. Amicarbalide
 AUTHOR(S): Yalcindag, O. N.
 CORPORATE SOURCE: Refik-Saydam Cent. Inst. Hyg., Ankara, Turk.
 SOURCE: Scientia Pharmaceutica (1981), 49(4), 500-2
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 GI



AB amicarbalide diisethionate (I) [3671-72-5] can be identified by the cryst. ppt's. formed with HgCl₄-NaBr, H₂PtCl₆-NaBr, CdI₂-KI, Dragendorff reagent, K ferricyanide, and 0.1 N iodine soln.

IT 3671-72-5

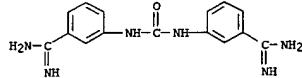
RL: PROC (Process)

(identification of, microchem.)

RN 3671-72-5 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonylidimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C₁₅ H₁₆ N₆ O

CM 2

CRN 107-36-8
CMF C₂ H₆ O₄ SHO-CH₂-CH₂-SO₃H

L4 ANSWER 46 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

L4 ANSWER 47 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1982:82491 CAPLUS

DOCUMENT NUMBER: 96:82491

TITLE: Transformation in vitro of Leishmania mexicana

amastigotes to promastigotes: nutritional

requirements and the effect of drugs

AUTHOR(S): Hart, D. T.; Vickerman, K.; Coombs, G. H.

CORPORATE SOURCE: Dep. Zool., Univ. Glasgow, Glasgow, G12 8QQ, UK

SOURCE: Parasitology (1981), 83(3), 529-41

CODEN: PARAE; ISSN: 0031-1820

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An in vitro system is described in which >85% of a population of *L. mexicana* mexicana amastigotes transforms to promastigotes within 48 h. The differentiation process involves 3 morphol. and biochemical distinct intermediates, including a division stage. Cell division is necessary for complete development to promastigotes. Fetal calf serum (FCS) is an essential component of the medium for high percentage transformation to be achieved. One of the important components of the FCS has been identified as nonesterified fatty acids, and these support a relatively high percentage of amastigotes through transformation in the absence of FCS, possibly due to their use as energy substrates. Only small nos. of amastigotes transform to promastigotes if glucose or amino acids are the only energy substrates available. Transformation is inhibited by a no. of metabolic inhibitors including antileishmanial and other antiprotozoal drugs. The stage at which inhibition is apparent varies with the inhibitor. The system described for the transformation in vitro of *L. mexicana* mexicana amastigotes to promastigotes may be the best method available at present for studying the metab. and drug sensitivity of amastigotes free from possible interference by host macrophage components.

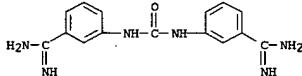
IT 3459-96-9

RL: BIOL (Biological study)

(Leishmania mexicana differentiation in response to)

RN 3459-96-9 CAPLUS

CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 48 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:490931 CAPLUS

DOCUMENT NUMBER: 95:90931

TITLE: Prevention by polyamines of the curative effect of amicarbazide and imidocarb for *Trypanosoma brucei* infections in mice

AUTHOR(S): Bacchelli, Cyrus J.; Nathan, Henry C.; Hutner, Seymour H.; Duch, David S.; Nichol, Charles A.

CORPORATE SOURCE: Biol. Dep., Pace Univ., New York, NY, 10038, USA

SOURCE: Biochemical Pharmacology (1981), 30(8), 883-6

CODEN: BCPA6; ISSN: 0006-2952

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The curative effects of amicarbazide [3459-96-9] (10 or 25 mg/kg, i.p. or s.c.) and imidocarb [27885-92-3] (5 or 10 mg/kg, i.p. or s.c.) on mice infected with *T. brucei* were blocked by spermidine [124-20-9] (30-300 mg/kg, i.p., 3 days previously) or spermine [71-44-3] (100 mg/kg, i.p.). Putrescine [110-60-1], however, was inactive even at 500 mg/kg. In rats in which this blockage was produced, the no. of trypomastigotes at death closely paralleled the nos. in infected, untreated controls. The drug (25-250 μM) did not inhibit polyamine formation by intact trypomastigotes, but did (at 250 μM) partly inhibit spermidine-³H uptake, though not to an extent likely to account for polyamine prevention of therapy. The cationic trypanosomacides may possibly be used as metabolic probes to elucidate the polyamine dependence of growth of such parasites. The formation and function of polyamines in trypomastigotes may constitute targets for new antiparasitic drugs.

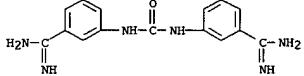
IT 3459-96-9

RL: BIOL (Biological study)

(*Trypanosoma brucei* infection therapy with polyamines inhibition of)

RN 3459-96-9 CAPLUS

CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1981:153160 CAPLUS

DOCUMENT NUMBER: 94:153160

TITLE: Uptake of ³H-purines as an in vitro test to measure viability of Babesia parasites following chemotherapy, irradiation and other treatments

AUTHOR(S): Irvin, A. D.; Young, E. R.; Furnell, R. E.

CORPORATE SOURCE: Agric. Res. Council, Inst. Res. Anim. Dis., Newbury/Berk., UK

SOURCE: Isot. Radiat. Res. Anim. Dis. Their Vectors, Proc. Int. Symp. (1980), Meeting Date 1979, 107-17. IAEA:

Vienna, Austria.

CODEN: 45CVAQ

DOCUMENT TYPE: Conference

LANGUAGE: English

AB Babesia rochhai and Babesia microti from mice, and Babesia divergens and Babesia major from cattle, were maintained in Eagles minimal essential medium to which different radioactive purines and pyrimidines were added. Parasites selectively incorporated several purines, particularly [³H]hypoxanthine, at high levels. Incorporation of [³H]hypoxanthine was directly related to the metabolic activity of the parasites. Treatments which suppressed or abolished metabolic activity proportionately depressed [³H]hypoxanthine uptake. The treatments applied to parasitized cultures included drug therapy, irradiation, and storage and growth in different media. These findings could form the basis for simple, rapid, and inexpensive in vitro tests for drug screening of babesicides or assay of stored blood vaccines.

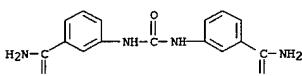
IT 3459-96-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

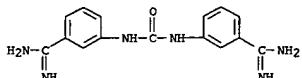
(³H)hypoxanthine transport by Babesia response to)

RN 3459-96-9 CAPLUS

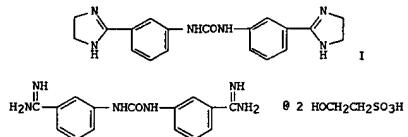
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 50 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1980:461454 CAPLUS
 DOCUMENT NUMBER: 93:61454
 TITLE: Negation of trypanocidal drug cures by polyamines
 AUTHOR(S): Bacchi, C. J.; Nathan, H. C.; Huther, S. H.; Duch, D. S.; Nichol, C. A.
 CORPORATE SOURCE: Hawks Lab., Pace Univ., New York, NY, 10038, USA
 SOURCE: Curr. Chemother. Infect. Dis., Proc. Int. Congr. Chemother., 11th (1980), Meeting Date 1979, Volume 2, 1119-21. Editor(s): Nelson, John D.; Grassi, Carlo. Am. Soc. Microbiol.: Washington, D. C.
 CODEN: 4JMKAT
 DOCUMENT TYPE: Conference
 LANGUAGE: English
 AB Amicarbalide [3459-96-9], imidocarb [27885-92-3], antrycide [3270-78-8], iscometanidium [20438-03-3], pentamidine [100-33-4], or prothidium [14222-46-9] administered to mice infected with trypanosomes cured the infection at a dose of 1-25 mg/kg/day, but simultaneous administration of spermidine [24-20-0] at 300 mg/kg or spermine [71-44-3] at 100 mg/kg negated cures with almost all drugs. Negation of cures was independent of the route of administration.
 IT 3459-96-9
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (trypanocidal activity of, polyamines inhibition of)
 RN 3459-96-9 CAPLUS
 CW Benzene carboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)

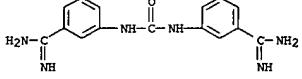


L4 ANSWER 51 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1980:104336 CAPLUS
 DOCUMENT NUMBER: 92:104336
 TITLE: Curative effects of the antiprotozoal amicarbalide and imidocarb on Trypanosoma brucei infection in mice
 AUTHOR(S): Nathan, H. C.; Soto, Kurt V. M.; Moreira, Rocio; Chundroff, Laura; Huther, S. H.; Bacchi, C. J.
 CORPORATE SOURCE: Hawks Lab., Pace Univ., New York, NY, 10038, USA
 SOURCE: Journal of Protozoology (1979), 26(4), 657-60
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Imidocarb (I) [27885-92-3] and amicarbalide isethionate (II) [3671-72-5] were active against *Trypanosoma brucei* mouse infections, both cured infections when doses were administered daily for 3 days 24 h post-inoculation (curative dose I, 10 mg/kg; II, 25 mg/kg). Both agents also cured, when administered 48 and 72 h after challenge with *T. brucei*, and prolonged the lives of animals 94 h after challenge. The potential of these carbamides and their precursors, the antitumor phthalanilides, were discussed as lead compds. in chemotherapy of mammalian trypanosomiasis.
 IT 3671-72-5
 RL: BIOL (Biological study) (as trypanocide)
 RN 3671-72-5 CAPLUS
 CW Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)
 CM 1
 CRN 3459-96-9
 CMF C15 H16 N6 O

L4 ANSWER 51 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

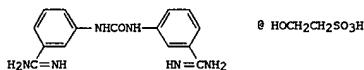


CM 2

CRN 107-36-8
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H*

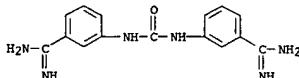
L4 ANSWER 52 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1979:413851 CAPLUS
 DOCUMENT NUMBER: 91:13851
 TITLE: Amicarbalide: a therapeutic agent for anaplasmosis
 AUTHOR(S): De Vos, A. J.; Barrowman, P. R.; Coetzer, J. A. W.; Kellerman, T. S.
 CORPORATE SOURCE: Vet. Res. Inst., Onderstepoort, 0110, S. Afr.
 SOURCE: Onderstepoort Journal of Veterinary Research (1978), 45(3): 203-8
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Amicarbalide isethionate (I) [3671-72-5] (10 mg/kg, s.c.) given twice daily to splenectomized and intact cattle controlled *Anaplasma marginale* and *A. centrale* infections, but I at total dosage of >40 mg/kg was toxic to the liver and kidney.
 IT 3671-72-5
 RL: BIOL (Biological study) (*Anaplasma* infection treatment with, in cattle)
 RN 3671-72-5 CAPLUS
 CW Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O

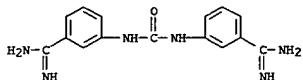


CM 2

CRN 107-36-8
 CMF C2 H6 O4 S

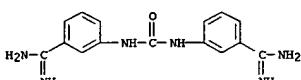
HO-CH₂-CH₂-SO₃H*

L4 ANSWER 53 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1978:496372 CAPLUS
 DOCUMENT NUMBER: 89:96372
 TITLE: Inhibition of acetyl choline acetyl hydrolase and acyl choline acyl hydrolase by diphenyldiamidines
 AUTHOR(S): Asghar, Syed Shafiq; Kammeijer, Arthur; Cormane, Rudy H.
 CORPORATE SOURCE: Dep. Dermatol., Univ. Amsterdam, Amsterdam, Neth.
 SOURCE: Journal of Molecular Medicine (Shannon, Ireland) (1978), 3(1), 39-47
 CODEN: JMHEOM; ISSN: 0377-046X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A series of amidino compds. was investigated for their inhibitory effects on acetylcholine acetylhydrolase and acylcholine acylhydrolase. Diamidines consisting of 2 amidino Ph residues linked in meta or para position were strong inhibitors of these enzymes, whereas monophenyl monoamidines were ineffective. These results might explain some of the side effects assocwd. with the clin. use of these compds.
 IT 3459-96-9
 RL: BIOL (Biological study)
 (cholinesterase inhibition by)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



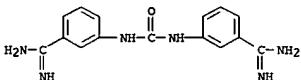
L4 ANSWER 54 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1977:448455 CAPLUS
 DOCUMENT NUMBER: 87:49455
 TITLE: Drug-resistant Leptomonas: cross-resistance in trypanocide-resistant clones
 AUTHOR(S): Bacchi, C. J.; Lambros, C.; Ellenbogen, B. B.; Penkovsky, L. N.; Sullivan, W.; Byrnes, E. E.; Hutner, S. H.
 CORPORATE SOURCE: Haskins Lab., Pace Univ., New York, NY, USA
 SOURCE: Antimicrobial Agents and Chemotherapy (1975), 8(6), 698-92
 CODEN: AMACQI ISSN: 0066-4804
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB A Leptomonas of insect origin was highly susceptible to several std. trypanocides and leishmanicides in vitro. Resistance was induced to some of these drugs and clones were isolated from each strain. Cross-resistance patterns of the clones were derived for diamidines, Antrycide (quinaprasmine) [20493-41-8], acriflavine [8040-52-0], phenanthridines and other drugs active against trypanosomes and leishmanias. Clones tested included 2 each that were resistant to acriflavine, Antrycide, Berenil (diminazene aceturate) [908-54-3] and pentamidine [100-33-4] and 1 that was resistant to stilbamidine [122-06-5]. Appreciable cross-resistance was evident for all clones. Differences were obes. between clones from the same parent strain. Collateral susceptibility towards isometamidium [20438-03-3] and oquahemazine [306-12-7] was detected in most clone-derived populations. In clones passaged without drug to test for drug fastness, acriflavine and pentamidine clones lost resistance within 10 transfers, whereas Berenil and Antrycide clones retained considerable resistance after 20-30 subcultures without drug. Considerations of differences in life cycles suggest that the clone collection may be useful in screening for agents effective against leishmanias and stercorarian trypanosomes rather than against salivary trypanosomes.
 IT 3671-72-5
 RL: PRP (Properties)
 (Leptomonas resistance to)
 RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis(benzenecarboximidamide) (2:1) (9CI) (CA INDEX NAME)

CM 1
 CRN 3459-96-9
 CMF C15 H16 N6 O

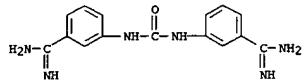


L4 ANSWER 54 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CM 2
 CRN 107-36-8
 CMF C2 H6 O4 S
 HO-CH2-CH2-SO3H

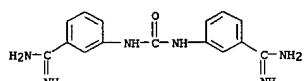
L4 ANSWER 55 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1977:187434 CAPLUS
 DOCUMENT NUMBER: 86:187434
 TITLE: Interaction of the B-determinant of the third component of complement with amidino compounds
 AUTHOR(S): Asghar, Syed S.; Cormane, R. H.
 CORPORATE SOURCE: Dep. Dermatol., Univ. Amsterdam, Amsterdam, Neth.
 SOURCE: Immunochimistry (1976), 13(12), 975-8
 CODEN: IMCHAZ; ISSN: 0019-2791
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Diamidines consisting of 2 amidinophenyl residues linked in the para position by mol. bridges of varying length (e.g. propamidine) interacted reversibly with the B-determinant of C3 thereby preventing the reaction between C3 and anti-(B-determinant) antiserum. Hemolysis in C3 hemolytic assay was also reversibly blocked by these amidines. The B-determinant of C3 may have a hydrophobic region and anionic binding sites.
 IT 3459-96-9
 RL: BIOL (Biological study)
 (complement C3 B-determinant interaction with)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 56 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1976:442850 CAPLUS
 DOCUMENT NUMBER: 85:42850
 TITLE: Human plasma kallikreins and their inhibition by amidino compounds
 AUTHOR(S): Asghar, Syed S.; Meijlink, F. C. P. W.; Pondman, K. W.; Cormane, R. H.
 CORPORATE SOURCE: Dep. Dermatol., Univ. Amsterdam, Amsterdam, Neth.
 SOURCE: Biochimica et Biophysica Acta (1976), 438(1), 250-64
 CODEN: BBACQJ ISSN: 0006-3002
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Human plasma kallikreins were purified as 3 distinct enzymic entities which hydrolyzed arginine esters and were active in releasing kinin from heated human plasma as measured by guinea pig ileum contraction bioassay. The 3 enzymically active fractions were termed as 19 S, 7 S-I and 7 S-II kallikreins. They represented purifns. of 262-, 2200- and 110-fold, resp. These enzyme activities showed differences in physicochem. and biochemical properties as shown by their elution profile on Sephadex G 200 and DEAE-cellulose columns, affinity for substrates, and susceptibility to inhibition by various protease inhibitors such as trasylool and soya bean trypsin inhibitor. The data suggest that all 3 preps. were most likely kallikreins. All 3 were inhibited competitively by a series of amidino compds. Diamidines consisting of 2 amidinophenyl residues linked in para position by a mol. bridge were comparatively stronger inhibitors of all 3 than those linked in the meta position and those having single ring structure. The possibility that some of these amidino compds. might prove to be useful for treatment of disease states where the kallikrein-kinin system plays a role is discussed.
 IT 3459-96-9
 RL: BIOL (Biological study)
 (kallikreins inhibition by)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 58 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1974:491191 CAPLUS
 DOCUMENT NUMBER: 81:91191
 TITLE: Carbanilides containing amidine and imidazoline groups
 AUTHOR(S): Piskov, V. B.; Kasperovich, V. P.; Tsvetkov, E. I.; Khval'kovskaya, A. V.; Koblova, I. A.; Poluektov, V. Sh.
 CORPORATE SOURCE: Nauchno-Kontrol'n. Inst. Vet. Prep., Moscow, USSR
 SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1974), 8(6), 17-20
 CODEN: KHFZAN; ISSN: 0023-1134
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI For diagram(s), see printed CA Issue.
 AB Redn. of the benz-amidines I [R₁R₂ = H; R₁R₂ = (CH₂)₅; R₁ = CH₂CH₃; R₃ = H, Cl, Br, C(=NH)NH₂] gave 58-82% aminobenzamidines II, which reacted with H₂NCONH₂ to give 36-79% bisamidines III. Reaction of II (R₂ = H, R₃ = H; R₁ = CH₂CH₃) with KOCH₃ gave 72% urea IV. III (R = R₁ = R₂ = H, R₃ = Cl) at 50 .mu.mol/ml and 200 .mu.mol/ml was a bactericide against Staph. aureus and Escherichia coli, resp.. III (R = R₁ = R₂ = H; R₁ = CH₂CH₂, R₂ = R₃ = H) protected white mice against Babesia iohaine and Eimeria tenella.
 IT 53104-79-3P 53104-80-6P 53104-81-7P
 53104-82-8P 53104-83-9P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (pregn. and bactericidal activity of).
 RN 53104-79-3 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)



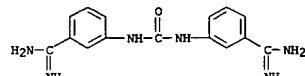
RN 53104-80-6 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[5-chloro-, dihydrochloride (9CI) (CA INDEX NAME)]

L4 ANSWER 57 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1976:430019 CAPLUS
 DOCUMENT NUMBER: 85:30019
 TITLE: Inhibition of human sperm acrosin by synthetic agents
 AUTHOR(S): Bhattacharyya, A. K.; Zaneveld, L. J. D.; Dragoje, B. M.; Schumacher, G. F. B.; Travis, J.
 CORPORATE SOURCE: Coll. Med., Univ. Illinois, Chicago, IL, USA
 SOURCE: Journal of Reproduction and Fertility (1976), 47(1), 97-100
 CODEN: JRPF4; ISSN: 0022-4251
 DOCUMENT TYPE: Journal
 LANGUAGE: English

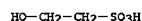
AB Twenty-two synthetic proteinase inhibitors were tested for their inhibitory properties towards human acrosin. *p*-Nitrophenyl-*p*-guanidino benzoate (NPGB) was the most effective (K_m value of 1.5 .times. 10⁻⁸M), producing a noncompetitive type of inhibition in contrast to all other inhibitors which showed a competitive type of inhibition. The K_m for human acrosin on benzoyl arginine Et ester at pH 8.1 was calcd. to be 4.25 .times. 10⁻⁵M.

IT 3671-72-5
 RL: BIOL (Biological study)
 (acrosin inhibition by)
 RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

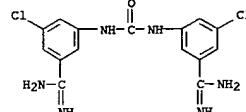
CM 1
 CRN 3459-96-9
 CMF C15 H16 N6 O



CM 2
 CRN 107-36-8
 CMF C2 H6 O4 S

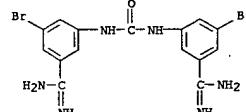


L4 ANSWER 58 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



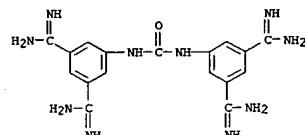
●2 HCl

RN 53104-81-7 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[5-bromo-, dihydrochloride (9CI) (CA INDEX NAME)]



●2 HCl

RN 53104-82-8 CAPLUS
 CN 1,3-Benzenedicarboximidamide, 5,5'-(carbonyldiimino)bis-, tetrahydrochloride (9CI) (CA INDEX NAME)



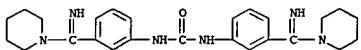
●4 HCl

RN 53104-83-9 CAPLUS
 CN Piperidine, 1,1'-(carbonylbis(imino-3,1-phenylenecarbonimidoyl))bis-

10/09/2003

L4 ANSWER 58 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
dihydrochloride (9CI) (CA INDEX NAME)

(Continued)

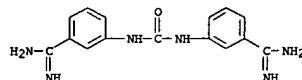


●2 HCl

L4 ANSWER 59 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
1973:513766 CAPLUS
DOCUMENT NUMBER: 79:113766
TITLE: Inhibition of Cl.vin.r, Cl.vin.s and generation of Cl.vin.s by amidino compounds
AUTHOR(S): Asghar, Syed S.; Pondaan, K. W.; Cormane, R. H.
CORPORATE SOURCE: Lab. Immunochem., Cent. Lab. Netherlands Red Cross
Blood Transfus. Serv., Amsterdam, Neth.
SOURCE: Biochimica et Biophysica Acta (1973), 317(2), 539-48
CODEN: BBACAO; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Diamidines consisting of 2 amidinophenyl residues linked in the para position by a mol. bridge proved to be the strongest competitive inhibitors of Cls.hivin., whereas those linked in the meta position were the strongest competitive inhibitors of Clr.hivin.. They inhibited the overall generation of Cls.hivin. when added to the system contg. 3 subunits of Cl and Ca²⁺. Diphenylamidines were more active than single ring amidines. Of all the compds. tested, dibromopropamide was the most effective inhibitor of Cls.hivin. with Ki = 3 times, 10-SM and .DELTA.F' = 6.4 kcal/mole, whereas amicarbalide and M and B 4596 were the strongest inhibitors of Clr.hivin. with Ki = 3.5 times, 10-SM and 3.25 times, 10-SM and .DELTA.F' = 6.3 and 6.34 kcal/mole, resp. .epsilon.-Aminocaproic acid was also included in this study for comparison purposes and was found to be inert as to its effects on these reactions. The possibility that some of these amidino compds. might be useful for treatment of hereditary angioneurotic edema is discussed.

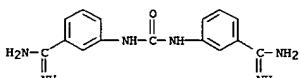
IT 3459-96-9
RL: BIOL (Biological study)
(complement Clr and Cls inhibition by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 60 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:414923 CAPLUS
DOCUMENT NUMBER: 79:14923
TITLE: Structure-activity relations for the inhibition of plasmin and plasminogen activation by aromatic diamidines and a study of the effect of plasma proteins on the inhibition process
AUTHOR(S): Geratz, J. D.
CORPORATE SOURCE: Sch. Med., Univ. North Carolina, Chapel Hill, NC, USA
SOURCE: Thrombosis et Diathesis Haemorrhagica (1973), 29(1), 154-67
CODEN: TDHAAT; ISSN: 0340-5338
DOCUMENT TYPE: Journal
LANGUAGE: English

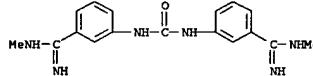
AB Structure-activity relations for the inhibition of human plasmin were established for a large series of aromatic diamidines. The compounds are reversible competitive inhibitors and block the amidase and fibrinolytic activities of the enzyme. The results confirm pentamidine (4,4'-diamidino-.alpha.,.omega.-diphenoxypentane) as the leading inhibitor (Ki = 3.3 .mu.M) and show distinct differences in the inhibitory spectrum of diamidines against plasmin as compared with trypsin, pancreatic kallikrein, and thrombin. Diamidines are potent inhibitors of the streptokinase-dependent activation of human plasminogen and of the activation of bovine plasminogen by the streptokinase-human plasmin activator complex. Pentamidine is again the most powerful inhibitor of these systems. In fibrinolytic assays of plasmin and in plasminogen activation tests the relative strength of diamidines as compared with .epsilon.-aminocaproic acid is greatly influenced by the test conditions. The decisive factor is the presence in the incubation mixtures of lesser or greater amounts of plasma or serum proteins which bring about a fall in the absolute strength of diamidines and an increase in the absolute strength of .epsilon.-aminocaproic acid. In the fibrinolytic assay of plasmin, this modifying effect of added serum is based on a time-dependent interaction with the enzyme, thereby presumably altering its susceptibility to inhibition.

IT 3459-96-9 35872-84-5
RL: BIOL (Biological study)
(plasmin and plasminogen activation inhibition by)
RN 3459-96-9 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



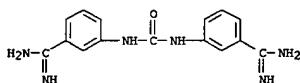
RN 35872-84-5 CAPLUS
CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl- (9CI) (CA INDEX NAME)]

L4 ANSWER 60 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L4 ANSWER 61 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:535074 CAPLUS
 DOCUMENT NUMBER: 77:135074
 TITLE: Comparison of the activity of chemotherapeutic preparations in mice inoculated with a strain of Babesia rodhaini
 AUTHOR(S): Povarova, L. N.
 CORPORATE SOURCE: USSR
 SOURCE: Trudy Gosudarstvennogo Nauchno-Kontrol'nogo Instituta Veterinarnykh Preparatov (1969), 16, 349-52
 CODEN: TGVPAI; ISSN: 0463-4675
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB Among the preps. tested on mice infected with B. rodhaini, carbazine (I) [92-81-9] (50-100 mg/kg), diamprone [3671-72-5] (25 mg/kg), ICI [50 mg/kg], azidin [908-54-3] (120 mg/kg), and berenil [508-54-3] (120 mg/kg) showed the greatest therapeutic activity. Acaprin [135-14-8] (10 mg/kg), pyroplasmin [135-14-8] (10 mg/kg), pyralidine [12650-36-1] (20 mg/kg), antrycide [20493-41-9] (20 mg/kg), biomycin-HCl [64-72-2] (100 mg/kg), Terramycin [79-57-2] (100 mg/kg), tetracycline [60-54-8] (125 mg/kg), and dibimycin [1111-27-9] (125 mg/kg) were less effective, and Trypaflavine [8040-52-0] (35 mg/kg) was the least effective.
 IT 3671-72-5
 RL: BIOL (Biological study)
 (in Babesia rodhaini infection treatment)
 RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1
 CRN 3459-96-9
 CMF C15 H16 N6 O



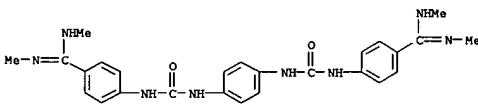
CM 2
 CRN 107-36-8
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:461630 CAPLUS
 DOCUMENT NUMBER: 77:61630
 TITLE: Highly basic compounds for chemotherapy
 INVENTOR(S): Hirt, Rudolf; Fischer, Rudolf
 PATENT ASSIGNER(S): Wander, Dr. A. A.-G.
 SOURCE: Patentschrift (Switz.), 10 pp.
 CODEN: SWXKAS
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

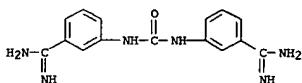
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 520657	A	19720331	CH 1961-520657	19610911
PRIORITY APPLN. INFO.: CH 1965-6015 19610911				

GI For diagram(s), see printed CA Issue.
 AB Bisamidine compds. (I), effective tuberculostatic and antileukemic agents in mice, were prep'd. by reaction of an alkoxy analog of I (N(R1)R2 replaced by OR3, where R3 = alkyl) with R2R1NH. About 63 I (n = 0, 1; R = H, Me, Et, CHMe₂; R1 = H, Me, Et; R2 = H, Cl-4 alkyl, (CH₂)₃OEt; Z = NH, CH₂, or single bond) were prep'd.
 IT 5300-44-7 5300-45-8P 5568-19-4P
 25775-30-8P 25775-32-0P 25775-34-2P
 25775-76-2P 25787-03-5P 25979-52-6P
 27930-62-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prep'n. of)
 RN 5300-44-7 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

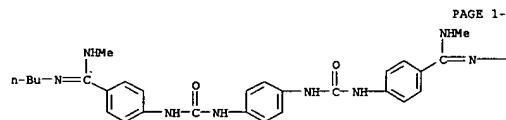


●2 HCl
 RN 5300-45-8 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N'-butyl-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 62 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:509301 CAPLUS
 DOCUMENT NUMBER: 77:109301
 TITLE: Effects of some antiprotozoal diamidines on voluntary muscle
 AUTHOR(S): Eyre, P.
 CORPORATE SOURCE: Dep. Vet. Pharmacol., Univ. Edinburgh, Edinburgh, UK
 SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1972), 198(2), 248-55
 CODEN: AIPTAK; ISSN: 0003-9780
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The diamine antiprotozoal agents pentamidine (I) [100-33-4], propamidine [104-32-5], diminazene [15687-11-3], and amicarbazide [3459-96-9] had in vitro competitive neuromuscular blocking activity in rat and chick muscle preps. Phenamidine [101-62-2] caused noncompetitive blockade of neuromuscular transmission.
 IT 3459-96-9
 RL: BIOL (Biological study)
 (neuromuscular blocking by)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



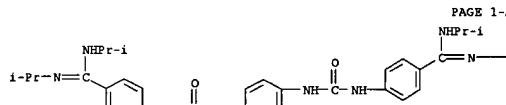
L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl PAGE 1-B

—Bu-n

RN 5568-19-4 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N'-bis(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

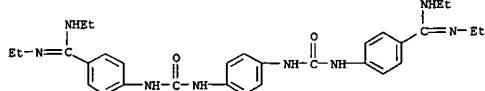


●2 HCl PAGE 1-A

—Pr-i

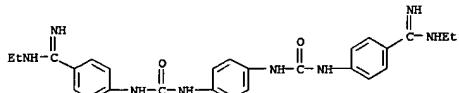
RN 25775-30-8 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N'-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



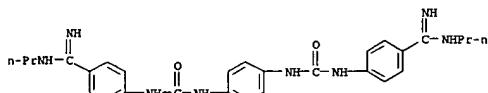
● 2 HCl

RN 25775-32-0 CAPLUS
CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 25775-34-2 CAPLUS
CN Urea, N,N'-1,4-phenylenebis[N'-(4-[imino(propylamino)methyl]phenyl)-, dihydrochloride (9CI) (CA INDEX NAME)

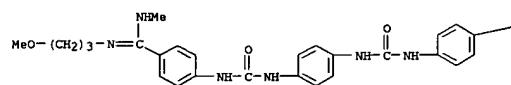


● 2 HCl

RN 25775-76-2 CAPLUS
CN Benzenecarboximidamide, 4,4'-(4-methyl-1,3-phenylene)bis(iminocarbonylimino)bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

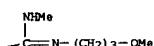
L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

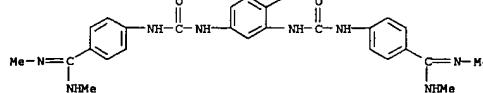


● 2 HCl

PAGE 1-B

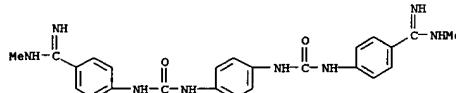


L4 ANSWER 63 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



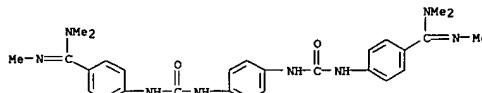
● 2 HCl

RN 25787-03-5 CAPLUS
CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 25979-52-6 CAPLUS
CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N',N'-trimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

RN 27930-62-7 CAPLUS
CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N-(3-methoxypropyl)-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 64 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

L4 ANSWER 64 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:443738 CAPLUS

DOCUMENT NUMBER: 77:43738

TITLE: Effects of protease inhibitors on protein breakdown in Escherichia coli

AUTHOR(S): Prouty, Walter F.; Goldberg, Alfred L.

CORPORATE SOURCE: Dep. Physiol., Harvard Med. Sch., Boston, MA, USA

SOURCE: Journal of Biological Chemistry (1972), 247(10), 3341-52

CODEN: JBCHA3; ISSN: 0021-9258

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A variety of known protease [9001-92-7] inhibitors, including diisopropyl fluorophosphate [55-91-4], sulfonyl fluorides, tosyl lysine chloromethyl ketone [4238-41-9], and aromatic diamidines inhibited protein degradation in E. coli deprived of a C or N source, but did not inhibit protein catabolism in normally growing E. coli cells. This inhibition of protein degradation appears to be a selective effect, presumably resulting from a direct inhibition of the responsible proteolytic enzymes. Thus, at least one of the enzymes responsible for the increased protein breakdown in starving E. coli cells appears to be a serine protease [9001-92-7], possibly similar to trypsin [9002-07-7]. The different effects of the protease inhibitors in growing and starving cells suggests the existence of at least 2 proteolytic systems in E. coli: 1 found in growing and possibly all cells, and 1 that is specifically activated during starvation and is sensitive to sulfonyl fluorides and aromatic diamidines.

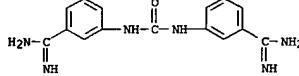
IT 3671-72-5

RL: PRO (Properties)
(protein metabolism by Escherichia coli inhibition by)

RN 3671-72-5 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O

CM 2

CRN 107-36-8
CMF C2 H6 O4 SHO-CH₂-CH₂-SO₃H

L4 ANSWER 65 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:443165 CAPLUS
 DOCUMENT NUMBER: 77:43165
 TITLE: Certain aspects of toxicity of an amicarbazide formulation to ponies
 AUTHOR(S): Taylor, W. M.; Simpson, C. F.; Martin, Frank Garland; Martin, F. G.
 CORPORATE SOURCE: Dep. Vet. Sci., Agric. Res. Cent., Fort Lauderdale, FL, USA
 SOURCE: American Journal of Veterinary Research (1972), 33(3), 533-41
 CODEN: AJVRAH; ISSN: 0002-9645
 DOCUMENT TYPE: Journal
 LANGUAGE: English

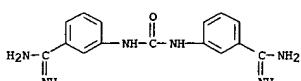
AB The toxicity of amicarbazide diisethionate (I) [3671-72-5], used in the treatment of veterinary babesiosis, was assessed in uninfected ponies. An i.m. dose totaling 35.2-105.6 mg/kg caused significant dose-related increases of serum glutamic-oxaloacetic transaminase [9000-97-9], sorbitol dehydrogenase [9028-21-1], and serum urea N. A dosage recommended for eliminating Babesia caballi infections (a total of 17.6 mg/kg) caused small, but significant, increases in the enzyme levels but did not affect serum urea N. There was peripheral necrosis of liver lobules 40 hr after injection and muscle necrosis at the injection site, which persisted for >24 days.

IT 3671-72-5
 RL: BIOL (Biological study)
 (Babesia caballi infestation of horse treatment by, toxicity in relation to)

RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O



CM 2

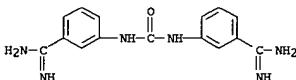
CRN 107-36-8
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

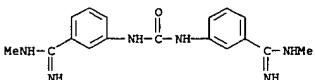
L4 ANSWER 66 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:121647 CAPLUS
 DOCUMENT NUMBER: 76:121647
 TITLE: Inhibition of the amidase and kininogenase activities of pancreatic kallikrein by aromatic diamidines and an evaluation of diamidines for their in vivo use
 AUTHOR(S): Geratz, J. D.; Webster, W. P.
 CORPORATE SOURCE: Sch. Med., Univ. North Carolina, Chapel Hill, NC, USA
 SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1971), 194(2), 359-70
 CODEN: AIPTAK; ISSN: 0003-9780
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB All 19 of the aromatic diamidines tested possessed powerful, competitive inhibitory effects on the amidase and kininogenase activities of porcine pancreatic kallikrein. 2,2'-Dibromopropanidine (I) [34415-15-1] and 4,4'-diamidino-1,8-diphenyloctane [34415-16-2] were the most active compds. with inhibition consts. of 1.8 and 3.7, resp., 10⁻⁴M, in the amidase assay. I.v. administration of 1.5 mg I/kg and 4 mg M and B 4596 (2,7-bis(m-amidinophenyl)diazepamino)-10-ethyl-9-phenylphenanthridinium chloride 2HCl) (II), and it was followed in potency by pentamidine isethionate (III). II was 10 times more active than epsilon-aminocaproic acid in impeding streptokinase-induced lysis of human plasma clots. II was 100-200 times stronger than epsilon-aminocaproic acid in inhibiting activation of bovine plasminogen by activators formed from the interaction between streptokinase and either human plasminogen or human plasma. The prothrombin time and partial thromboplastin time of dog plasma were less susceptible to inhibition by II than the same tests on human plasma. However, clot lysis in the dog system was inhibited by II to a similar degree as in the human system. The i.v. injection of II into dogs prolonged the partial thromboplastin time and clot lysis time.

IT 3459-96-9 35872-84-5
 RL: BIOL (Biological study)
 (pancreatic kallikreins inhibition by)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



RN 35872-84-5 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl- (9CI) (CA INDEX NAME)]



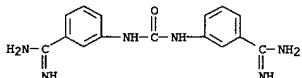
L4 ANSWER 65 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

ACCESSION NUMBER: 1971:497040 CAPLUS
 DOCUMENT NUMBER: 75:97040
 TITLE: Inhibition of coagulation and fibrinolysis by aromatic amidine compounds. In vitro and in vivo study

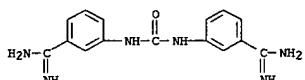
AUTHOR(S): Geratz, J. D.
 CORPORATE SOURCE: Sch. Med., Univ. North Carolina, Chapel Hill, NC, USA
 SOURCE: Thrombosis et Diathesis Haemorrhagica (1971), 25(3), 391-404
 CODEN: TDHAAT; ISSN: 0340-5338
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Aromatic diamidines which are potent trypsin inhibitors markedly inhibited the clotting activity of human thrombin and prolonged the prothrombin time and partial thromboplastin time of human plasma. The compds. also blocked the contact activation phase of coagulation. Of 10 compds. tested, the most potent inhibitor was M and B 4596 (2,7-bis(m-amidinophenyl)diazepamino)-10-ethyl-9-phenylphenanthridinium chloride 2HCl) (I), and it was followed in potency by pentamidine isethionate (II). II was 10 times more active than epsilon-aminocaproic acid in impeding streptokinase-induced lysis of human plasma clots. II was 100-200 times stronger than epsilon-aminocaproic acid in inhibiting activation of bovine plasminogen by activators formed from the interaction between streptokinase and either human plasminogen or human plasma. The prothrombin time and partial thromboplastin time of dog plasma were less susceptible to inhibition by II than the same tests on human plasma. However, clot lysis in the dog system was inhibited by II to a similar degree as in the human system. The i.v. injection of II into dogs prolonged the partial thromboplastin time and clot lysis time.

IT 3459-96-9
 RL: BIOL (Biological study)
 (blood coagulation inhibition by)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



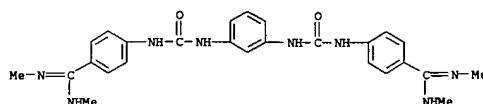
L4 ANSWER 68 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1971:432566 CAPLUS
 DOCUMENT NUMBER: 75:32566
 TITLE: Inhibition of thrombin, plasmin, and plasminogen activation by amidino compounds
 AUTHOR(S): Geratz, J. Dieter
 CORPORATE SOURCE: Sch. Med., Univ. North Carolina, Chapel Hill, NC, USA
 SOURCE: Thrombosis et Diathesis Haemorrhagica (1970), 23(3), 486-99
 CODEN: TDHAAT; ISSN: 0340-5338
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB Of 12 amidino compds. tested in vitro, pentamidine (I) was the most potent inhibitor of human thrombin, plasmin, and plasminogen activation.
 Diamidines composed of 2 amidinophenyl residues linked in para or meta position by a mol. bridge were the strongest known inhibitors of the 3 enzyme systems, and they were much more active than amidines with a single-ring structure. In the thrombin clotting test, some amidines caused inhibition while others accelerated the reaction.
 IT 3459-96-9
 RL: BIOL (Biological study)
 (plasmin and thrombin activation inhibition by)
 RN 3459-96-9 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1970:79046 CAPLUS
 DOCUMENT NUMBER: 72:79046
 TITLE: Tuberculosstatic and cancerostatic polybasic ureas
 INVENTOR(S): Hart, Rudolf; Fischer, Rudolf
 PATENT ASSIGNEE(S): Wande, Dr. A.-G.
 SOURCE: Patentschrift (Switz.), 16 pp.
 CODEN: SWXXAS
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 479557	A	19691015	CH 1961-479557	19610911
			CH 1965-6014	19610911

PRIORITY APPLN. INFO.: GI For diagram(s), see printed CA Issue.
 AB The title compds. and their salts with tuberculosstatic and cancerostatic (esp. leukemic) properties were prep'd. Thus, 4.86 g p-phenylene diisocyanate and 14.04 g p-(2-imidazolyl)aniline-2HCl were heated in 70 ml HCONMe₂ and 20 ml pyridine; the ppt. which formed was suspended in concd. NH₃ and kept 4 hr. Treatment with HCl gave 12 g I. 2HCl, m. 325. degree. (decompn.). Similarly were prep'd. 54 addnl. compds.
 IT 5262-16-8P 5300-44-7P 5300-45-8P
 5566-19-4P 5971-20-0P 25775-30-8P
 25775-32-0P 25775-34-2P 25775-76-2P
 25787-03-5P 25979-52-6P 27930-62-7P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prep'n. of)
 RN 5262-16-8 CAPLUS
 CN Urea, 1,1'-m-phenylenebis[3-[p-(N,N'-dimethylamidino)phenyl]-, dihydrochloride (7CI, 8CI) (CA INDEX NAME)



●2 HCl

RN 5300-44-7 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

RN 5300-45-8 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N'-butyl-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

●2 HCl

PAGE 1-B

—Bu-n
 RN 5568-19-4 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N'-bis(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-A

●2 HCl

PAGE 1-B

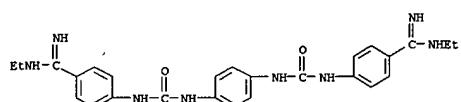
—Pr-i
 RN 5971-20-0 CAPLUS
 CN Urea, 1,1'-p-phenylenebis[3-[m-(N,N'-dimethylamidino)phenyl]-, dihydrochloride (8CI) (CA INDEX NAME)

●2 HCl

RN 25775-30-8 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N'-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)

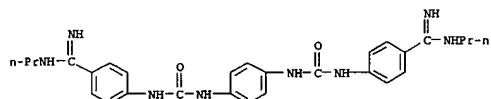
●2 HCl

L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 25775-32-0 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N-ethyl-, dihydrochloride (9CI) (CA INDEX NAME)



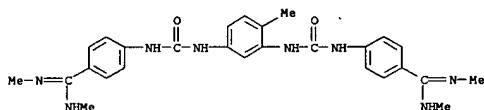
●2 HCl

RN 25775-34-2 CAPLUS
 CN Urea, N,N'-1,4-phenylenebis[N'-(4-[imino(propylamino)methyl]phenyl)-, dihydrochloride (9CI) (CA INDEX NAME)



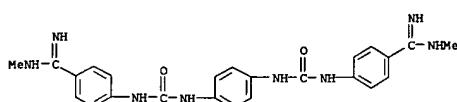
●2 HCl

RN 25775-76-2 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(4-methyl-1,3-phenylene)bis(iminocarbonylimino)bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



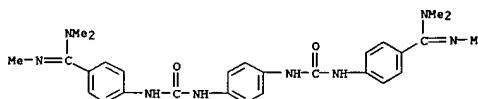
●2 HCl

L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 25787-03-5 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



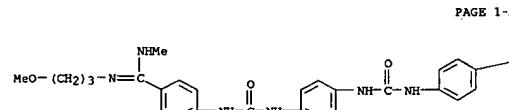
●2 HCl

RN 25979-52-6 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N,N',N'-trimethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

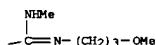
RN 27930-62-7 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(1,4-phenylenebis(iminocarbonylimino))bis[N-(3-methoxypropyl)-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L4 ANSWER 69 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B



L4 ANSWER 70 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:77292 CAPLUS

DOCUMENT NUMBER: 72:77292

TITLE: Babesicidal effect of basically substituted carbamides. I. Activity against Babesia rodhaini in mice

AUTHOR(S): Schmidt, Gisela; Hirt, Rudolf; Fischer, Rudolf
CORPORATE SOURCE: Res. Inst., Berne, Switz.

SOURCE: Research in Veterinary Science (1969), 10(6), 530-3

DOCUMENT TYPE: CODEN: RVTSA9; ISSN: 0034-5288

LANGUAGE: Journal

AB: The babesicidal effect of a large no. of dibasic compds. was tested in equine B. rodhaini infection in mice. 3,3'-Bis(2-imidazolin-2-yl)carbamide, [or 1,3-bis[(2-imidazolin-2-yl)phenyl]urea], was the most effective.

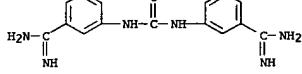
IT: 3459-96-9 27885-91-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

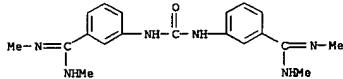
(babesicidal activity of)

RN: 3459-96-9 CAPLUS

CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



RN 27885-91-2 CAPLUS
 CN Carbamidine, 3,3'-bis(N,N'-dimethylamidino)- (8CI) (CA INDEX NAME)



L4 ANSWER 71 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1970:41258 CAPLUS
 DOCUMENT NUMBER: 72:41258

TITLE: Tuberculostatic 1,3-diarylthioureas. I
 AUTHOR(S): Winkelmann, Erhardt; Wagner, Wolf H.; Hilmer, Hans
 CORPORATE SOURCE: Farbwerke Hoechst A.-G., Frankfurt/M.-Hoechst, Fed.
 Rep. Ger.
 SOURCE: Arzneimittel-Forschung (1969), 19(4), 543-58
 CODEN: ARZNAD; ISSN: 0004-4172

DOCUMENT TYPE: Journal
 LANGUAGE: German

AB One hundred eighty different Ph substituted thioureas ($R_1NHCSNH_2$) were tested for tuberculostatic activity in vitro and in the mouse. The tables presented indicate that p-BuOC₆H₄NHCSNH₂OBu-n (I) had the greatest activity in vitro (0.1-0.2 μ M/g/ml) while in vivo it was most active at a dosage of 250 mg/kg body wt. when given orally.

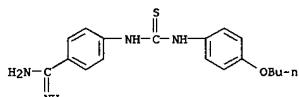
IT 27697-73-0 27828-33-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antitubercular activity of)

RN 27697-73-0 CAPLUS

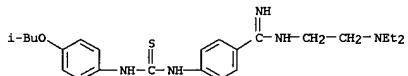
CN Carbanilide, 4-amidino-4'-butoxythio-, monohydrochloride (8CI) (CA INDEX NAME)



● HCl

RN 27828-33-7 CAPLUS

CN Carbanilide, 4-[2-(diethylamino)ethyl]amidino-4'-isobutoxythio- (8CI) (CA INDEX NAME)



L4 ANSWER 72 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

HO-CH₂-CH₂-SO₃H

L4 ANSWER 72 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1970:28467 CAPLUS
 DOCUMENT NUMBER: 72:28467

TITLE: Inhibitory effect of aromatic diamidines on trypsin and enterokinase

AUTHOR(S): Geratz, J. Dieter
 CORPORATE SOURCE: Sch. of Med., Univ. of North Carolina, Chapel Hill, NC, USA

SOURCE: Experientia (1969), 25(12), 1254-5
 CODEN: EXPBM; ISSN: 0014-4754

DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Stilbamidine isethionate (4,4'-stilbenedicarbox-amidine diisethionate), 2-hydroxystilbamidine isethionate, propamide isethionate [p,p' -(trimethylenedioxy)dibenzoamidine bis(.beta.-hydroxyethanesulfonate)], 2,2'-dibromopropamide isethionate, pentamidine isethionate [p,p' -(pentamethyleneoxy)dibenzoamidine bis(.beta.-hydroxyethanesulfonate)], amicarbalide (3,3'-di-amidino-carbanilide diisethionate), and M and B 4596 (2,7-bis[(m-amidinophenyl)diazamino]-10-ethyl-9-phenylphen-thridinium chloride dihydrochloride) were more active inhibitors of bovine trypsin in vitro than were p -aminobenzamidine and 4,4'-diaminodiphenylamine. 2,2'-Dibromopropamide was the most active, with a K_i of 5.7 times 10⁻⁷M. Amicarbalide and M and B 4596 were the only compds. more active than p -aminobenzamidine against porcine enterokinase, with K_i values of 3 times 10⁻⁵ and 1.1 times 10⁻⁵M, resp., but none of the above compds. was as active as p -aminodiphenylpyruvic acid.

IT 3671-72-5

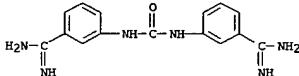
RL: BIOL (Biological study)
 (enteropeptidase and trypsin inhibition by, kinetics of)

RN 3671-72-5 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carboxyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O



CM 2

CRN 107-36-8
 CMF C2 H6 O4 S

L4 ANSWER 73 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1967:480969 CAPLUS

DOCUMENT NUMBER: 67:08069

TITLE: Some pharmacodynamic effects of the babesicidal agents quinuronium and amicarbalide

AUTHOR(S): Dykes, P.; Dick, Roy
 CORPORATE SOURCE: Sch. Net. Studies, Edinburgh, UK
 SOURCE: Journal of Pharmacy and Pharmacology (1967), 19(8), 509-15

CODEN: JPPMAB; ISSN: 0022-3573

DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The i.v. injection of a therapeutic dose of quinuronium methosulfate (1 mg./kg.) causes a fall in blood pressure in sheep, which is partly prevented by mepyramine and abolished by atropine. Larger doses of quinuronium cause more marked hypotension and inhibition of respiratory movement, which are not affected by atropine. Quinuronium strongly increases the amplitude of contraction of the isolated rabbit heart. This effect is not antagonized by atropine or mepyramine. Contractions of plain muscle in the guinea pig and sheep, and hypersecretion of gastric acid in the rat and of saliva in the sheep were all produced by quinuronium. The responses to acetylcholine were potentiated by quinuronium, an effect which was abolished by atropine. Amicarbalide isethionate by comparison was weakly active. The drug causes no change in blood pressure, smooth muscle contraction, or salivary secretion, but stimulates gastric secretion and partially inhibits the actions of acetylcholine in these preparations.

IT 3671-72-5

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

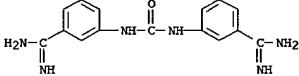
(pharmacology of)

RN 3671-72-5 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carboxyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O

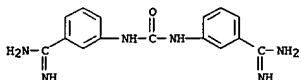


CM 2

CRN 107-36-8
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

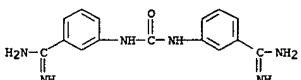
L4 ANSWER 74 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1966:432898 CAPLUS
 DOCUMENT NUMBER: 65:32898
 ORIGINAL REFERENCE NO.: 65:6144e-g
 TITLE: The anticholinesterase activity of the babesicidal agents, quinuronium and amicarbalide, and the influence of pyridine 2-aldoxime methiodide
 AUTHOR(S): Bryce, P.
 CORPORATE SOURCE: Univ. Edinburgh, UK
 SOURCE: Research in Veterinary Science (1966), 7(2), 161-7
 CODEN: RVTSA9; ISSN: 0034-5288
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB In the blood of 9 species *in vitro*, quinuronium was a potent inhibitor of circulating cholinesterases in all species, whereas amicarbalide was much less active. In the sheep quinuronium caused approx. 40% inhibition of cholinesterase and recovery of activity took place over a period of 24-48 hrs. Eserine produced profound but transient inhibition and amicarbalide had a very small effect. Pyridine 2-aldoxime methiodide (2-PAM) failed to protect the enzyme from inhibition by quinuronium or eserine but temporarily relieved the inhibition produced by the organophosphorus compd., octamethylpyrophosphoramido (OMPA). During all expts. *in vivo* (in sheep) atropinization (1 mg. per kg.) was used, and it was concluded that atropine provided the best antidote to quinuronium poisoning and the 2-PAM did not appear to alleviate circulating cholinesterase activity which had been inhibited by quinuronium. 16 references.
 IT 3671-72-5, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diaminocarbanilide (2:1)
 (cholinesterase inhibition by, uranium and)
 RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)
 CM 1
 CRN 3459-96-9
 CMF C15 H16 N6 O



CM 2
 CRN 107-36-8
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

L4 ANSWER 75 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1966:424071 CAPLUS
 DOCUMENT NUMBER: 65:24071
 ORIGINAL REFERENCE NO.: 65:45000c
 TITLE: The effects in sheep of quinuronium and amicarbalide and the influence of atropine, pyridine-2-aldoxime methiodide (2-PAM), adrenaline, and mepyramine
 AUTHOR(S): Bryce, P.
 CORPORATE SOURCE: Roy (Dick) School of Vet. Studies, Edinburgh, UK
 SOURCE: Veterinary Record (1966), 78(18), 627-9
 CODEN: VETRAX; ISSN: 0042-4900
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The toxic effects of quinuronium sulfate (1 mg./kg.) and amicarbalide isethionate (10 mg./kg.) on Blackface ewes were compared before and after administration of atropine sulfate (1 mg./kg.), pyridine-2-aldoxime methiodide (20 mg./kg.), mepyramine maleate (2 mg./kg.), or adrenaline tartrate (0.2 mg./kg.). Mepyramine maleate and adrenaline tartrate were effective antidotes, whereas pyridine-2-aldoxime methiodide was completely ineffective. Atropine sulfate was the best antagonist to quinuronium sulfate poisoning in sheep.
 IT 3671-72-5, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diaminocarbanilide (2:1)
 (poisoning in sheep, effect of adrenaline, atropine and mepyramine on)
 RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)
 CM 1
 CRN 3459-96-9
 CMF C15 H16 N6 O



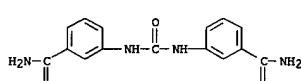
CM 2
 CRN 107-36-8
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

L4 ANSWER 74 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L4 ANSWER 76 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1966:54729 CAPLUS
 DOCUMENT NUMBER: 64:54729
 ORIGINAL REFERENCE NO.: 64:1021g-h
 TITLE: Release of tissue histamine by the babesicidal agents, quinuronium and amicarbalide
 AUTHOR(S): Bryce, P.
 CORPORATE SOURCE: Roy (Dick) School Vet. Studies, Univ. Edinburgh., UK
 SOURCE: Journal of Pharmacy and Pharmacology (1966), 18(1), 33-7
 CODEN: JPPMAB; ISSN: 0022-3573
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Since the toxic effects differ greatly, the release of histamine caused by quinuronium sulfate (I) or amicarbalide (II) was compared with the release of histamine caused by Compound 48/80 (III) in rats, mice, and sheep. In perfused rat hindquarters, III, I, and II caused the release of significant quantities of histamine while in isolated sheep diaphragm, I and III did, and II did not cause the release of histamine. In whole mice, I and III were about equally active, while II failed to release any histamine. In whole rats, I and II released comparable amounts of histamine which were less than the amt. released by III, but I was more toxic than II. The greater toxicity of I apparently depended on factors other than the release of histamine.
 IT 3671-72-5, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diaminocarbanilide (2:1)
 (toxicity of, histamine release and)
 RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1
 CRN 3459-96-9
 CMF C15 H16 N6 O



CM 2
 CRN 107-36-8
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

14 ANSWER 77 OF 84 CAPIUS COPYRIGHT 2003 ACS ON STN
ACCESSION NUMBER: 1966:27590 CAPIUS
DOCUMENT NUMBER: 64:27590
ORIGINAL REFERENCE NO.: 64:5102e-h,5103a-h,5104a-h,5105a-e
TITLE: Polybasic compounds
PATENT ASSIGNEE(S): Dr. A. Wender A.-G.
SOURCE: 35 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

PRIORITY APPN. INFO.: 19651013 GB CH 19601014
 GI For diagram(s), see printed CA Issue.
 AB The prep. of 1 and their salts was reported. They have pharmacol. actions and are chemotherapeutic agents, esp. tuberculosatics and for the treatment of cancer, esp. leukemia. Thus, 6 g. 2-(*p*-aminophenyl)imidazoline (II) dihydrochloride in 80 mL HCONMe₂ and 10 mL abs. pyridine was mixed with 2.3 g. terephthalic acid chloride and kept 4 h. to give 2.6 g. 4,4'-di(2-imidazolin-2-yl)terephthalic acid, m. >350.degree., hydrochloride m. >400.degree.. 4-Amino-4'-(2-imidazolin-2-yl)benzanilide (12 g.), obtained by condensation of 2-(*p*-aminophenyl)imidazoline and p-HZNC6H₄CO2H, was dissolved as the acetate in 100 mL HCONMe₂. After the addn. of 50 g. NaOAc, COCl₂ was passed in until the diazo reaction was neg. The resultant 4,4'-bis-[p-(2-imidazolin-2-yl)phenyl]carbamoyl carbanilide was liberated by NaOH soln. and converted to 7 g. dihydrochloride, m. 360.degree. (decompn.). p-Phenylenediaminoisocyanate (III) (4.86 g.) and 14.04 g. 2-(*p*-aminophenyl)imidazoline-2-HCl was heated in 70 mL HCONMe₂ and 20 mL CSHSN, and the ppt. suspended in concd. NH₃ soln. and allowed to stand 4 h. The free base was dissolved in hot dil. HOAc and treated with aq. NaCl to give 12 g. 1,1'-phenylenebis[3-(p-(2-imidazolin-2-yl)phenyl)urea] dihydrochloride, m. 325.degree. (decompn.). III (2 g.) and 8 g. m-(N,N'-dimethylamino)diphenylamine dihydrochloride in 40 mL HCONMe₂ and 10 mL CSHSN was heated for 1 h. on a steam bath and allowed to stand overnight. Addn. of 154 HCl to the filtered soln. gave 6.8 g. 1,1'-p-phenylenebis[3-(m,(N,N'-dimethylamino)phenyl)urea]dihydrochloride m. 265.degree. (decompn.). Cyclohexylcarbodiimide (40 mmol) was added to a mixt. of 10 mmol p-phenylenediacrylic acid and 22 mmol p-amino-phenylimidazoline dihydrochloride in 100 mL HCONMe₂ and 100 mL CSHSN and the mixt. heated on a water bath until the product sepd. (about 8 h.) to give 98% 4',4"-di-2-imidazolin-2-yl-p-benzenediacrylanilide, m. >300.degree.. m-Aminobenzoyl-p'-cyano aniline and p-cyanophenyl isocyanate gave 4-cyano-3'-(p-cyanophenyl)carbamoyl-carbanilide, 4 g. of which were suspended in 50 mL HCONMe₂ and 10 mL CSHSN. HZS was passed for 30 min. to give 5 g. 4-thioamido-3'-(p-thioamidophenyl)carbamoyl-carbanilide (IV), m. 242.degree.. IV heated for 2 h. with 30 g. NHZCH2CH2NH2 on a steam bath gave 4.5 g. 4-(2-imidazolin-2-yl)-3'-(p-(2-imidazolin-2-ylphenyl)carbamoyl)carbanilide, m. 295.degree. (decompn.). II (32 g.) in 500 mL 50% aq. acetone was treated dropwise with 7.5 mL CSHCl at room temp. After stirring further for 1.5 h. the ppt. was worked up to give 15 g. 4,4'-di(2-imidazolin-2-yl)thiocarbanilide, m. 173-5.degree.. II dihydrochloride (20 g.) suspended

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 dihydrochloride, 310.degree.; 5-chloro-3',3''-di-2-imidazolin-2-ylisophthalanilide dihydrochloride, 260.degree.; 4',4''-di-2-imidazolin-2-yl-1,4-naphthalenedicarboxanilide dihydrochloride, 350.degree. (decompn.); 3',3''-di-2-imidazolin-2-yl-1,4-naphthalenedicarboxanilide dihydrochloride, 345.degree. (decompn.); 4',4''-di-2-imidazolin-2-yl-1,5-naphthalenedicarboxanilide dihydrochloride, 340.degree. (decompn.); 3',3''-di-2-imidazolin-2-yl-1,5-naphthalenedicarboxanilide dihydrochloride, 340.degree. (decompn.); 4',4''-di-2-imidazolin-2-yl-2,7-naphthalenedicarboxanilide dihydrochloride, 282.degree.; 3',3''-di-2-imidazolin-2-yl-2,7-naphthalenedicarboxanilide dihydrochloride, 365.degree. (decompn.); 4',4''-di-2-imidazolin-2-yl-2,6-naphthalenedicarboxanilide dihydrochloride, 240.degree.; 4'',4'''-di-2-imidazolin-2-yl-4,4''-diphenyldicarboxanilide dihydrochloride, 390.degree. (decompn.); 3'',3'''-di-2-imidazolin-2-yl-4,4''-diphenyldicarboxanilide dihydrochloride, 330.degree. (decompn.); .alpha.,.alpha.,.alpha.-di-2-imidazolin-2-yl-p-terephthaloluidine dihydrochloride, 320.degree. (decompn.); .alpha.,.alpha.-di-2-imidazolin-2-yl-p-isophthaloluidine dihydrochloride, 210.degree.; 4',4''-bis(2-imidazolin-2-ylamino)terephthalanilide dihydrochloride, 320.degree. (decompn.); 4',4''-bis(2-imidazolin-2-yl-amino)isophthalanilide dihydrochloride, 240.degree. (decompn.); 3',3'',5',5''-tetra-2-imidazolin-2-yl-terephthalanilide tetrahydrochloride, 250/285.degree.; 4',4''-diaminoterephthalanilide dihydrochloride, 365.degree. (decompn.); 4',4''-bis(N'-methylamino)terephthalanilide dihydrochloride, 365.degree. (decompn.); 4',4''-bis(N,N'-dimethylamino)terephthalanilide dihydrochloride, 380.degree. (decompn.); 4',4''-bis(N-ethyl-N'-methylamino)terephthalanilide dihydrochloride, 348.degree. (decompn.); 4',4''-bis(N-propyl-N'-methylamino)terephthalanilide dihydrochloride, 345.degree. (decompn.); 4',4''-bis(N-Propyl-N'-methylamino)terephthalanilide dihydrochloride, 346.degree.; 4',4''-bis(N-butyl-N'-methylamino)terephthalanilide dihydrochloride, 325.degree.; 4',4''-bis(N,N'-disopropylamino)terephthalanilide dihydrochloride, 340.degree. (decompn.); 4',4''-bis(N'-methyl-N-phenylamino)terephthalanilide, vitrified at 130.degree.; 4',4''-bis(4-methyl-2-imidazolin-2-yl)terephthalanilide dihydrochloride, from 340.degree. brown coloration; 4',4''-bis(1,4,5,6-tetrahydro-2-pyrimidinyl)terephthalanilide dihydrochloride, 410.degree. (decompn.); 4',4''-bis(3a,4,5,6, 7,7a-hexahydro-2-benimidazolyl)terephthalanilide dihydrochloride, 360.degree. (decompn.); 4',4''-bis[N-(3-methoxypropyl)-N'-methylamino]terephthalanilide dihydrochloride, 208-10.degree.; 2-chloro-4'',4'''-bis(N'-methylamino)terephthalanilide dihydrochloride hydrate, approx. 3000.degree. (decompn.) (sic); 2-chloro-4'',4'''-bis(N,N'-dimethylamino)terephthalanilide dihydrochloride, >300.degree. (decompn.); 4',4''-bis(N,N'-dimethylamino)-m-terephthaloluidide, 270.degree. (decompn.); 2-chloro-4'',4'''-bis(N,N'-dimethylamino)-m-terephthalaniliside dihydrochloride, 308-12.degree.; 3',3''-diaminoterephthalanilide dihydrochloride, 375.degree. (decompn.); 3',3''-bis(N'-methylamino)terephthalanilide dihydrochloride, becomes vitreous at 230.degree.; 260.degree. (decompn.); 3',3''-bis(N,N'-dimethylamino)terephthalanilide dihydrochloride, 320.degree. (decompn.); 3',3''-bis(1,4,5,6-tetrahydro-2-pyrimidinyl)terephthalanilide dihydrochloride, 400.degree. (decompn.); 4',4''-diaminoisophthalanilide dihydrochloride, 330.degree. (decompn.); 4',4''-bis(N,N'-dimethylamino)isophthalanilide dihydrochloride, 355-62.degree. (decompn.); 4',4''-bis(4-methyl-2-imidazolin-2-yl)isophthalanilide dihydrochloride, 265.degree. (vitreous); 4',4''-bis(3a,4,5,6, 7,7a-

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 in 100 mL H₂NCO₂H and 100 mL CSHN was mixed with 10 g.
 3-isothiocyanatobenzoyl chloride and warmed 2 h. on a steam bath to give
 13.5 g. 4'-({2-imidazolin-2-yl})-3-[{2-(imidazolin-2-yl)phenylcarbamoyl}
 thiocarbonilide dihydrochloride m. 275-80, degree. 4',4"-Di-2-imidazolin-
 2-yterephthalanilide (V) dihydrochloride (10 g.) suspended in 130 mL
 CSHN was refluxed with 9 g. NaOH for 4 h. to give 8.5 g.
 4',4"-di-2-imidazolin-2-ylidithiophthalanilide monophosphate, m.
 330, degree. (decompn.). Trituration with cold 2N NaOH gave free base. V
 (5 g.) in 100 mL H₂O was treated with 10% excess levulinic acid. After 8
 h. addn. of acetone to the filtered soln. gave the solid levulinate which
 started to decom., at 300, degree. 2-Chloro-4',4"-di-2-imidazolin-2-
 yterephthalanilide (3 g.) in 60 mL H₂O with mol. equiv. of lactic acid
 gave a clear stable soln. of lactate. The soln. made isotonic with
 glucose is suitable for injection. 4',4"-Di-2-imidazolin-2-yl-2-
 nitroterephthalanilide (3 g.) in 60 mL H₂O was treated with 10% excess
 glycolic acid. Addn. of iso-ProH gave a solid glycolate (decompn. at
 300, degree.). Glutamic acid (100% excess) added to 4 g.
 4',4"-di-2-imidazolin-2-yliophthalanilide in 80 mL H₂O gave a clear
 stable soln. suitable for injection. The following compds. were also
 prep'd. (m.p. given: 4',4"-di-2-imidazolin-2-ylcarbamidine
 dihydrochloride, 360, degree. (decompn.); 3,3'-di-2-imidazolin-2-
 ylcarbamidine dihydrochloride, 370, degree. (decompn.);
 2,2"-di-2-imidazolin-2-ylcarbamidine dihydrochloride, 370, degree.
 (decompn.); 3,3',5,5'-tetra-2-imidazolin-2-ylcarbamidine
 tetrahydrochloride, 320, degree. (decompn.); 1,1',4,4"-tetra-2-imidazolin-2-
 ylcarbamidine tetrahydrochloride, 290, degree. (decompn.);
 4',4"-di-2-imidazolin-2-yterephthalanilide diformate, >400, degree.;
 4',4"-di-2-imidazolin-2-yterephthalanilide diacetate, >360, degree.;
 4',4"-di-2-imidazolin-2-yl-2-nitroterephthalanilide dihydrochloride,
 340, degree. (decompn.); 2-amino-4'-4"-di-2-imidazolin-2-
 yterephthalanilide dihydrochloride, 350, degree. (decompn.); 2-chloro-
 4',4"-di-2-imidazolin-2-yterephthalanilide dihydrochloride, 350, degree.
 (decompn.); 2,5-dichloro-4',4"-di-2-imidazolin-2-yterephthalanilide
 dihydrochloride, 350, degree.; 3',3"-dichloro-4',4"-di-2-im-
 dazolin-2-yterephthalanilide dihydrochloride, 295, degree. (decompn.);
 4',4"-di-2-imidazolin-2-yl-m-terephthaloulide diformate, 380, degree.
 (decompn.); 3',3"-di-2-imidazolin-2-yterephthalanilide dihydrochloride,
 340, degree.; 3',3"-di-2-imidazolin-2-yl-2-nitroterephthalanilide
 dihydrochloride, 315, degree. (decompn.); 2-amino-3',3"-di-2-imidazolin-2-
 yterephthalanilide dihydrochloride, 350, degree. (decompn.);
 2-acetylaminos-3',3"-di-2-imidazolin-2-yterephthalanilide
 dihydrochloride, 280, degree. (decompn.); 2-chloro-3',3"-di-2-
 imidazolin-2-yterephthalanilide dihydrochloride 360, degree. (decompn.);
 2',2"-di-2-imidazolin-2-yterephthalanilide dihydrochloride, 350, degree.
 (decompn.); 4',4"-di-2-imidazolin-2-yterephthalanilide dihydrochloride,
 400, degree.; 4',4"-di-2-imidazolin-2-yliophthalanilide dihydrochloride,
 360, degree. (decompn.); 5-chloro-4',4"-di-2-imidazolin-2-yli-
 ophthalanilide dihydrochloride, 340, degree.; 4',4"-di-2-imidazolin-2-yl-5
 nitroisophthalanilide dihydrochloride, 296, degree. (decompn.);
 5-amino-4',4"-di-2-imidazolin-2-yliophthalanilide dihydrochloride,
 300, degree. (decompn.); 5-bromo-4',4"-di-2-imidazolin-2-
 yliophthalanilide diformate, 260, degree. (decompn.); 4-ethoxy-4',4"-di-2-
 imidazolin-2-yliophthalanilide dihydrochloride, 280, degree. (decompn.);
 3',3"-dichloro-4',4"-di-2-imidazolin-2-yliophthalanilide
 dihydrochloride, 255, degree.; 3',3"-di-2-imidazolin-2-yliophthalanilide

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 hydroxy-2-benzimidazolyl)isophthalanilide dihydrochloride, 310.degree.;
 3',3''-bis[N,N'-dimethylamino]isophthalanilide dihydrochloride, 242.degree.;
 3',3''-bis[N,N'-dimethylamino]isophthalanilide dihydrochloride,
 140.degree./220.degree. (decomp.); α,α',α'' -diaminodiphenyl
 terephthalotoluoluidide dihydrochloride, 352.degree. (decomp.);
 α,α',α'' -diaminodiphenylisophthalotoluoluidide dihydrochloride,
 210.degree. (decomp.); α,α',α'' -bis(N'-methylamino)p-
 isophthalotoluoluidide, 260.degree. (decomp.); 4',4''-di-2-imidazolin-2-yl-
 3,5-pyridinedicarboxanilide dihydrochloride, approx.310.degree.
 (decomp.); 4',4''-di-2-imidazolin-2-yl-2,5-pyridinedicarboxanilide
 dihydrochloride, approx.315.degree. (decomp.); 1,1'-p-phenylenebis
 [3-(p-2-imidazolin-2-ylaminophenyl)urea] dihydrochloride, 362.degree.
 (decomp.); 1,1'-p-phenylenebis[3-(p-(4-methyl-2-imidazolin-2-
 yl)phenyl)urea] dihydrochloride, 290.degree. (decomp.);
 1,1'-p-phenylenebis[3-(p-(N,N'-dimethylamino)phenyl)urea]
 dihydrochloride,>300.degree. (decomp.); 1,1'-p-phenylenebis[3-(p-(N,N'-
 butyl-N-methylamino)phenyl)urea] dihydrochloride, 295.degree.
 (decomp.); 1,1'-p-phenylenebis[3-(p-(N,N'-disopropylamino)phenyl)urea]
 dihydrochloride, 245.degree. (decomp.); 1,1'-p-phenylenebis
 [3-(p-(1,4,5,6-tetrahydro-2-pyrimidinyl)phenyl)urea] dihydrochloride,
 365.degree. (decomp.); 1,1'-p-phenylenebis[3-(p-(N'-methyl-N-
 phenylamino)phenyl)urea] dihydrochloride, 235.degree. (decomp.);
 1,1'-p-phenylenebis[3-(p-2-imidazolin-2-ylphenyl)urea] dihydrochloride,
 255.degree. (decomp.); 1,1'-p-phenylenebis[3-(3,5-di-2-imidazolin-2-
 ylphenyl)urea], 220.degree. (decomp.); 1,1'-m-phenylenebis[3-(p-2-
 imidazolin-2-ylphenyl)urea] dihydrochloride, 285.degree. (decomp.);
 1,1'-m-phenylenebis[3-(p-(N,N'-dimethylamino)phenyl)urea] dihydrochloride,
 220.degree. (decomp.); 1,1'-m-phenylenebis[3-(m-2-imidazolin-2-
 ylphenyl)urea] dihydrochloride, 275.degree. (decomp.);
 1,1'-m-phenylenebis[3-(3,5-di-2-imidazolin-2-ylphenyl)urea] tetrahydrochloride,
 295.degree. (decomp.); 1,1'-[3,(3'-dimethyl-4',4''-biphenylene)bis[3-(
 p-2-imidazolin-2-ylphenyl)urea]], 205.degree. (decomp.);
 4',4''-di-2-imidazolin-2-yl-3',3''-dimethoxy-4',4''-dicarbanilide
 biphenylene)bis[3-(m-2-imidazolin-2-ylphenyl)urea], 250.degree.
 (decomp.); 1,1'-[3,(3'-dimethoxy-4',4''-biphenylene)bis[3-(m-2-imidazolin-2-
 ylphenyl)urea]], 195.degree. (decomp.); 4',4'',4''-tri-2-imidazolin-2-
 yl trimesanilide trihydrochloride, 300.degree. (decomp.); 3',3'',3'''-tri-2-
 imidazolin-2-yltrimesanilide trihydrochloride, 285.degree. (decomp.); 4',4''-azobis[
 4-(2-imidazolin-2-yl)benzalide] diformate, 400.degree. (decomp.);
 4,4''-azobis[3-(2-imidazolin-2-yl)benzalide] diformate, 395.degree.
 (decomp.); 4,4''-bis[(m-2-imidazolin-2-ylphenyl)carbonyl]carbanilide
 dihydrochloride, 300.degree. (decomp.); 3',3''-(p-2-imidazolin-2-
 ylphenyl)carbamoyl)carbanilide dihydrochloride, 300.degree. (decomp.);
 3,3''-bis[(p-2-imidazolin-2-ylphenyl)carbamoyl]carbanilide dihydrochloride,
 280.degree. (decomp.); 3,3''-bis[(3,5-di-2-imidazolin-2-
 ylphenyl)carbamoyl]carbanilide tetrahydrochloride, 330.degree. (decomp.);
 4,4''-bis[(3,5-di-2-imidazolin-2-ylphenyl)carbamoyl]adipanilide
 tetrahydrochloride, 360.degree. (decomp.); 1,1'-p-phenylenebis[3-(p-
 (3,5-di-2-imidazolin-2-ylphenyl)carbamoyl)phenyl)urea], approx.370.degree.,
 2,2''-terephthaloyldiminobis(4',4''-di-2-imidazolin-2-
 ylterephthalanilide), 380.degree. (decomp.); 2,2''-terephthaloyldiminobis(4',4''-
 di-2-imidazolin-2-yl-adipanilide) tetrahydrochloride, 300.degree.
 (decomp.); 1,1'-p-phenylenebis[3-(2,5-bis-p-2-imidazolin-2-
 ylphenyl)carbamoylphenyl)urea], 330.degree. (decomp.);
 4',4''-bis[(N-cyclohexyl-N'-methylamino)terephthalanilide] dihydrate,
 250.degree. (decomp.); 4',4''-bis[(N-benzyl-N'-methylamino)terephthalanilide
 dihydrochloride hydrate, 315.degree. (decomp.); 4',4''-bis[(N'-methyl-N-
 phenylamino)terephthalanilide dihydrochloride hydrate, 318.degree. (decomp.)

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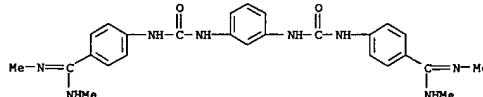
4',4''-di-2-imidazolin-2'-ylmaleanilide (or -fumaranilide) dihydrochloride, 360, degree., (decompn.); 3',3''-di-2-imidazolin-2'-yl-fumaranilide (or -maleanilide) dihydrochloride, 265, degree. (decompn.); 3-bis[3-(p-2-imidazolin-2-ylphenyl)ureido]benzoic acid dihydrochloride, 265-70, degree.; 1,1'-(5-(methylcarbamoyl)-m-phenylene)bis[3-(p-2-imidazolin-2-ylphenyl)ureido]dihydrochloride, 284, degree. (decompn.); 3,5-bis[3-(m-2-imidazolin-2-yl-phenyl)ureido]benzoic acid dihydrochloride, 240-50, degree.; 1,1'-(5-(phenylcarbamoyl)-m-phenylene)bis[3-(m-2-imidazolin-2-yl-phenyl)ureido]dihydrochloride, 255, degree.; 4-(2-imidazolin-2-yl)-4-(p-2-imidazolin-2-ylphenyl)carbamoyl)cinnamannilide dihydrochloride hydrate, >300, degree.; 4-(N,N'-dimethylamino)-4-(p-(N,N'-dimethylamino)phenylcarbamoyl)cinnamannilide dihydrochloride sesquihydrate, >300, degree.; 4-(N,N'-dimethylamino)-p-benzenediacyraniilide dihydrochloride hydrate, >300, degree.; 4',4''-bis(N,N-dimethylamino)-p-benzenediacyraniilide dihydrochloride, >300, degree.; 4',4''-di-2-imidazolin-2'-ylowamide, 330, degree. (decompn.); 1,1'-(5-(dimethylcarbamoyl)-m-phenylene)bis[3-(p-2-imidazolin-2-yl-phenyl)ureido]dihydrochloride, 292, degree. (decompn.); 3',3''-di-2-imidazolin-2-yl-p-benzenediacyraniilide dihydrochloride dihydrate, 300, degree. (decompn.); 1,1'-(5-(phenylcarbamoyl)-m-phenylene)bis[3-(p-2-imidazolin-2-yl-phenyl)carbamoyl]urea dihydrochloride, 284, degree. (decompn.); 1,1'-(m-phenylene)bis[3-(2,5-di-5-(p-2-imidazolin-2-yl-phenyl)carbamoyl)-m-phenylene]bis[3-(m-2-imidazolin-2-yl-phenyl)ureido]dihydrochloride, 320, degree. (decompn.); 1,1'-(5-(dimethylcarbamoyl)-m-phenylene)bis[3-(m-2-imidazolin-2-yl-phenyl)ureido]dihydrochloride, 265, degree. (decompn.); 1,1'-(5-(methylcarbamoyl)-m-phenylene)bis[3-(m-2-imidazolin-2-ylphenyl)ureido]dihydrochloride, 265, degree. (decompn.); 4-(2-imidazolin-2-yl)-4-(1,4,5,6-tetrahydro-2-pyrimidinyl)carbanilide dihydrochloride, 360, degree. (decompn.); 4-(2-imidazolin-2-yl)-4-(4(or 5)-methyl-2-imidazolin-2-yl)carbanilide dihydrochloride, 325, degree. (decompn.); 4,4''-vinylenebis[3-(2-imidazolin-2-yl)carbanilide], 330, degree. (decompn.); 3'-2-imidazolin-2-yl)-4-(m-2-imidazolin-2-ylphenyl)carbamoyl)cinnamannilide dihydrochloride dihydrate, 300, degree.; 4',4''-bis(N,N'-dimethylamino)-4,4'-stilbenedicarboxanilide dihydrochloride sesquihydrate, >300, degree. (decompn.); 3',3''-bis(N,N'-dimethylamino)-p-benzenediacyraniilide dihydrochloride hydrate, >300, degree. (decompn.); 3',3''-dihydroxy-4',4''-di-2-imidazolin-2-ylisophthalanilide dihydrochloride, 290, degree.; 4',4''-bis(N-cyclohexyl-N,N'-dimethylamino)terephthalanilide dihydrochloride trihydrate, 310, degree.; 4',4''-bis(N-cyclohexyl-N,N'-dimethylamino)isophthalanilide dihydrochloride dihydrate, 280, degree.; 4',4''-bis(N-benzyl-N,N'-dimethylamino)terephthalanilide dihydrochloride hydrate, 286, degree.; 1,1'-p-phenylenebis[3-(p-(N,N'-dimethylamino)phenyl)ureido]dihydrochloride hemihydrate, 286, degree.; 2,5-bis[(p-2-imidazolin-2-ylphenyl)carbamoyl]terephthalic acid pentahydrate, >360, degree.; 1,1'-p-phenylenebis[3-(p-(N-benzyl-N'-methylamino)phenyl)ureido]dihydrochloride hemihydrate, 280, degree. (decompn.); 4',4''-bis(N,N'-dimethylamino)fumaranilide dihydrochloride, 320, degree. (decompn.); 2-hydroxy-4',4''-di-2-imidazolin-2-ylisophthalanilide, >350, degree. (decompn.).

IT 5262-16-8, Urea, 1,1'-m-phenylenebis[3-(p-(N,N'-dimethylamino)phenyl)ureido]dihydrochloride

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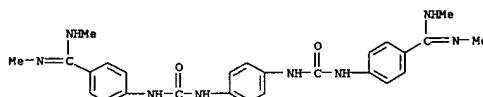
5300-45-8, Urea, 1,1'-p-phenylenebis[3-(p-(N-butyl-N'-methylamino)phenyl)ureido]dihydrochloride, 5300-46-9, Urea, 1,1'-p-phenylenebis[3-(p-(N-methyl-N'-phenylamidino)phenyl)ureido]dihydrochloride 5306-21-8, Urea, 1,1'-p-phenylenebis[3-(p-(N-cyclohexyl-N'-methylamidino)phenyl)ureido]dihydrochloride 5568-19-4, Urea, 1,1'-p-phenylenebis[3-(p-(N,N'-dimethylamino)phenyl)ureido]dihydrochloride 5971-20-0, Urea, 1,1'-p-phenylenebis[3-(m-(N,N'-dimethylamidino)phenyl)ureido]dihydrochloride (prep. of) 5262-16-8 CAPLUS

CN Urea, 1,1'-p-phenylenebis[3-(p-(N,N'-dimethylamino)phenyl)ureido]dihydrochloride (7CI, 8CI) (CA INDEX NAME)



● 2 HCl

RN 5300-44-7 CAPLUS
CN Benzenecarboximidamide, 4,4''-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

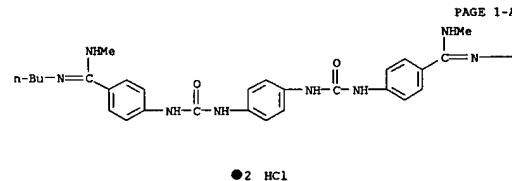


● 2 HCl

RN 5300-45-8 CAPLUS
CN Benzenecarboximidamide, 4,4''-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-butyl-N'-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

IT 5300-44-7 CAPLUS
CN Urea, 1,1'-p-phenylenebis[3-(p-(N,N'-dimethylamino)phenyl)ureido]dihydrochloride (7CI, 8CI) (CA INDEX NAME)

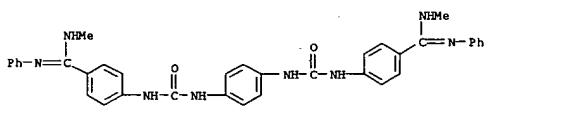
L4 ANSWER 77 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



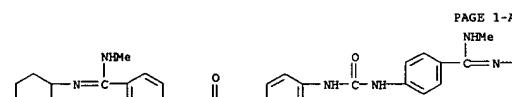
PAGE 1-B

—Bu-n

RN 5300-46-9 CAPLUS
CN Urea, 1,1'-p-phenylenebis[3-(p-(N-methyl-N'-phenylamidino)phenyl)ureido]dihydrochloride (7CI, 8CI) (CA INDEX NAME)



RN 5306-21-8 CAPLUS
CN Urea, 1,1'-p-phenylenebis[3-(p-(N-cyclohexyl-N'-methylamidino)phenyl)ureido]dihydrochloride (7CI, 8CI) (CA INDEX NAME)



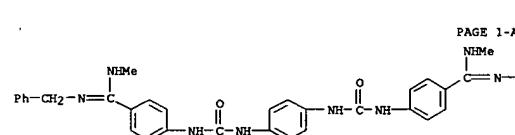
● 2 HCl

Habte

L4 ANSWER 77 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B

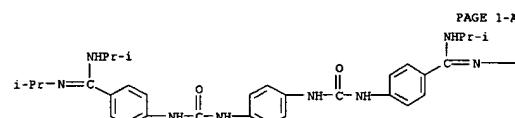
RN 5306-23-0 CAPLUS
CN Urea, 1,1'-p-phenylenebis[3-(p-(N-benzyl-N'-methylamidino)phenyl)ureido]dihydrochloride (7CI, 8CI) (CA INDEX NAME)



PAGE 1-B

—CH2-Ph

RN 5568-19-4 CAPLUS
CN Benzenecarboximidamide, 4,4''-[1,4-phenylenebis(iminocarbonylimino)]bis[N,N'-bis(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



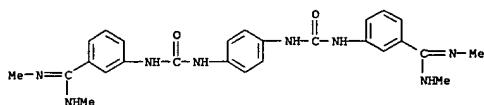
10/09/2003

L4 ANSWER 77 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

PAGE 1-B

—Pr-i

RN 5971-20-0 CAPLUS
 CN Urea, 1,1'-p-phenylenebis[3-[m-(N,N'-dimethylamidino)phenyl]-, dihydrochloride (8CI) (CA INDEX NAME)



●2 HCl

L4 ANSWER 78 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1965:488913 CAPLUS
 DOCUMENT NUMBER: 63:89913
 ORIGINAL REFERENCE NO.: 63:16347f-h
 TITLE: Synthesis of 2-anilino-3-aryl-4-quinoxalones
 AUTHOR(S): Dyrkow, Wojciech; Lucka-Sobstal, Barbara
 CORPORATE SOURCE: Med. Acad., Krakow, Pol.
 SOURCE: Dissertationes Pharmaceuticae (1965), 17(2), 195-203
 DOCUMENT TYPE: Journal
 LANGUAGE: Polish
 GI For diagram(s), see printed CA Issue.

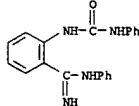
AB The title compds. were prep'd. by combined methods of Clark and Wagner (CA 38, 20362) and of Klosa (CA 56, 2449g). Isatoic anhydride was used as a starting material from which appropriate anthranilic acid anilides and toluidines were obtained by the method of Mehner (J. Prakt. Chem. 63(2), 283(1901)). These, in turn, condensed readily with PhNCO to give the following I (R=Ar, m.p. given): Ph (I), 21.5-16.degree.; o-MeC₆H₄ (III), 18.4.degree.; p-MeC₆H₄ (IV), 210-11.degree.; m-MeC₆H₄ (V), 200-1.degree.; p-CIC₆H₄ (VI), 212-13.degree.; NHCOC₆H₄ (VII), 185.degree.. VII was obtained in the reaction of anthranilic acid hydrazide with 2 mols. PhNCO. VIII formed the following VIII on cyclization with PCl₃ in toluene [R, m.p., deriv(s), and m.p. deriv(s), given]: Ph (IX), 263-5.degree., hydrochloride, 279-60.degree., picrate, 276-8.degree., o-MeC₆H₄, 259-61.degree., hydrochloride, 283-4.degree.; m-MeC₆H₄, 302-4.degree., hydrochloride, 280-2.degree.; p-CIC₆H₄, did not melt up to 320.degree., hydrochloride, 190-2.degree.; H, 260-2.degree.. The yields of these derivs. were 25-35%. Acid hydrolysis of IX gave 2-hydroxy-6-phenyl-4-quinoxalone, which was identical with an authentic sample. The structures of VIII were confirmed by detn. of their uv spectra.

IT 4145-22-6, Carbanilide, 2-(phenylamidino)-

(prepn. of)

RN 4145-22-6 CAPLUS

CN Carbanilide, 2-(phenylamidino)- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 79 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1965:471612 CAPLUS
 DOCUMENT NUMBER: 63:71612
 ORIGINAL REFERENCE NO.: 63:13134e-f
 TITLE: Synthesis of the new preparation ureamide
 AUTHOR(S): Drusyatskaya, S. K.; Machinskaya, N. I.
 SOURCE: Tr. Gos. Nauchno.-Kontrol'n. Inst. Vet. Preparatov (1964), 12, 353-6
 From Ref. Zh., Khim. 1965, Abstr. No. 10N194.

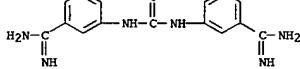
DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB m,m'-Diaminodiphenylurea (I) (ureamide) is an active pyroplasmocidal prepn. for treating infestation diseases (hemopsporidiasis, trypanosomiasis). The reaction of 4-CI₃C₆H₄SO₂Cl with aq. NH₄OH yielded p-toluenesulfonamide (II), yield 78-80%. The reaction of p-nitrobenzoic acid (III), II, and PCl₅ yielded III nitrile, yield 98-99%, m. 114-16.degree. (from alc.). The optimum temp. of the reaction was 200 8.degree.. A mixt. of III and abs. alc. satd. with HCl (gas) was left to stand 3-4 days at 20.degree.. The ppt. was sepd. off and dried in a vacuum desiccator; yield 80% 3-O₂N₂C₆H₄C(:NH)OC₂H₅ (IV), m.p. 120-2.degree.. IV was added to a soln. of abs. alc., satd. with NH₃, to a concn. of 13-14% and after 48 hrs. m-nitrobenzimidine (V) was filtered off; yield 85-90%, m.p. 240-2.degree.. V was reduced to m-aminobenzimidine (VI), yielded 80-85%, hydrochloride m.p. 255-60.degree.. A mixt. of VI and a calcd. amt. of urea was dissolved in H₂O, boiled, the ppt. was filtered off, the filtrate was boiled again and the ppt. was filtered off. The operation was repeated 4 times to obtain I.

IT 3459-96-9, Carbanilide, 3,3'-diamidino-

(prepn. of)

RN 3459-96-9 CAPLUS

CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 80 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1962:73229 CAPLUS
 DOCUMENT NUMBER: 56:73229
 ORIGINAL REFERENCE NO.: 56:14174c-h
 TITLE: Diimidines
 INVENTOR(S): Berg, Samuel Sidney
 PATENT ASSIGNEE(S): May & Baker Ltd.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 PATENT INFORMATION:

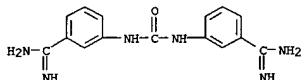
PATENT NO. KIND DATE APPLICATION NO. DATE
 GB 889865 19581215 GB 19590824
 US 3143461 1964 US
 AB Diimidines useful against protozoan diseases were prep'd. m-H₂NCH₂CH₂ONH₂ (50 g.) in anhyd. pyridine was treated with Cl₂CO (15 cc.) in anhyd. toluene (100 cc.) 10 min. with stirring. The soln. was heated 0.5 hr. on steam, cooled, added to 2 l. H₂O, the ppt. filtered off, and washed to give N,N'-bis(m-cyanophenyl)urea (I), m. 205-6.degree. (MeOH). I (42 g.) in anhyd. CHCl₃ (70 cc.) was satd. with anhyd. HCl at 0-5.degree. set aside 1 week, filtered, and dried to give 72 g. imino ether HCl salt of I. This product was added to satd. anhyd. ethanolic NH₃ (720 cc.), the suspension heated at 55-60.degree. 6 hrs., cooled, and filtered to give 3,3'-diaminodiphenylurea dihydrochloride (III), m. 286.degree. (decompn.). The iminoether HCl salt of I (90 g.) was dissolved in icewater (900 cc.) and the soln. basified with 2N NaOH in the presence of 500 cc. CHCl₃. The CHCl₃ ext. was sepd., washed with satd. aq. NaCl, dried, concd. in vacuo to give a gum (79.2 g.), which was dissolved in 792 cc. EtOH. HOCH₂CH₂SO₂2NH₄ (60 g.) in 120 cc. H₂O was added, the mixt. heated to 60.degree. 8 hrs., cooled, and filtered to give 3,3'-diaminodiphenylurea diethionite (III), m. 209.degree., decompd. at 256.degree. (MeOH-acetone). The method used to produce I was employed [using m-aminobenzimidine monohydrochloride (IV)] to give II.1.5H₂O, decompd. at 286.degree.. IV (3.45 g.) and 1.4 g. 3,5-dimethylpyrazole-1-carboxamide (prep'd. according to Scott, et al., CA 53, 3780g) in 7 cc. β -ethoxyethanol was refluxed 5 hrs., cooled, and filtered to give II. 1.5H₂O, decompd. at 286.degree.. The method used to produce II was employed [using 3-amino-4-methoxybenzonitrile, prep'd. according to Blanksma and Petri, CA 42, 148g] to give N,N'-bis(3-cyano-6-methoxyphenyl)urea, m. 315-16.degree., subsequently converted to 3,3'-diamino-6,6'-dimethoxydiphenylurea dihydrochloride-H₂O, decompd. at 285-6.degree.. Reduced Fe (25 g.) was slowly added to a boiling soln. of 25 g. 4-chloro-3-nitrobenzonitrile (prep'd. according to Le Fevre and Turner, CA 21, 2681) in 380 cc. 50% HOAc. The mixt. was heated by steam 15 min., filtered hot, ext'd. with boiling 50% HOAc, the ext's. added to H₂O, and cooled to give 3-amino-4-chlorobenzonitrile (V), m. 93-4.degree.. V was treated by the method used to produce I to give N,N'-bis(6-chloro-3-cyano-phenyl)urea, decompd. at 330.degree., converted to 3,3'-diamino-6,6'-dichlorodiphenylurea-2HCl-H₂O, decompd. at 280-2.degree.. The iminoether HCl salt of I (20 g.) was similarly treated as for III except that MeNH₂·HCl (6.6 g.) was added in place of ammonium iethionate to give 3,3'-bis(N-methylamidino)diphenylurea-2HCl·1.5H₂O, decompd. from 210.degree.. m. 273-4.degree.. Similarly prep'd. were 3,3'-bis(N-ethylamidino)diphenylurea-2HCl-H₂O, decompd. at 302-5.degree., and 3,3'-bis(N,N-dimethylamidino)diphenylurea dihydrobromide hydrate, decompd. at 300-2.degree..

IT 53104-79-3, Carbanilide, 3,3'-diamidino-, dihydrochloride

93726-99-9, Carbanilide, 5,5'-diamidino-2,2'-dimethoxy-

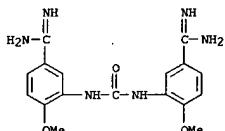
93899-67-3, Carbanilide, 5,5'-diamidino-2,2'-dichloro-,

L4 ANSWER 80 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 dihydrochloride 94823-77-5, Carbamidine, 3,3'-bis(methylamidino)-, dihydrochloride 94065-38-0, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diaminocarbanilide 97765-31-6, Carbamidine, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide (prepn. of)
 RN 53104-79-3 CAPLUS
 CN Benzene carboximidamide, 3,3'-(carbonyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

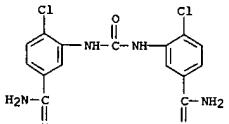
RN 93726-99-9 CAPLUS
 CN Carbamidine, 5,5'-diamidino-2,2'-dimethoxy- (7CI) (CA INDEX NAME)



RN 93899-67-3 CAPLUS
 CN Carbamidine, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride (7CI) (CA INDEX NAME)

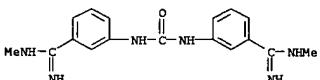


L4 ANSWER 80 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●2 HCl

RN 94823-77-5 CAPLUS
 CN Benzene carboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

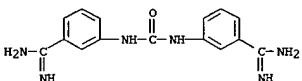


●2 HCl

RN 94865-38-0 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O



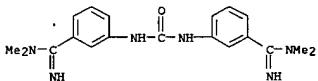
CM 2

CRN 107-36-8

L4 ANSWER 80 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

RN 97765-31-6 CAPLUS
 CN Carbamidine, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide (7CI) (CA INDEX NAME)



●2 HBr

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1962:66714 CAPLUS

DOCUMENT NUMBER: 56:66714

ORIGINAL REFERENCE NO.: 56:12797e-i,12798a-b

TITLE: Search for chemotherapeutic amidines. XIX. 3,3'-Diamidinocarbanilide and its congeners

AUTHOR(S): Berg, S. S.

CORPORATE SOURCE: May and Baker Ltd., Dagenham, UK

SOURCE: Journal of the Chemical Society, Abstracts (1961) 5097-101

CODEN: JCSAAZ; ISSN: 0590-9791

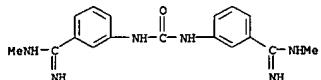
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB *c/f*, CA 55, 16523h. The prepn. of 3,3'-diamidinocarbanilide diisethionate (I), a new babesicidal drug, was described. Modification of the structure of I produced compds. of lower activity. COCl₂ (1 mol) in 450 mL anhyd. PhMe added in 0.5 h. to 1.9 mol of the appropriate aminobenzonitrile in 925 mL anhyd. CS₂H₅N, the temp. kept at 30°, by ice, stirred 0.5 h. at 95-100°, cooled, added to 5.31 ice H₂O, and the ppt. collected gave the corresponding 3,3'-dicyanocarbanilide. The following results were obtained (6,6'-disubstituents of 3,3'-dicyanocarbanilide, % yield, crystn. solvent, cryst. form, and m.p. given): H, 77, alc. pink prisms, 205-6°; Cl, 43.5, Me₂NCHO, needles, 330° (decompn.); OMe, 84.8, Me₂NCHO, yellow needles, 315-16°, *m*-Aminobenzonitrile (II) (23.6 g.) and 10.6 g. CNBr in 100 mL alc. refluxed overnight, 4 vols. Et₂O added, the solid collected, and ground with 2N NaOH gave 15.2 g. N,N'-bis(*m*-cyanophenyl)guanidine, m. 162-3°. II (3.5 g.) and 0.95 g. CSCl₂ gave 1.8 g. 3,3'-dicyanothiocarbanilide, pink prisms, m. 163° (alc.-Et₂Oac). *m*-Acetamidobenzonitrile (23 g.) added to 30.1 g. PCl₅ and 288 mL CS₂H₅N, the mixt. refluxed 0.25 h., evapd., 432 mL CS₂H₅N added, 17.3 g. II in 144 mL CS₂H₅N slowly added to the refluxing soln. of the imidoyl chloride, the solid collected after refluxing a further 3 h., and crystd. gave 24.4 g. N,N'-bis(*m*-cyanophenyl)acetamidine, prisms, m. 186-8°. The following amidines, [2,5-R₁R₂C(:NH)C₆H₄CH₃]₂2H₂XH₂O, were thus obtained (Y, R, R₁, R₂, X, alc. and alc. solvent for imide prepn., crystn. solvent, cryst. form, decompn. point, and % yield given): NHCONH, H, H, Cl, 1, alc.-CHCl₃, MeOH-COMe₂, needles, 286°; NHCONH, H, H, H, C2H₅CO₂(I), 0, alc.-CHCl₃, MeOH-COMe₂, needles, 256°; NHCONH, H, Me, H, Cl, 1, 5, alc.-CHCl₃, 3N HCl, needles, 273-4°; 62% NHCONH, H, Et, H, Br, 1, alc.-CHCl₃, MeOH-COMe₂, prisms, 302-5°; 32% NHCONH, H, Me, Me, Br, 1, 75, alc.-CHCl₃, aq. NaBr, needles, 300-2°; 48.6% NHCONH, Cl, H, H, Cl, 1, HO(CH₂)₂OEt, 2N HCl, prisms, 280-2°; 21% NHCONH, OMe, H, H, Cl, 1, HO(CH₂)₂OEt, N HCl, needles, 285-6°; 39.5% NH₂C(:NH)NH, H, H, H, Cl, 2, HO(CH₂)₂OEt, MeOH-EtOAc, -, 254°; 55.6% N:COMeNH, H, H, H, Cl, 0.5, alc.-CHCl₃, MeOH-COMe₂, -, 357°; 37.5% *m*-Aminobenzimidine-HCl (III) (3.65 g.) in 15 mL CS₂H₅N treated at 5-10°, with 0.75 mL COCl₂ in PhMe, heated 0.5 h., cooled to 25°, and the product crystd. from 2N HCl gave 0.24, g. 3,3'-diamidinocarbanilide-2HCl₂ (IV), in, 286° (decompn.). III (3.45 g.) and 1.4 g. 3,5-dimethylpyrazole-1-carboxamide refluxed 5 h. with 7 mL 2-ethoxyethanol gave 0.35 g. IV. 3,3'-Dicyanothiocarbanilide (1.3 g.) in 13 mL CHCl₃ contg. 2 mL alc. satd. at 0°, with HCl and left 7 days afforded a gum. The dicyano compnd. (1.5 g.) and 1.8 g. ammonium benzenesulfonate heated at 150° gave much decompn. III (2.2 g.) and 1 g. 3,5-dimethylpyrazole-1-thiocarboxamide in 10 mL EtOCH₂CH₂OH refluxed 5 h. gave 2 g. *m*-aminobenzimidine-HBr, m. 72°. IV (20 g.), 7 g. cyanamide, and 53 mL alc. refluxed overnight gave 12.8 g. 3,3'-diguanidinocarbanilide-2HCl₂·0.5H₂O, gray prisms, m. 270-2° (decompn.). *m*-Aminodimethylylaniline (31.3 g.) and 7 g. CO(NH₂)₂ fused 2 h.

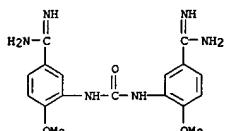
10/09/2003

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 at 140-52.degree. gave 14.8 g. 3,3'-bis(dimethylamino)carbanilide (V), m. 260-2.degree.. V with Me₂SO₄ in PhNO₂ gave the dimethosulfate-2H₂O as pale m. 214-16.degree. (decompn.) (MeOH).
 IT 94823-77-5 Carbanilide, 3,3'-bis(methylamino)-, dihydrochloride (activity against Babesia rodhaini in mice)
 RN 94823-77-5 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

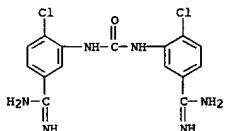
IT 94823-78-6 Carbanilide, 5,5'-diamidino-2,2'-dimethoxy-, dihydrochloride (activity against Babesia rodhaini in mice)
 RN 94823-78-6 CAPLUS
 CN Carbanilide, 5,5'-diamidino-2,2'-dimethoxy-, dihydrochloride (7CI) (CA INDEX NAME)



●2 HCl

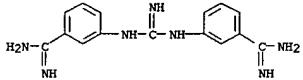
IT 53104-79-3 Carbanilide, 3,3'-diamidino-, dihydrochloride (and derivs., as pharmaceuticals)
 RN 53104-79-3 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



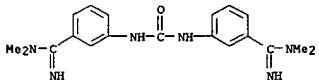
●2 HCl

RN 97317-84-5 CAPLUS
 CN Guanidine, 1,3-bis(m-amidinophenyl)-, hydrochloride (7CI) (CA INDEX NAME)



●x HCl

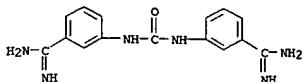
RN 97765-31-6 CAPLUS
 CN Carbanilide, 3,3'-bis(N,N-dimethylamino)-, dihydrobromide (7CI) (CA INDEX NAME)



●2 HBr

RN 97980-41-1 CAPLUS
 CN Acetamidine, N,N'-bis(m-amidinophenyl)-, hydrochloride (7CI) (CA INDEX NAME)

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

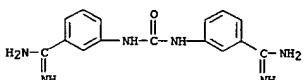


●2 HCl

IT 94865-38-0 Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diamidino carbanilide (new babesicidal drug)
 RN 94865-38-0 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'- (carbonyldiimino)bis[benzenecarboximidamide] (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O



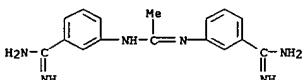
CM 2

CRN 107-36-8
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

IT 93899-67-3 Carbanilide, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride 97317-84-5, Guanidine, 1,3-bis(m-amidinophenyl)-, hydrochloride 97765-31-6, Carbanilide, 3,3'-bis(N,N-dimethylamino)-, dihydrobromide 97980-41-1, Acetamidine, N,N'-bis(m-amidinophenyl)-, hydrochloride (prepns. of)
 RN 93899-67-3 CAPLUS
 CN Carbanilide, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride (7CI) (CA INDEX NAME)

L4 ANSWER 81 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



●x HCl

L4 ANSWER 82 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1961:43097 CAPLUS
DOCUMENT NUMBER: 55:43097

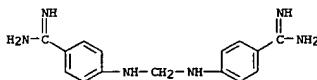
ORIGINAL REFERENCE NO.: 55:8344c-1, 8345a-b
TITLE: Search for chemotherapeutic amidines. XVII.
.alpha.,.omega.-Bis(p-aminobenzilino)alkanes
AUTHOR(S): Berg, S. S.
CORPORATE SOURCE: Northern Polytechnic, London
SOURCE: Journal of the Chemical Society, Abstracts (1960)
S172-6
CODEN: JCSAAZ; ISSN: 0590-9791
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. CA 55, 5521e. The title compds. and the piperazine deriv., 1,4-bis(p-aminophenyl)piperazine (I) were described. They had no significant trypanocidal activity. p-Aminobenzimidine-HCl (8.5 g.) in 50 ml. alc. and 2 ml. 40% HCHO refluxed 0.5 hr. gave 4.3 g. bis(p-aminobenzilino)methane-HCl, m. 236-8.degree. (MeOH-MeCO). CuCN (6.8 g.) and 10 ml. CSHSN heated to 120-30.degree., 9.25 g. 1,2-bis(p-bromobenzilino)ethane added, the temp. raised to 215-20.degree., the CSHSN distd. the melt stirred at 195-200.degree. 3 hrs., added to 20 g. KCN in 50 ml. H2O (the oil sep'd. and hardened), the liquor poured off, the mass ground with 2N HCl to give 14.5 g. solid, this sublimed, and the yellow sublimate (300-10.degree./0.1 mm.) (0.45 g.) crystd. gave 0.33 g. 1,2-bis(p-cyanobenzilino)ethane (II), m. 205-6.degree. (AcOH). p-Aminobenzonitrile (100 g.), 142 g. NaHCO3, 160 g. C2H4Br2, and 400 ml. EtOCH2CH2OH refluxed 18 hrs., the mixt. cooled to 10.degree., the insol. material removed, the filtrate dild. with H2O, and the brown granular solid collected. p-Aminobenzonitrile (51 g.) was recovered from the mother liquors. The brown solid crystd. gave 18 g. product, sublimed to afford 9 g. II. The last filtered product afforded 6.6 g. 1,4-bis(p-cyanophenyl)piperazine (III), yellow needles, m. 275-7.degree. (anisole). p-Aminobenzonitrile (5 g.), 4.25 g. anhyd. Na2CO3, and 7.1 g. C2H4Br2 refluxed 3 hrs. at 150-5.degree., cooled, filtered, and the solid crystd. gave 1.4 g. III. II (27.5 g.) in 650 ml. EtOCH2CH2OH at 0-5.degree. satd. with HCl, left 10 days and the mixt. treated with 390 ml. satd. alc. NH3 at 55-60.degree. gave 7.5 g. 1,2-bis(p-aminobenzilino)ethane-2HCl (IV), plates, m. 353.degree. (decompn.). IV (7.5 g.) in 800 ml. H2O treated at 10-15.degree. with 50% NaOH gave 6.4 g. product, which suspended in 80 ml. MeOH with methanesulfonic acid gave 7.1 g. 1,2-bis(p-aminobenzilino)ethane di(methanesulfonate), m. 301-2.degree. (MeOH). III (8.4 g.) in 150 ml. EtOCH2CH2OH satd. at 0-5.degree. with HCl gave 1.2HCl. I, 2HCl (6 g.) in 750 ml. H2O basified and the base treated with 20 ml. 2N isethionic acid gave 5.5 g. I diisethionate, yellow needles, m. 328.degree. (decompn.). (MeOH). p-Aminobenzonitrile (12 g.) in 100 ml. 2N HCl and 150 ml. H2O stirred 1 hr. with 12 ml. 1,1,3,3-tetraethoxypropane, the 15 g. solid washed, and a soln. in 300 ml. 96% aq. CSHSN treated with 300 ml. H2O gave 11 g. 1-(p-cyanobenzilino)-3-(p-cyanophenylamino)-1-propene, m. 227-9.degree.. p-Aminobenzonitrile (11.8 g.), 5.2 ml. 1,3-dibromopropane, 8.4 g. NaHCO3, and 50 ml. EtOCH2CH2OH refluxed overnight gave 3 g. 1-(p-cyanobenzilino)propane (V), m. 159-61.degree. (aq. alc.). 1-(p-Cyanoanilino)-3-(p-cyanophenylamino)-1-propene (II g.) in 750 ml. HCONH2 hydrogenated at room temp. with 1.6 g. PtO2 1.5 hrs. gave 4.15 g. V. 1,3-Bis(p-cyanobenzilino)propane (10 g.) in 200 ml. alc. satd. with HCl at 0-5.degree. and the dimidoester-2HCl which sep'd. during 1 week (14.1 g.) dissolved in 100 ml. refluxing H2O and 30

L4 ANSWER 82 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
ml. satd. NaCl gave 5.8 g. 1,3-bis(p-aminobenzilino)propane-2HCl, yellow plates, m. 316-8.degree. (decompn.). Na glutamic aldehyde-2H2O (1.55 g.) in 50 ml. H2O added at 80-90.degree. to 2.36 g. p-aminobenzonitrile in 20 ml. 2N H2SO4 and 120 ml. H2O, the mixt. stirred a further 10 min., and filtered gave 2.5 g. 1-(p-cyanobenzilino)-5-(p-cyanophenylamino)-1,3-pentadiene, m. 140-4.degree. (decompn.), which (2.4 g.) in 100 ml. HCONH2 reduced at 30-5.degree. over 0.24 g. PtO2, the ppt. filtered off, washed, and extd. with CHCl3 gave 1.8 g. brown solid, m. 160-70.degree.. Attempts to purify this product were unsuccessful. The aq. dimethylformamide filtrate gave 0.2 g. p-aminobenzonitrile. p-Aminobenzonitrile (94.4 g.), 97.6 g. hexamethylene dibromo, 67.2 g. NaHCO3, 400 ml. EtOCH2CH2OH, and a crystal of iodine refluxed 24 hrs., the solvent evapd., the residual oil cooled, stirred with 2 l. 2N HCl, extd. with CHCl3, and the solvent removed gave 16 g. 1,6-bis(p-cyanobenzilino)hexane (VI), prismatic needles, m. 165-7.degree. (AcOH). Similarly, 15 g. VI in 180 ml. EtOCH2CH2OH satd. at 0-5.degree. with HCl gave the di-HCl salt, converted to 5.2 g. 1,6-bis(p-aminobenzilino)hexane diisethionate, prisms, m. 238-40.degree. (H2O and MeOH).

IT 109446-25-5, Benzamidine, 4,4'-(methylenediimino)di-, dihydrochloride (prepn. of)

RN 109446-25-5 CAPLUS
CN Benzamidine, 4,4'-(methylenediimino)di-, dihydrochloride (6CI) (CA INDEX NAME)



•2 HCl

L4 ANSWER 83 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1960:104776 CAPLUS
DOCUMENT NUMBER: 54:104776

ORIGINAL REFERENCE NO.: 54:19971c-d
TITLE: Chemotherapy of experimental babesiosis in mice and splenectomized calves

AUTHOR(S): Lucas, J. M. S.
CORPORATE SOURCE: May & Baker, Ltd., Dagenham, UK
SOURCE: Research Vet. Sci. (1960), 1, 218-25

DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Compds. against Babesia rohmanni in mice were screened and the activity of a new compd., 3,3'-diamidino-carbanilide diisethionate, or M6 5062A (I), against this parasite compared with that of compds. commonly used in the treatment of babesiosis. Infection produced in splenectomized calves by the inoculation of Babesia aiverdens was treated with a no. of compds.; I showed good activity at a dose of 5 mg./kg. and was tolerated by calves at a dose of 40 mg./kg., 21 references.

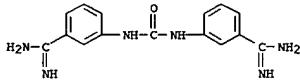
IT 3671-72-5, Carbanilide, 3,3'-diamidino-, diisethionate (in Babesia rohmanni infection treatment)

RN 3671-72-5 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carboxyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

HO-CH2-CH2-SO3H

L4 ANSWER 84 OF 84 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1960:98824 CAPLUS
DOCUMENT NUMBER: 54:98824

ORIGINAL REFERENCE NO.: 54:19783n-i

TITLE: 3,3'-Diamidinocarbamilide: A new drug active against babesial infections

AUTHOR(S): Ashley, J. N.; Berg, S. S.; Lucas, J. M. S.
CORPORATE SOURCE: May and Baker, Ltd., Essex, UK
SOURCE: Nature (London, United Kingdom) (1960), 185, 461

DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB 3,3'-Diamidinocarbamilide diisethionate (I) given subcutaneously to splenectomized calves infected with Babesia divergens at dosages ranging from 3 to 40 mg./kg. body wt. reduced the parasitemia within 24 hrs. with complete clearing within 3 days. Hemoglobinuria was cleared in 24 hrs. with doses of 5 mg./kg. or higher. The L.D.50 of I in mice was found to be 120 mg./kg., as compared to 4 mg./kg. for quinoronium sulfate (II). Max. subcutaneous dose levels tolerated in splenectomized calves were 40 mg./kg. and 4 mg./kg. for I and II, resp. Toxic effects assoc'd. with II, commonly used in B. divergens infections, do not appear at therapeutic levels of I.

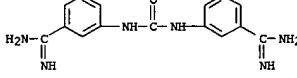
IT 3671-72-5, Carbanilide, 3,3'-diamidino-, diisethionate (in treatment of Babesia divergens infection)

RN 3671-72-5 CAPLUS

CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carboxyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
CMF C15 H16 N6 O



CM 2

CRN 107-36-8
CMF C2 H6 O4 S

HO-CH2-CH2-SO3H

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=> s l4 and protoz?  
L5          10 L4 AND PROTOZ?  
=> d ibib abs hitstr tot
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LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2003492708 CAPLUS
 DOCUMENT NUMBER: 139765058
 TITLE: Preparation of N-amidinophenyl-N'-sulfamoylphenylureas and related compounds for the treatment of protozoal diseases and as inhibitors of intracellular protein degradation pathways
 INVENTOR(S): Aschenbrenner, Andrea; Fuchs, Katharina; Aulinger, Dornmeyer, Matthias; Garcia, Gabriel; Kramer, Bernd; Kraus, Jürgen; Krauss, Rolf; Leban, Johann; Pogoraro, Stefano; Seeb, Wael; Wolf, Kristina
 PATENT ASSIGNEE(S): Germany
 SOURCE: U.S. Pat. Appl. Publ., 53 pp., Cont.-in-part of U.S. Ser. No. 20,683.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003119876	A1	20030626	US 2002-93008	20020226
DE 10109204	A1	20020919	DE 1001-10109204	20010226
US 2002165236	A1	20021107	US 2001-20683	20011212
			DE 2001-10109204	A 20010226
			US 2001-20683	A2 20011212

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 139:69058
 AB R123(NH)NBR3(R4R5R6) (Y = CO, CS, CNH, CO2, SO2; A, B = aryl optionally contg. 1 or 2 O, N, wherein the N is optionally substituted with R', and/or the heteroatom S is optionally bonded to :O, :O2, R' = H, hydroxalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl (unsubst.) cyclopropyl, cyclohexyl (hetero)aryl, R1, C(NR4R5)NR6Rd; Ra, Rc = H, O2CR', OBz, hydroxalkyl, haloalkyl, aminoalkyl, alkoxy, cyanoalkyl, alkyl, (unsubst.) cyclopropyl, cyclohexyl, acyl, heteroaryl, Rb = null, Ra, Rc, Rd = -H, COR, (CH2)nRE, Re = H, alkoxy, alkylthio, halo, haloalkyl, haloalkoxy, hydroxalkyl, hydroxalkylamino, alkyl, (hetero)aryl, amino, aminoalkyl, alkylamino, Rf = H, hydroxalkyl, alkyl, allyl, amino, alkylamino, morpholine, 2-tetrahydrofuryl, N-pyrrolidino, 3-pyridyl, Ph, PhCH2, biphenyl, heterocyclyl, NR4R5, 0-3; RaRd = 5-6 membered (unsubst.) heterocyclyl, contg. 0-3 R"; R" = H, alkoxy, alkylthio, aminoalkyl, halo, CO2R', CR'O, haloalkyl, haloalkoxy, NO2, CN, OH, hydroxalkyl, alkyl, (hetero)aryl, amino, alkylamino, aminoalkyl, O; R2 = H, halo, alkoxy, alkylthio, CO2R', CR'O, haloalkyl, haloalkoxy, NO2, CN, OH, hydroxalkyl, alkyl, acyl, amino, alkylamino, aminoalkyl; R3 = H, halo, haloalkoxy, NO2, CN, alkyl, acyl; R4 = H, group capable of hydrogen bond formation except for R1; R5 = H, R4; R6 = H, R2), were prep'd. Thus, 1,1-thiocarbonyldimidazole in MeNO2 at 4 degree, then with Me triflate, the reaction was stirred for 30 min at 4 degree, then 4-amino-N-benzylbenzenesulfonamide in DMA was added dropwise. The reaction was stirred for 2.5 h at rt, then 3-aminobenzamidine dihydrochloride and DIEA in DMA were added followed by stirring for 16 h at rt to give 15t 3-[3-(4-benzylsulfamoylphenyl)thioureido]benzamidine. Several title compds. showed activity against Plasmodium falciparum Dd2 with IC50<1 μM.
 IT 455899-89-5P 455899-90-8P 455899-91-9P

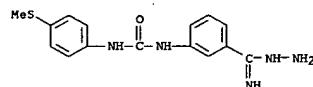
LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

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 455899-99-7P 455900-00-2P 455900-01-3P
 455900-02-4P 455900-03-5P 455900-08-0P
 455900-09-1P 455900-10-4P 455900-11-5P
 455900-12-6P 455900-13-7P 455900-14-8P
 455900-15-9P 455900-16-0P 455900-17-1P
 455900-18-2P 455900-19-3P 455900-20-6P
 455900-21-7P 455900-22-8P 455900-23-9P
 455900-24-0P 455900-25-1P 455900-26-2P
 455900-27-3P 455900-28-4P 455900-29-5P
 455900-30-8P 455900-31-9P 455900-32-0P
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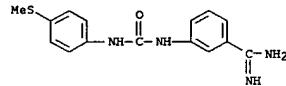
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prep. of amidinophenylsulfamoylphenylureas and related compds. for the treatment of protozoal diseases and as inhibitors of intracellular protein degrdn. pathways)

RN 455899-89-5 CAPLUS
 CN Benzenecarboximidic acid, 3-[[{[(4-(methylthio)phenyl)amino]carbonyl}amino]-, hydrazide (9CI) (CA INDEX NAME)

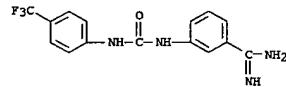


RN 455899-90-8 CAPLUS

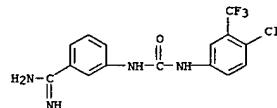
LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



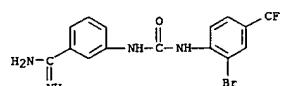
RN 455899-96-4 CAPLUS
 CN Benzenecarboximidic acid, 3-[[{[(4-(trifluoromethyl)phenyl)amino]carbonyl}amino]- (9CI) (CA INDEX NAME)



RN 455899-97-5 CAPLUS
 CN Benzenecarboximidic acid, 3-[[{[(4-chloro-3-(trifluoromethyl)phenyl)amino]carbonyl}amino]- (9CI) (CA INDEX NAME)

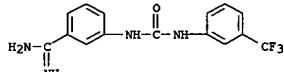


RN 455899-98-6 CAPLUS
 CN Benzenecarboximidic acid, 3-[[{[(2-bromo-4-(trifluoromethyl)phenyl)amino]carbonyl}amino]- (9CI) (CA INDEX NAME)

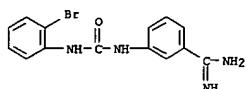


RN 455899-99-7 CAPLUS
 CN Benzoic acid, 3-[[{[(3-(aminoiminomethyl)phenyl)amino]carbonyl}amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

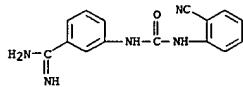
LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN Benzenecarboximidamide, 3-[[{[(3-(trifluoromethyl)phenyl)amino]carbonyl}amino]- (9CI) (CA INDEX NAME)



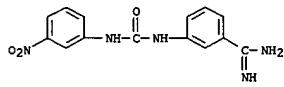
RN 455899-91-9 CAPLUS
 CN Benzenecarboximidamide, 3-[[{[(2-bromophenyl)amino]carbonyl}amino]- (9CI) (CA INDEX NAME)



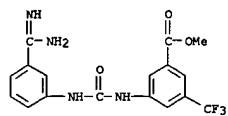
RN 455899-92-0 CAPLUS
 CN Benzenecarboximidamide, 3-[[{[(2-cyanophenyl)amino]carbonyl}amino]- (9CI) (CA INDEX NAME)



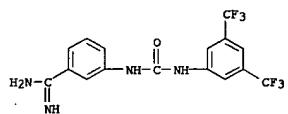
RN 455899-93-1 CAPLUS
 CN Benzenecarboximidamide, 3-[[{[(3-nitrophenyl)amino]carbonyl}amino]- (9CI) (CA INDEX NAME)



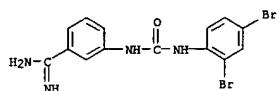
RN 455899-95-3 CAPLUS
 CN Benzenecarboximidamide, 3-[[{[(4-(methylthio)phenyl)amino]carbonyl}amino]- (9CI) (CA INDEX NAME)



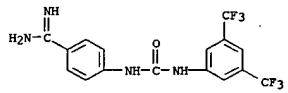
RN 455900-00-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-01-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

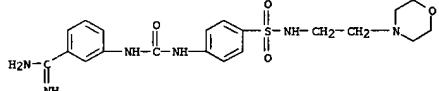


RN 455900-02-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[3,5-bis(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

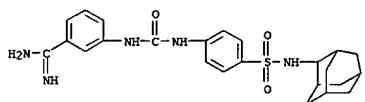


RN 455900-03-5 CAPLUS
CN Benzoic acid, 3-[[[4-(aminoiminomethyl)phenyl]amino]carbonyl]amino-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

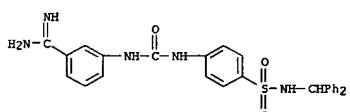
LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-[[[4-((2-(4-morpholinyl)ethyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



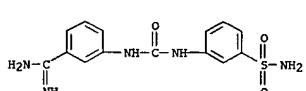
RN 455900-12-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((tricyclo[3.3.1.13,7]dec-2-ylamino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-13-7 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((diphenylmethyl)amino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

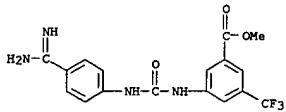


RN 455900-14-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((aminosulfonyl)phenyl)amino]carbonyl]amino- (9CI) (CA INDEX NAME)

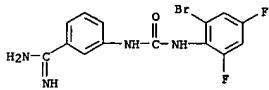


RN 455900-15-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((2-hydroxyethyl)amino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

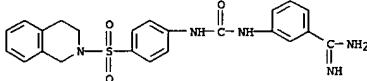
Habte



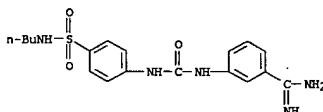
RN 455900-08-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[2-bromo-4,6-difluorophenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



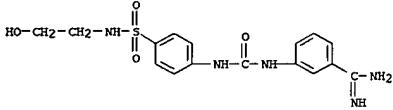
RN 455900-09-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((3,4-dihydro-2H-isquinoliny) sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



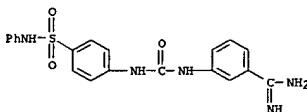
RN 455900-10-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((butylamino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



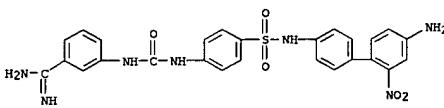
RN 455900-11-5 CAPLUS



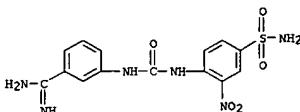
RN 455900-16-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((phenylamino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-17-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((4'-amino-2'-nitro[1,1'-biphenyl]-4-yl)amino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-18-2 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((aminosulfonyl)-2-nitrophenyl)amino]carbonyl]amino- (9CI) (CA INDEX NAME)

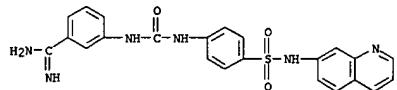


RN 455900-19-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((7-quinoliny)amino)sulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

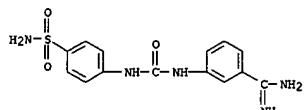
10/09/2003

L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

(Continued)

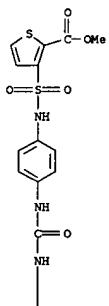


RN 455900-20-6 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-(aminosulfonyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

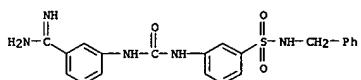


RN 455900-21-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[[4-((3-aminoiminomethyl)phenyl)amino]carbonyl]amino]sulfonyl-, methyl ester (9CI) (CA INDEX NAME)

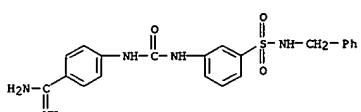
PAGE 1-A



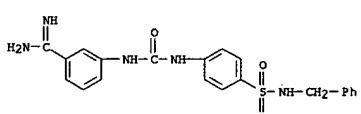
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-25-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[3-((phenylmethyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



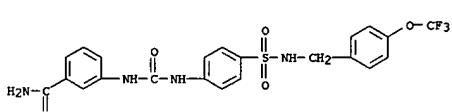
RN 455900-26-2 CAPLUS
CN Benzenecarboximidamide, 4-[[[3-((phenylmethyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-27-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((phenylmethyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



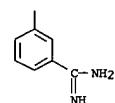
RN 455900-28-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((4-(trifluoromethoxy)phenyl)methyl)amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-29-5 CAPLUS

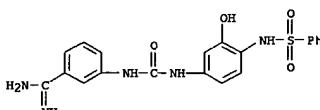
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L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

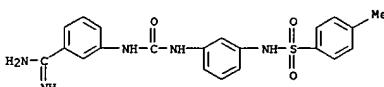


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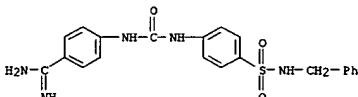
RN 455900-22-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[3-hydroxy-4-((phenylsulfonyl)amino)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



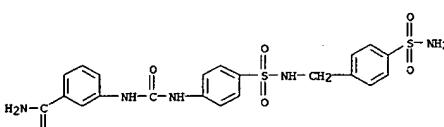
RN 455900-23-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[3-((4-methylphenyl)sulfonyl)amino]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



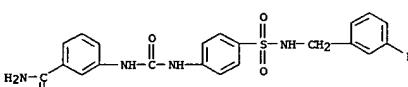
RN 455900-24-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[4-((phenylmethyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



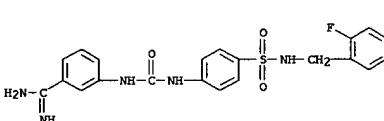
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-[[[4-((4-aminosulfonyl)phenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



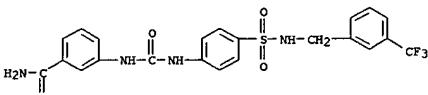
RN 455900-30-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((3-fluorophenyl)methyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



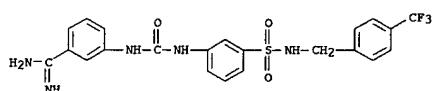
RN 455900-31-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((2-fluorophenyl)methyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



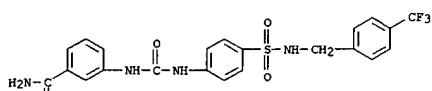
RN 455900-32-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[4-((3-(trifluoromethyl)phenyl)methyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



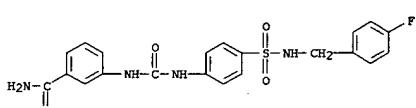
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 455900-33-1 CAPLUS
 CN Benzenecarboximidamide, 3-[[[3-[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-34-2 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

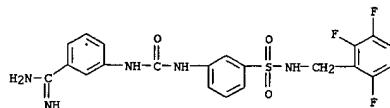


RN 455900-35-3 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[4-fluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

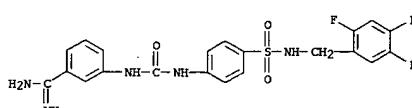


RN 455900-36-4 CAPLUS
 CN Benzenecarboximidamide, 3-[[[3-[[[2,3,6-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

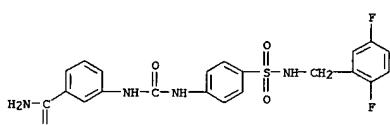
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-37-5 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[2,4,5-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

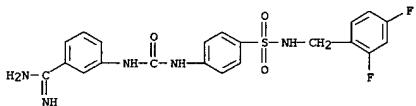


RN 455900-38-6 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[2,5-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

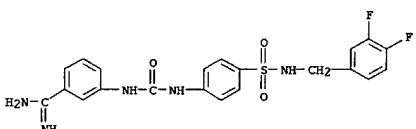


RN 455900-39-7 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[2,4-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

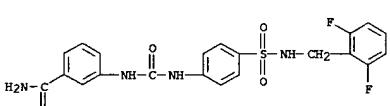
L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



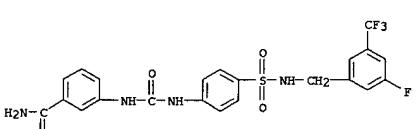
RN 455900-40-0 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[3,4-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-41-1 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[2,6-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

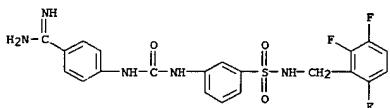


RN 455900-42-2 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

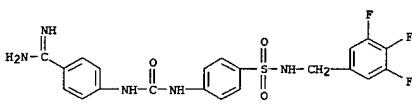


L5 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

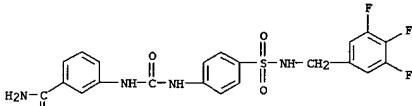
RN 455900-43-3 CAPLUS
 CN Benzenecarboximidamide, 4-[[[3-[[[2,3,6-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



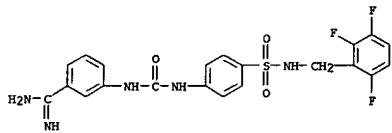
RN 455900-44-4 CAPLUS
 CN Benzenecarboximidamide, 4-[[[4-[[[3,4,5-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



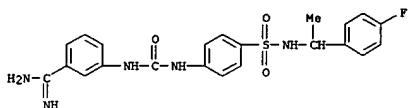
RN 455900-45-5 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[3,4,6-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



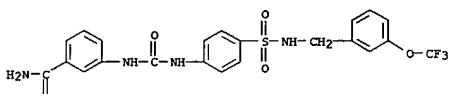
RN 455900-46-6 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-[[[2,3,6-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



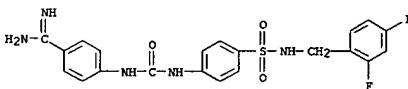
RN 455900-47-7 CAPLUS
CN Benzenecarboximidamide, 3-[[4-[(4-fluorophenyl)ethyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



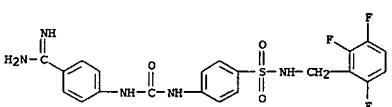
RN 455900-48-8 CAPLUS
CN Benzenecarboximidamide, 3-[[4-[(3-(trifluoromethoxy)phenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



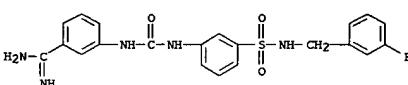
RN 455900-50-2 CAPLUS
CN Benzamide, 4-[[4-[(3-(aminominomethyl)phenyl)amino]carbonyl]amino]phenyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



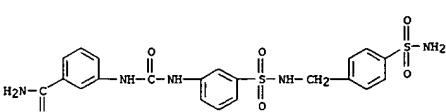
RN 455900-55-7 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



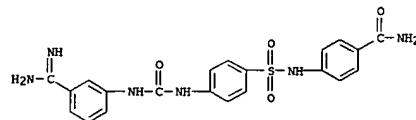
RN 455900-57-9 CAPLUS
CN Benzenecarboximidamide, 3-[[4-[(3-(3-fluorophenyl)methyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



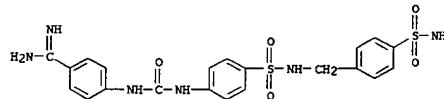
RN 455900-58-0 CAPLUS
CN Benzenecarboximidamide, 3-[[4-[(3-(aminosulfonyl)phenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



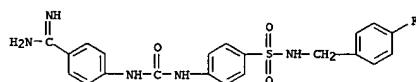
RN 455900-59-1 CAPLUS
CN Benzenecarboximidamide, 3-[[4-[(4-nitrophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



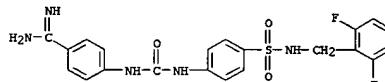
RN 455900-51-3 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(4-aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



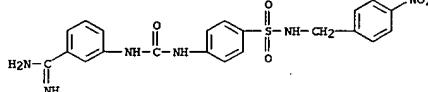
RN 455900-52-4 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(4-(4-fluorophenyl)methyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



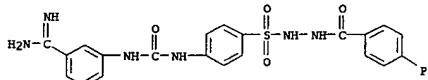
RN 455900-53-5 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(2,6-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



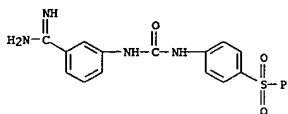
RN 455900-54-6 CAPLUS
CN Benzenecarboximidamide, 4-[[4-[(2,4-difluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



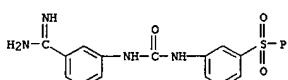
RN 455900-60-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2-[[4-[(3-(aminominomethyl)phenyl)amino]phenyl]sulfonyl]phenyl]hydrazide (9CI) (CA INDEX NAME)



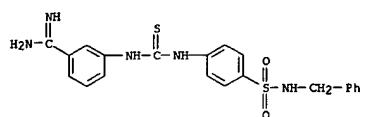
RN 455900-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



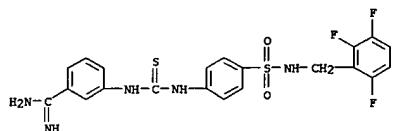
RN 455900-62-6 CAPLUS
CN Benzenecarboximidamide, 3-[[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



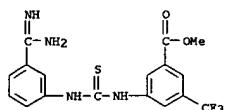
RN 455900-63-7 CAPLUS
CN Benzenecarboximidamide, 3-[[4-(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



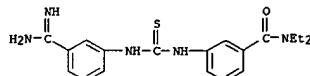
RN 455900-79-5 CAPLUS
 CN Benzenecarboximidamide, 3-[{thioxo[4-[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino]- (9CI) (CA INDEX NAME)



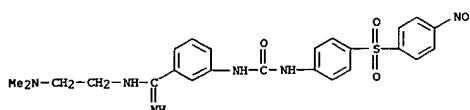
RN 455900-80-8 CAPLUS
 CN Benzoic acid, 3-[(3-(aminoiminomethyl)phenyl)amino]thioxomethylamino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



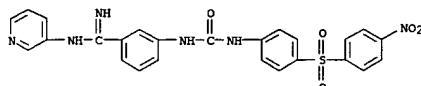
RN 455900-81-9 CAPLUS
 CN Benzamide, 3-[(3-(aminoiminomethyl)phenyl)amino]thioxomethylamino]-N,N-diethyl- (9CI) (CA INDEX NAME)



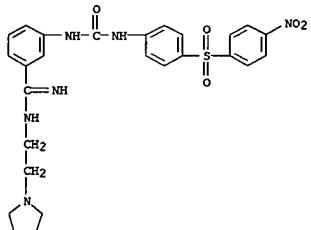
RN 455900-82-0 CAPLUS
 CN Benzenecarboximidamide, N-[2-(dimethylamino)ethyl]-3-[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]- (9CI) (CA INDEX NAME)



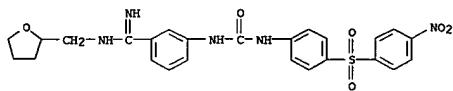
RN 455900-83-1 CAPLUS
 CN Benzenecarboximidamide, 3-[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonylamino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)



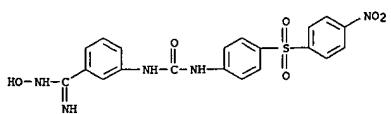
RN 455900-84-2 CAPLUS
 CN Benzenecarboximidamide, 3-[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonylamino]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



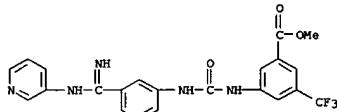
RN 455900-85-3 CAPLUS
 CN Benzenecarboximidamide, 3-[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonylamino]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)



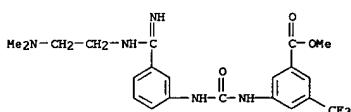
RN 455900-86-4 CAPLUS
 CN Benzenecarboximidamide, N-hydroxy-3-[[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonylamino]- (9CI) (CA INDEX NAME)



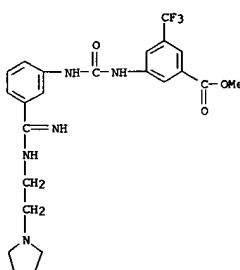
RN 455900-87-5 CAPLUS
 CN Benzoic acid, 3-[[3-[imino(3-pyridinylamino)methyl]phenyl]amino]carbonylamino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 455900-88-6 CAPLUS
 CN Benzoic acid, 3-[[3-[(2-(dimethylamino)ethyl)amino]iminomethyl]phenyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

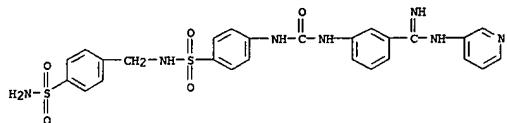


RN 455900-89-7 CAPLUS
 CN Benzoic acid, 3-[[3-[imino(2-(1-pyrrolidinyl)ethyl)amino]methyl]phenyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



RN 455900-90-0 CAPLUS
 CN Benzenecarboximidamide, 3-[[4-[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

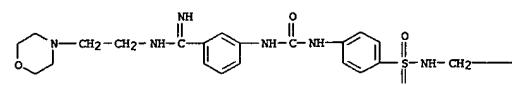
LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



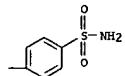
RN 455900-91-1 CAPLUS

CN Benzene carboximidamide, 3-[{[4-[{[4-(aminosulfonyl)phenyl]methyl}amino]sulfonyl]phenyl}amino]carbonyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

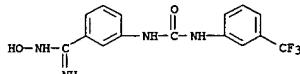


PAGE 1-B



RN 455900-93-3 CAPLUS

CN Benzene carboximidamide, N-hydroxy-3-[{[3-(trifluoromethyl)phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



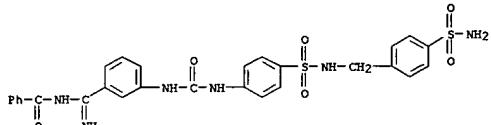
RN 455900-94-4 CAPLUS

CN Benzene carboximidamide, N-[2-(4-morpholinyl)ethyl]-3-[{[3-(trifluoromethyl)phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

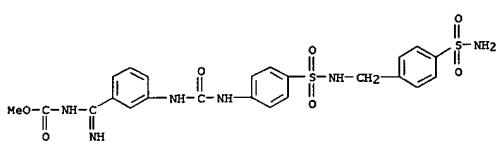
RN 455900-98-8 CAPLUS

CN Benzene carboximidamide, N-[3-[{[4-[{[4-(aminosulfonyl)phenyl]methyl}amino]sulfonyl]phenyl}amino]carbonyl]imino[methyl]- (9CI) (CA INDEX NAME)



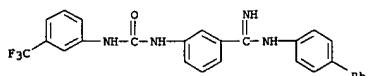
RN 455900-99-9 CAPLUS

CN Carbamic acid, [3-{[4-[{[4-(aminosulfonyl)phenyl]methyl}amino]sulfonyl]phenyl}amino]carbonyl]imino[methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 455901-01-6 CAPLUS

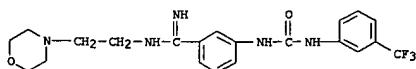
CN Benzene carboximidamide, N-[1,1'-biphenyl]-4-yl-3-[{[3-(trifluoromethyl)phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 548783-59-1 CAPLUS

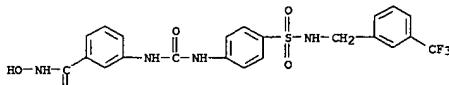
CN Benzene carboximidamide, 4-[{[3-{[3-fluorophenyl]methyl}amino]sulfonyl]phenyl}amino]carbonyl]- (9CI) (CA INDEX NAME)

LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



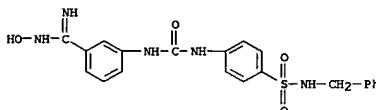
RN 455900-95-5 CAPLUS

CN Benzene carboximidamide, N-hydroxy-3-[{[4-[(3-trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl}amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



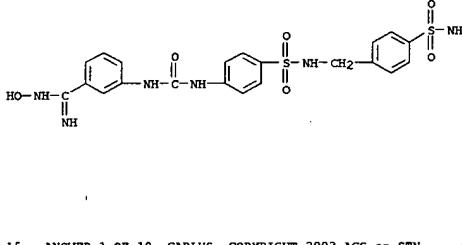
RN 455900-96-6 CAPLUS

CN Benzene carboximidamide, N-hydroxy-3-[{[4-[(phenylmethyl)amino]sulfonyl]phenyl}amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 455900-97-7 CAPLUS

CN Benzene carboximidamide, 3-[{[4-[(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl}amino]carbonyl]-N-hydroxy- (9CI) (CA INDEX NAME)

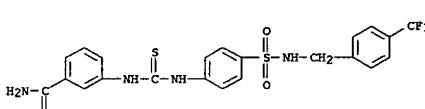


LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

LS ANSWER 1 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

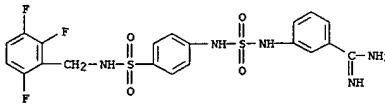
RN 548783-60-4 CAPLUS

CN Benzene carboximidamide, 3-[{[4-[(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl}amino]carbonyl]- (9CI) (CA INDEX NAME)



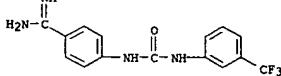
RN 548783-61-5 CAPLUS

CN Benzene carboximidamide, 3-[{[4-[(2,3,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl}amino]carbonyl]- (9CI) (CA INDEX NAME)



RN 548784-24-3 CAPLUS

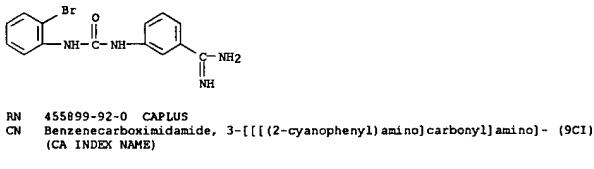
CN Benzene carboximidamide, 4-[{[3-(trifluoromethyl)phenyl]amino]carbonyl}amino]- (9CI) (CA INDEX NAME)



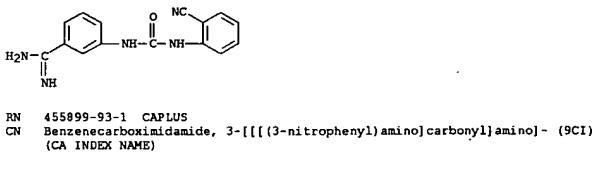
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:695938 CAPLUS
 DOCUMENT NUMBER: 137:216781
 TITLE: Derivatives of diphenylurea, diphenyloxalic acid diamide and their use as medicaments
 INVENTOR(S): Aschenbrenner, Andrea; Aulinger Fuchs, Katharina; Dornmeyer, Matthias; Garcia, Gabriel; Kramer, Bernd; Kraus, Juergen; Krauss, Rolf; Leban, Jochan; Pogoraro, Stefano; Saeb, Wael; Wolf, Kristina
 PATENT ASSIGNEE(S): 4SC A.-G., Germany
 SOURCE: PCT Int. Appl., 125 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070467	A1	20020912	WO 2002-EP2040	20020226
WO 2002070467	B1	20030116		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU	ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, RW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, US, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG	DE 10109204	A1 20020919 DE 2001-10109204 20010226
DE 10109204	A1 20020919 DE 2001-10109204 20010226	US 2001-20683	US 2001-20683	20011212
US 2002165236	A1 20021107	DE 2001-10109204 A	DE 2001-10109204 A	20010226
PRIORITY APPLN. INFO.:		US 2001-20683	US 2001-20683	A 20011212
OTHER SOURCE(S):	MARPAT 137:216781			
AB	Title compds. were prep'd. for use in the treatment of protozoal diseases and of diseases where the inhibition of intracellular protein-degrdn. pathways is of benefit. Thus, 3-NCC6H4NCO was treated with 4-O2NC6H4SO2C6H4NH2-4 to give 3-NCC6H4NHC6H4(SO2C6H4N02-4)-4 which was subjected to methanolysis and treated with NH3-MeOH to give 3-H2NC(:NH)NH2C6H4NHC6H4(SO2C6H4N02-4)-4 (I). I had IC50 <1 .mu.M against Plasmodium falciparum Dd2 and caused 75-90% inhibition of human 20S proteasome at 5 .mu.M.			
IT	455899-89-5P 455899-90-8P 455899-91-9P 455899-92-0P 455899-93-1P 455899-95-3P 455899-96-4P 455899-97-5P 455899-98-6P 455899-99-7P 455900-00-2P 455900-01-3P 455900-02-4P 455900-03-5P 455900-08-0P 455900-09-1P 455900-10-4P 455900-11-5P 455900-12-6P 455900-13-7P 455900-14-8P 455900-15-9P 455900-16-0P 455900-17-1P 455900-18-2P 455900-19-3P 455900-20-6P 455900-21-7P 455900-22-8P 455900-23-9P 455900-24-0P 455900-25-1P 455900-26-2P 455900-27-3P 455900-28-4P 455900-29-5P			

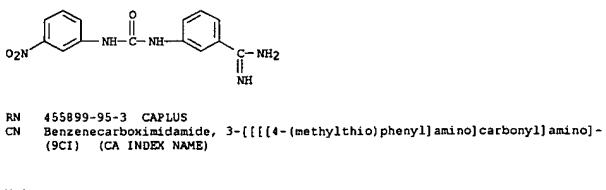
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



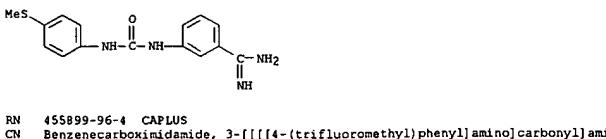
RN 455899-92-0 CAPLUS
 CN Benzenecarboximidamide, 3-[[[(2-cyanophenyl)amino]carbonyl]amino]- (9CI)
 (CA INDEX NAME)



RN 455899-93-1 CAPLUS
 CN Benzenecarboximidamide, 3-[[[(3-nitrophenyl)amino]carbonyl]amino]- (9CI)
 (CA INDEX NAME)



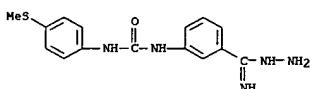
RN 455899-95-3 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-(methylthio)phenyl]amino]carbonyl]amino]- (9CI)
 (CA INDEX NAME)



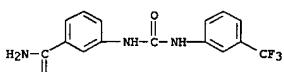
RN 455899-96-4 CAPLUS
 CN Benzenecarboximidamide, 3-[[[4-(trifluoromethyl)phenyl]amino]carbonyl]amino]- (9CI)
 (CA INDEX NAME)

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 455900-30-3P 455900-31-9P 455900-32-0P
455900-33-1P 455900-34-2P 455900-35-3P
455900-36-4P 455900-37-5P 455900-38-6P
455900-39-7P 455900-40-0P 455900-41-1P
455900-42-2P 455900-43-3P 455900-44-4P
455900-45-5P 455900-46-6P 455900-47-7P
455900-48-8P 455900-50-2P 455900-51-3P
455900-52-4P 455900-53-5P 455900-54-6P
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455900-62-6P 455900-63-7P 455900-64-8P
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455900-68-2P 455900-69-3P 455900-70-6P
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455900-87-5P 455900-88-6P 455900-89-7P
455900-90-0P 455900-91-1P 455900-94-4P
455900-97-9P 455901-01-6P 54B783-59-1P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (derivs. of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)

RN 455899-89-5 CAPLUS
 CN Benzenecarboximidic acid, 3-[[[(4-(methylthio)phenyl)amino]carbonyl]amino]-, hydrazide (9CI) (CA INDEX NAME)

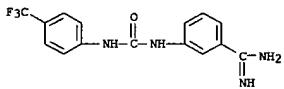


RN 455899-90-8 CAPLUS
 CN Benzenecarboximidamide, 3-[[[(3-(trifluoromethyl)phenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

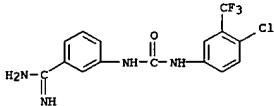


RN 455899-91-9 CAPLUS
 CN Benzenecarboximidamide, 3-[[[(2-bromophenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

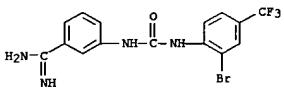
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



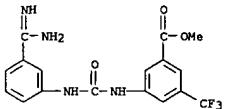
RN 455899-97-5 CAPLUS
 CN Benzenecarboximidamide, 3-[[[(4-chloro-3-(trifluoromethyl)phenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455899-98-6 CAPLUS
 CN Benzenecarboximidamide, 3-[[[(2-bromo-4-(trifluoromethyl)phenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



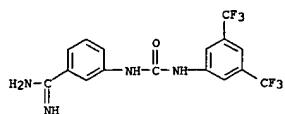
RN 455899-99-3 CAPLUS
 CN Benzoic acid, 3-[[[(3-(aminoiminomethyl)phenyl)amino]carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



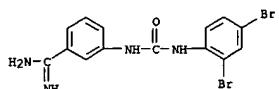
RN 455900-00-2 CAPLUS
 CN Benzenecarboximidamide, 3-[[[(3,5-bis(trifluoromethyl)phenyl)amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

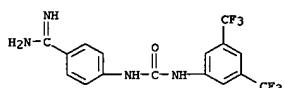
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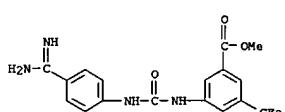
RN 455900-01-3 CAPLUS
CN Benzenecarboximidamide, 3-[(4-(2,4-dibromophenyl)amino)carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-02-4 CAPLUS
CN Benzenecarboximidamide, 4-[(3,5-bis(trifluoromethyl)phenyl)amino]carbonyl]amino- (9CI) (CA INDEX NAME)

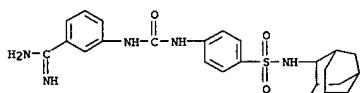


RN 455900-03-5 CAPLUS
CN Benzoic acid, 3-[(4-(aminiminomethyl)phenyl)amino]carbonyl]amino]- (trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

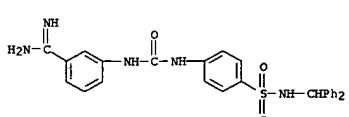


RN 455900-08-0 CAPLUS
CN Benzenecarboximidamide, 3-[(4-(2-bromo-4,6-difluorophenyl)amino)carbonyl]amino]- (9CI) (CA INDEX NAME)

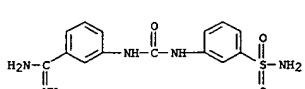
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



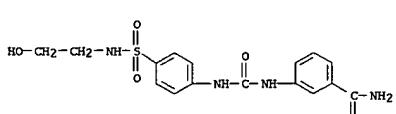
RN 455900-13-7 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((diphenylmethyl)amino)sulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-14-8 CAPLUS
CN Benzenecarboximidamide, 3-[(4-(aminosulfonyl)phenyl)amino]carbonyl]amino- (9CI) (CA INDEX NAME)



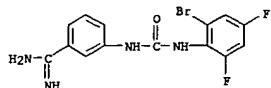
RN 455900-15-9 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((2-hydroxyethyl)amino)sulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)



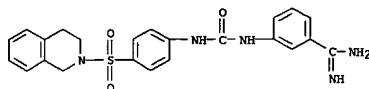
RN 455900-16-0 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((phenylamino)sulfonyl)phenyl)amino]carbonyl]amino- (9CI) (CA INDEX NAME)

Habte

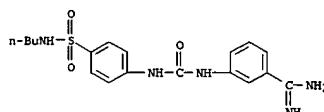
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



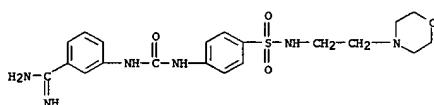
RN 455900-09-1 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((3,4-dihydro-2(1H)-isoquinolinyl)sulfonyl)phenyl)amino]carbonyl]amino- (9CI) (CA INDEX NAME)



RN 455900-10-4 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((butylamino)sulfonyl)phenyl)amino]carbonyl]amino- (9CI) (CA INDEX NAME)

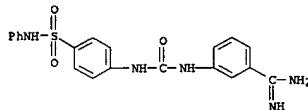


RN 455900-11-5 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((2-(4-morpholinyl)ethyl)amino)sulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

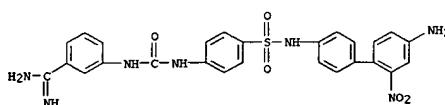


RN 455900-12-6 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((tricyclo[3.3.1.13,7]dec-2-yl)amino)sulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)

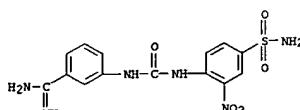
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



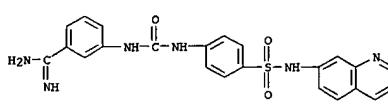
RN 455900-17-1 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((4'-amino-2'-nitro[1,1'-biphenyl]-4-yl)amino)sulfonyl)phenyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-18-2 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((aminosulfonyl)-2-nitrophenyl)amino)sulfonyl]amino]- (9CI) (CA INDEX NAME)

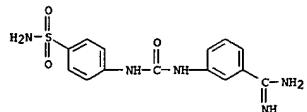


RN 455900-19-3 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((7-quinolinylamino)sulfonyl)phenyl)amino]- (9CI) (CA INDEX NAME)



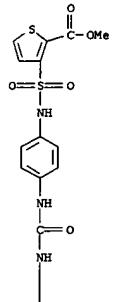
RN 455900-20-6 CAPLUS
CN Benzenecarboximidamide, 3-[(4-((aminosulfonyl)phenyl)amino)carbonyl]amino]- (9CI) (CA INDEX NAME)

LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

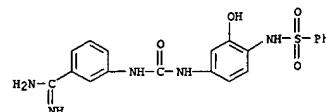


RN 455900-21-7 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-[[4-[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]sulfonyl]-, methyl ester (9CI) (CA INDEX NAME)

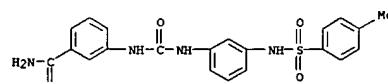
PAGE 1-A



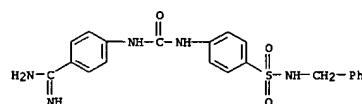
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-22-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-hydroxy-4-(phenylsulfonyl)amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



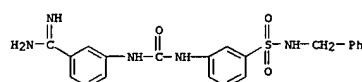
RN 455900-23-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[(4-methylphenyl)sulfonyl]amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



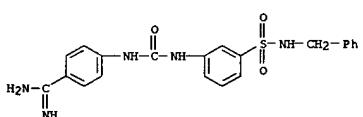
RN 455900-24-0 CAPLUS
CN Benzenecarboximidamide, 4-[[[[4-[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



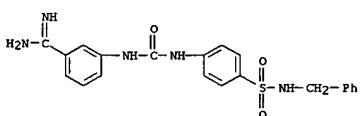
PN 455900-25-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



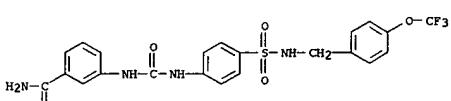
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
RN 455900-26-2 CAPLUS
CN Benzenecarboximidamide, 4-[[[[3-[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-27-3 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(phenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

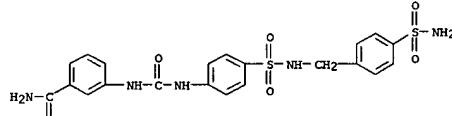


RN 455900-28-4 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

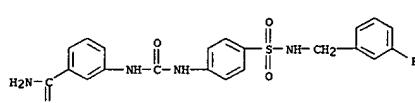


RN 455900-29-5 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

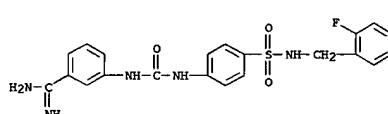
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



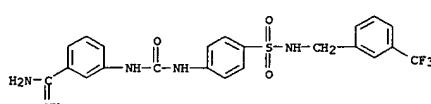
RN 455900-30-8 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(3-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-31-9 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(2-fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-32-0 CAPLUS
CN Benzenecarboximidamide, 3-[[[[4-[(3-trifluoromethyl)phenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

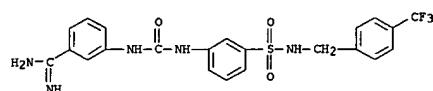


RN 455900-33-1 CAPLUS
CN Benzenecarboximidamide, 3-[[[[3-[(4-(trifluoromethyl)phenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

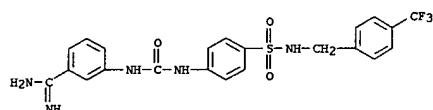
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L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

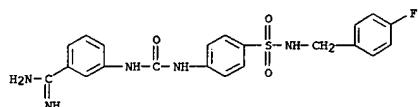
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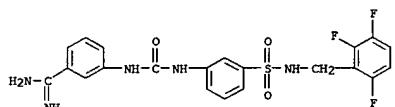
RN 455900-34-2 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[4-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)



RN 455900-35-3 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[4-fluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

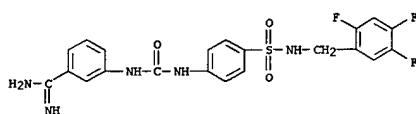


RN 455900-36-4 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[3-[[[2,3,6-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

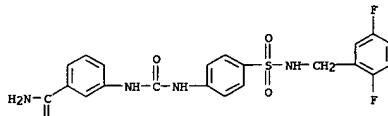


L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

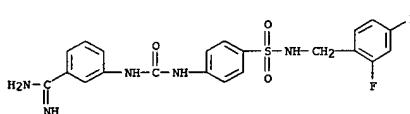
RN 455900-37-5 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[2,4,5-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)



RN 455900-38-6 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[2,5-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

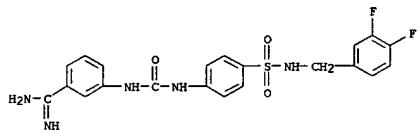


RN 455900-39-7 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[2,4-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

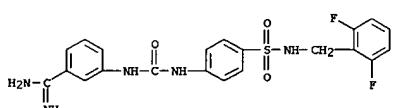


RN 455900-40-0 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[3,4-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

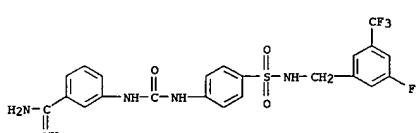
L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



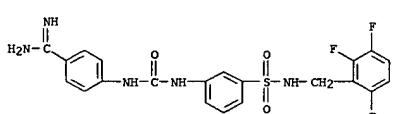
RN 455900-41-1 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[2,6-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)



RN 455900-42-2 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[3-fluoro-5-(trifluoromethyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

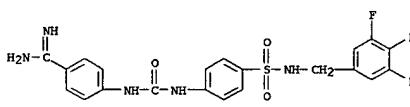


RN 455900-43-3 CAPLUS
 CN Benzenecarboximidamide, 4-[[[[3-[[[2,3,6-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

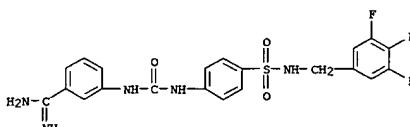


L5 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

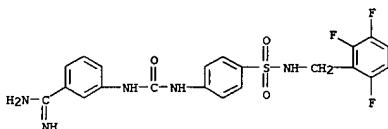
RN 455900-44-4 CAPLUS
 CN Benzenecarboximidamide, 4-[[[[4-[[[3,4,5-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)



RN 455900-45-5 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[3,4,5-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)



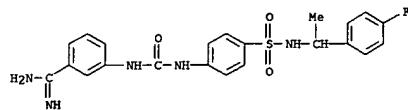
RN 455900-46-6 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[2,3,6-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)



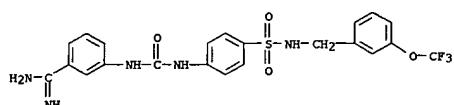
RN 455900-47-7 CAPLUS
 CN Benzenecarboximidamide, 3-[[[[4-[[[1-(4-fluorophenyl)ethyl]amino]sulfonyl]phenyl]amino]carbonyl]amino] - (9CI) (CA INDEX NAME)

LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN

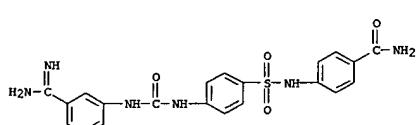
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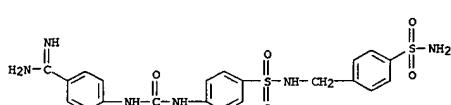
RN 455900-48-8 CAPLUS
CN Benzenecarboximidamide, 3-[{[4-[[[3-(trifluoromethoxy)phenyl]methyl]amino]sulfonyl]phenyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



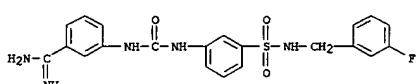
RN 455900-50-2 CAPLUS
CN Benzanide, 4-[{[4-[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl}sulfonyl]amino- (9CI) (CA INDEX NAME)



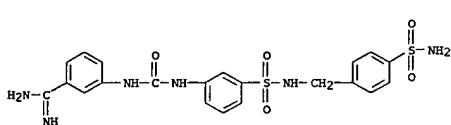
RN 455900-51-3 CAPLUS
CN Benzenecarboximidamide, 4-[{[4-[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



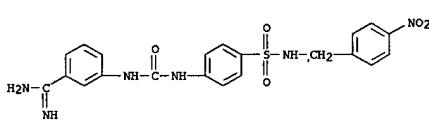
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



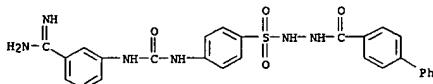
RN 455900-58-0 CAPLUS
CN Benzenecarboximidamide, 3-[{[3-[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-59-1 CAPLUS
CN Benzenecarboximidamide, 3-[{[4-[[[4-nitrophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



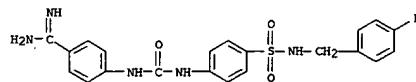
RN 455900-60-4 CAPLUS
CN [1,1'-Biphenyl]-4-carboxylic acid, 2-[{[4-[[[3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl}sulfonyl]hydrazide (9CI) (CA INDEX NAME)



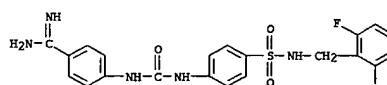
RN 455900-61-5 CAPLUS
CN Benzenecarboximidamide, 3-[{[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

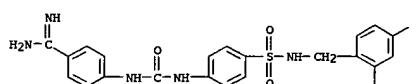
RN 455900-52-4 CAPLUS
CN Benzenecarboximidamide, 4-[{[4-[[[4-fluorophenyl]methyl]amino]sulfonyl]phenyl]amino]- (9CI) (CA INDEX NAME)



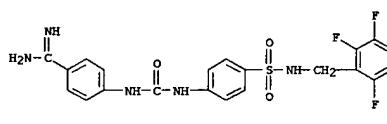
RN 455900-53-5 CAPLUS
CN Benzenecarboximidamide, 4-[{[4-[[[2,6-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-54-6 CAPLUS
CN Benzenecarboximidamide, 4-[{[4-[[[2,4-difluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

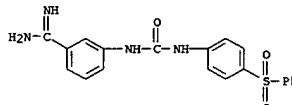


RN 455900-55-7 CAPLUS
CN Benzenecarboximidamide, 4-[{[4-[[[2,3,6-trifluorophenyl]methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

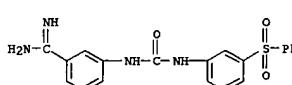


RN 455900-57-9 CAPLUS
CN Benzenecarboximidamide, 3-[{[3-[[[3-(fluorophenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

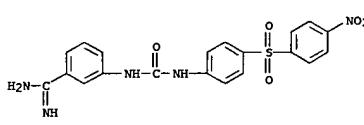
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



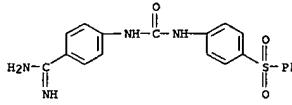
RN 455900-62-6 CAPLUS
CN Benzenecarboximidamide, 3-[{[3-[[[3-(phenylsulfonyl)phenyl]amino]carbonyl]amino]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)



RN 455900-63-7 CAPLUS
CN Benzenecarboximidamide, 3-[{[4-[(4-nitrophenyl)sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

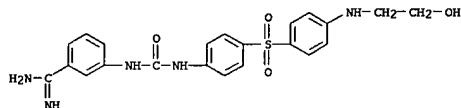


RN 455900-64-8 CAPLUS
CN Benzenecarboximidamide, 4-[{[4-(phenylsulfonyl)phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

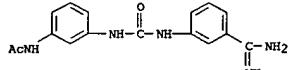


RN 455900-65-9 CAPLUS
CN Benzenecarboximidamide, 3-[{[4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]carbonyl]amino]- (9CI) (CA INDEX NAME)

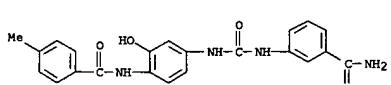
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



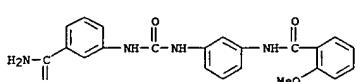
RN 455900-66-0 CAPLUS
 CN Acetamide, N-[3-[(3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl - (9CI) (CA INDEX NAME)



RN 455900-67-1 CAPLUS
 CN Benzamide, N-[4-[(3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-2-hydroxyphenyl-4-methyl- (9CI) (CA INDEX NAME)

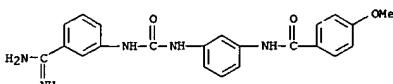


RN 455900-68-2 CAPLUS
 CN Benzamide, N-[3-[(3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl -2-methoxy- (9CI) (CA INDEX NAME)

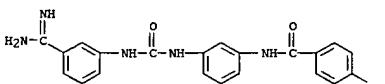


RN 455900-69-3 CAPLUS
 CN Benzamide, N-[3-[(3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl -4-methoxy- (9CI) (CA INDEX NAME)

LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

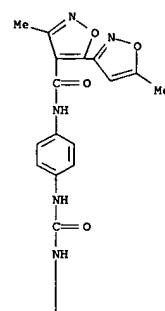


RN 455900-70-6 CAPLUS
 CN [1,1'-Biphenyl]-4-carboxamide, N-[3-[(3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl - (9CI) (CA INDEX NAME)



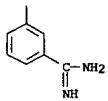
RN 455900-71-7 CAPLUS
 CN [3,5'-Biisoxazole]-4'-carboxamide, N-[4-[(3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]phenyl-3',5-dimethyl- (9CI) (CA INDEX NAME)

PAGE 1-A

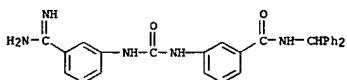


LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

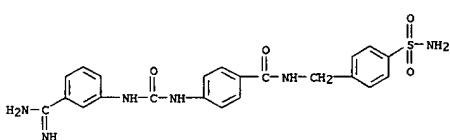
PAGE 2-A



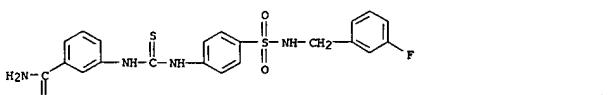
RN 455900-72-8 CAPLUS
 CN Benzamide, 3-[(3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-(diphenylmethyl)- (9CI) (CA INDEX NAME)



RN 455900-73-9 CAPLUS
 CN Benzamide, 4-[(3-(aminoiminomethyl)phenyl]amino]carbonyl]amino]-N-[(4-amino sulfonyl)phenyl]methyl- (9CI) (CA INDEX NAME)

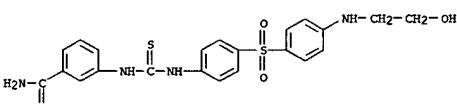


RN 455900-74-0 CAPLUS
 CN Benzenecarboximidamide, 3-[(4-[(3-fluorophenyl)methyl]amino)sulfonyl]phenyl]amino]thioxomethyl- (9CI) (CA INDEX NAME)

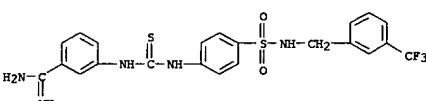


RN 455900-76-2 CAPLUS
 CN Benzenecarboximidamide, 3-[(4-[(2-hydroxyethyl)amino]phenyl]sulfonyl]phenyl]amino]thioxomethyl- (9CI) (CA INDEX NAME)

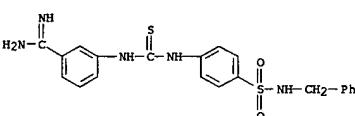
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



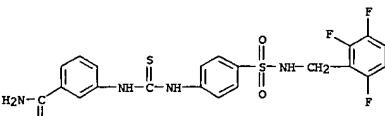
RN 455900-77-3 CAPLUS
 CN Benzenecarboximidamide, 3-[(thioxo[4-[(3-(trifluoromethyl)phenyl)methyl]amino]sulfonyl]phenyl]amino] - (9CI) (CA INDEX NAME)



RN 455900-78-4 CAPLUS
 CN Benzenecarboximidamide, 3-[(4-[(phenylmethyl)amino]sulfonyl]phenyl]amino]thioxomethyl- (9CI) (CA INDEX NAME)



RN 455900-79-5 CAPLUS
 CN Benzenecarboximidamide, 3-[(thioxo[4-[(2,6-trifluorophenyl)methyl]amino]sulfonyl]phenyl]amino] - (9CI) (CA INDEX NAME)

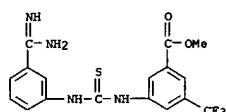


RN 455900-80-8 CAPLUS
 CN Benzoic acid, 3-[(3-(aminoiminomethyl)phenyl]amino]thioxomethyl]amino]-5-

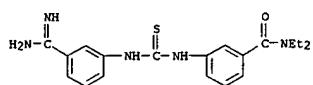
10/09/2003

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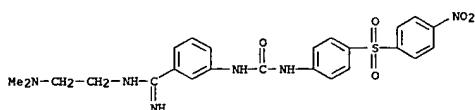
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 (trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)



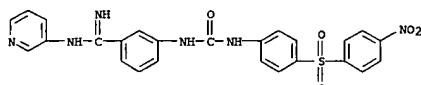
RN 455900-81-9 CAPLUS
 CN Benzamide, 3-[{[3-(aminoiminomethyl)phenyl]amino}thiomethyl]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



RN 455900-82-0 CAPLUS
 CN Benzenecarboximidamide, N-[2-(dimethylamino)ethyl]-3-[{[4-(4-nitrophenyl)sulfonyl]phenyl]amino}carbonyl]amino- (9CI) (CA INDEX NAME)

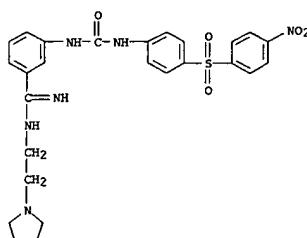


RN 455900-83-1 CAPLUS
 CN Benzenecarboximidamide, 3-[{[4-(4-nitrophenyl)sulfonyl]phenyl]amino}carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

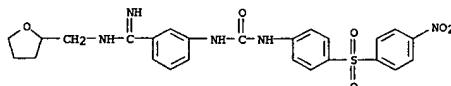


RN 455900-84-2 CAPLUS

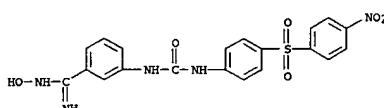
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 Benzenecarboximidamide, 3-[{[4-(4-nitrophenyl)sulfonyl]phenyl]amino}carbonyl]amino]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 455900-85-3 CAPLUS
 CN Benzenecarboximidamide, 3-[{[4-(4-nitrophenyl)sulfonyl]phenyl]amino}carbonyl]amino]-N-[{tetrahydro-2-furanyl}methyl]- (9CI) (CA INDEX NAME)

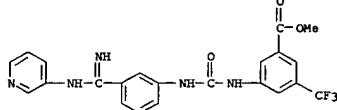


RN 455900-86-4 CAPLUS
 CN Benzenecarboximidamide, N-hydroxy-3-[{[4-(4-nitrophenyl)sulfonyl]phenyl]amino}carbonyl]amino- (9CI) (CA INDEX NAME)

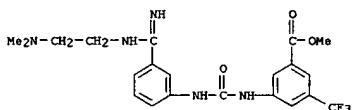


RN 455900-87-5 CAPLUS
 CN Benzoic acid, 3-[{[3-[imino(3-pyridinylamino)methyl]phenyl]amino}carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

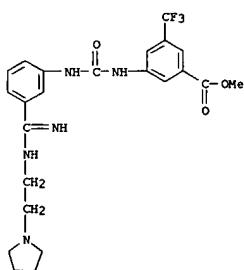
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-88-6 CAPLUS
 CN Benzoic acid, 3-[{[3-{[(2-dimethylamino)ethyl]amino}iminomethyl]phenyl]amino}carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

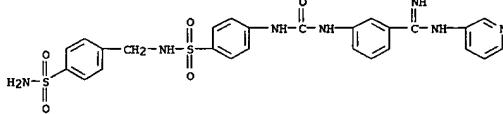


RN 455900-89-7 CAPLUS
 CN Benzoic acid, 3-[{[3-[imino{(2-pyrrolidinyl)ethyl}amino]methyl]phenyl]amino}carbonyl]amino]-5-(trifluoromethyl)-, methyl ester (9CI) (CA INDEX NAME)

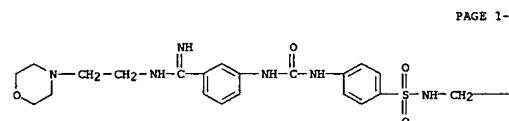


RN 455900-90-0 CAPLUS
 CN Benzenecarboximidamide, 3-[{[4-[(4-aminosulfonyl)phenyl]methyl]amino}sulfonyl]phenyl]amino]carbonyl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

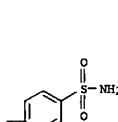
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



RN 455900-91-1 CAPLUS
 CN Benzenecarboximidamide, 3-[{[4-[(4-aminosulfonyl)phenyl]methyl]amino}sulfonyl]phenyl]amino]carbonyl]amino]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

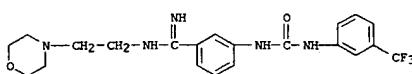


PAGE 1-A

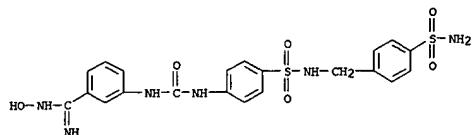


PAGE 1-B

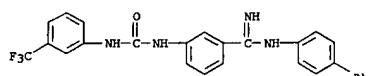
RN 455900-94-4 CAPLUS
 CN Benzenecarboximidamide, N-[2-(4-morpholinyl)ethyl]-3-[{[3-(trifluoromethyl)phenyl]amino}carbonyl]amino- (9CI) (CA INDEX NAME)



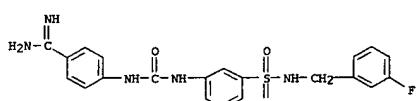
RN 455900-97-7 CAPLUS
 CN Benzenecarboximidamide, 3-[{[4-[(4-aminosulfonyl)phenyl]methyl]amino}sulfonyl]phenyl]amino]carbonyl]amino]-N-hydroxy- (9CI) (CA INDEX NAME)



RN 455901-01-6 CAPLUS
CN Benzene carboximidamide, N-[1,1'-biphenyl]-4-yl-3-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)

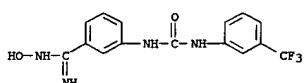


RN 548783-59-1 CAPLUS
CN Benzene carboximidamide, 4-[[[3-((3-fluorophenyl)methyl)amino]sulfonyl]phenyl]amino- (9CI) (CA INDEX NAME)

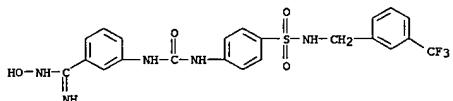


IT 455901-19-6P 548783-59-1P 548783-60-4P
548783-61-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(derivs. of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)
RN 455901-19-6 CAPLUS
CN Benzene carboximidamide, 3-[[[4-[(diphenylmethyl)amino]sulfonyl]phenyl]amino]carbonyl]amino-N-hydroxy- (9CI) (CA INDEX NAME)

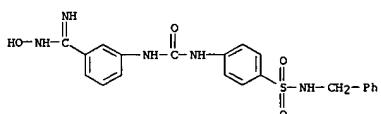
LS ANSWER 2 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
455900-98-8P 455900-99-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(derivs. of diphenylurea, diphenyloxalic acid diamide and diphenylsulfuric acid diamide and their use as medicaments)
RN 455900-93-3 CAPLUS
CN Benzene carboximidamide, N-hydroxy-3-[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



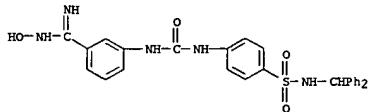
RN 455900-95-5 CAPLUS
CN Benzene carboximidamide, N-hydroxy-3-[[[4-((3-(trifluoromethyl)phenyl)methyl)amino]sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



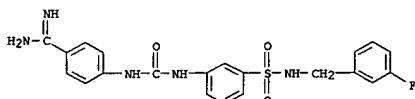
RN 455900-96-6 CAPLUS
CN Benzene carboximidamide, N-hydroxy-3-[[[4-((phenylmethyl)amino)sulfonyl]phenyl]amino]carbonyl]amino- (9CI) (CA INDEX NAME)



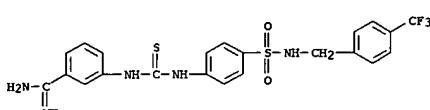
RN 455900-98-8 CAPLUS
CN Benzamide, N-[(3-[[[4-(aminosulfonyl)phenyl]methyl]amino]sulfonyl)phenyl]amino]carbonyl]amino]iminoethyl]- (9CI) (CA INDEX NAME)



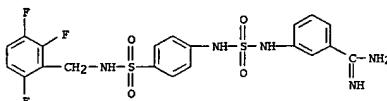
RN 548783-59-1 CAPLUS
CN Benzene carboximidamide, 4-[[[3-((3-fluorophenyl)methyl)amino]carbonyl]amino]phenyl]sulfonyl]amino- (9CI) (CA INDEX NAME)



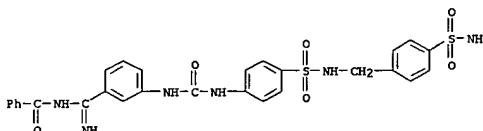
RN 548783-60-4 CAPLUS
CN Benzene carboximidamide, 3-[[[3-((3-fluorophenyl)methyl)amino]sulfonyl]phenyl]amino]phenyl]sulfonyl]amino- (9CI) (CA INDEX NAME)



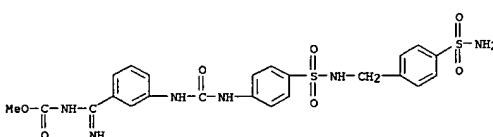
RN 548783-61-5 CAPLUS
CN Benzene carboximidamide, 3-[[[4-((2,3,6-trifluorophenyl)methyl)amino]sulfonyl]phenyl]amino]phenyl]sulfonyl]amino- (9CI) (CA INDEX NAME)



IT 455900-93-3P 455900-95-5P 455900-96-6P



RN 455900-99-9 CAPLUS
CN Carbamic acid, [(3-[[[4-((4-aminosulfonyl)phenyl)methyl]amino]sulfonyl]phenyl]amino]carbonyl]amino]iminoethyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

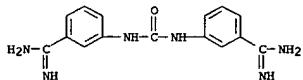
L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1984:96216 CAPLUS
 DOCUMENT NUMBER: 100:96216
 TITLE: Chemotherapy of Babesia divergens in the gerbil, *Meriones unguiculatus*
 AUTHOR(S): Gray, J. S.
 CORPORATE SOURCE: Dep. Agric. Zool. Genet., Univ. Coll., Dublin, Ire.
 SOURCE: Research in Veterinary Science (1983), 35(3), 318-24
 CODEN: RVTSA9; ISSN: 0034-5288
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Surprisingly low doses of 4 babesicides were effective against Babesia divergens in gerbils, and this was due to the involvement of host resistance, which may be of a nonspecific nature. The efficacy of the drugs relative to each other was the same in gerbils as in cattle and this host-parasite system is evidently more suitable for the screening of babesicides than are other rodent babesia systems. The prophylactic dose of imidocarb dipropionate [56750-06-6] required to provide a similar degree of protection in gerbils as in cattle was much higher and was very close to toxic levels. Challenge infections resulted in sterile immunity. Acute babesiosis in gerbils could be cured with all 4 drugs if parasitemias were below approx. 45% and packed cell vols. above 10% at treatment.

IT 3671-72-5
 RL: BIOL (Biological study)
 (Babesia divergens infection response to, in gerbils, cattle in relation to)

RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O

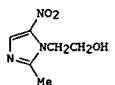


CM 2

CRN 107-36-8
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982:210476 CAPLUS
 DOCUMENT NUMBER: 96:210476
 TITLE: Mutagenic activity of some antiprotozoal drugs in the *Salmonella typhimurium* test by Ames
 AUTHOR(S): Jahn, F.
 CORPORATE SOURCE: Inst. Pharmakol., Veterinaermed. Univ. Wien, Vienna, Austria
 SOURCE: Wiener Tierärztliche Monatsschrift (1982), 69(1), 19-21
 CODEN: WTMQA3; ISSN: 0043-535X
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 GI



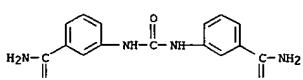
AB Of 17 antiprotozoal drugs tested for mutagenicity in a *Salmonella typhimurium* test only 4 drugs were mutagenic. These 4 drugs were arom. or heterocyclic compds. with 1 or 2 nitro groups as substituents as in metronidazole (I) [443-48-1]. In addn. to their mutagenic potential these drugs were previously shown to be carcinogenic and alter spermatogenesis in exptl. animals.

IT 3671-72-5
 RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
 (mutagenicity of, protozoacide in relation to)

RN 3671-72-5 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 3459-96-9
 CMF C15 H16 N6 O



CM 2

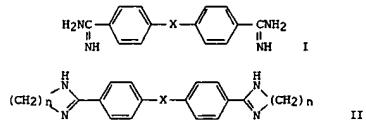
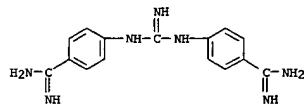
CRN 107-36-8
 CMF C2 H6 O4 S

L5 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L5 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 HO-CH₂-CH₂-SO₃H

L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982:135352 CAPLUS
 DOCUMENT NUMBER: 96:135352
 TITLE: Leishmania donovani, Plasmodium berghei, Trypanosoma rhodesiense: antiprotozoal effects of some amidine types
 AUTHOR(S): Steck, Edgar A.; Kinnamon, Kenneth E.; Rane, Dora S.;
 Hanson, William L.
 CORPORATE SOURCE: Div. Exp. Ther., Walter Reed Army Inst. Res., Washington, DC, 20012, USA
 SOURCE: Experimental Parasitology (1981), 52(3), 404-13
 CODEN: EXPAAA; ISSN: 0014-4894
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

L5 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 80498-63-1 CAPLUS
 CN Benzenecarboximidamide, 4,4'-(carbonimidoyldiimino)bis- (9CI) (CA INDEX NAME)



AB A series of 39 diamidines and cyclic congeners I [X = O, O(CH₂)₅, S(CH₂)₅, furan, etc.; n = 2 or 3] was investigated for antiprotozoal effects in std. animal models. The test systems employed were the following: L. donovani in hamsters, P. berghei (trophozoite) in mice, and T. rhodesiense in mice. None of the compds. exhibited appreciable antimalaria or anti-leishmanial activity. One compd., WR 189,385 [2,5-bis(4-guanylphenyl)furan] [73819-26-8] had antitrypanosomal activity in the same range as pentamidine, and was deemed worthy of further study.

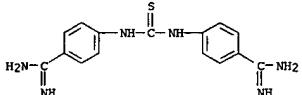
IT 80498-62-0 80498-63-1

RL: PRP (Properties)

(antiprotozoal effect of)

RN 80498-62-0 CAPLUS

CN Benzenecarboximidamide, 4,4'-(carbonothioyldiimino)bis- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982:82491 CAPLUS
 DOCUMENT NUMBER: 96:82491
 TITLE: Transformation in vitro of Leishmania mexicana amastigotes to promastigotes: nutritional requirements and the effect of drugs
 AUTHOR(S): Hart, D. T.; Vickerman, K.; Coombs, G. H.
 CORPORATE SOURCE: Dep. Zool., Univ. Glasgow, Glasgow, G12 8QQ, UK
 SOURCE: Parasitology (1981), 83(3), 529-41
 CODEN: PARAEE; ISSN: 0031-1820
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB An in vitro system is described in which >85% of a population of L. mexicana mexicana amastigotes transforms to promastigotes within 48 h. The differentiation process involves 3 morphol. and biochemical distinct intermediates, including a division stage. Cell division is necessary for complete development to promastigotes. Fetal calf serum (FCS) is an essential component of the medium for high percentage transformation to be achieved. One of the important components of the FCS has been identified as nonesterified fatty acids, and these support a relatively high percentage of amastigotes through transformation in the absence of FCS, possibly due to their use as energy substrates. Only small nos. of amastigotes transform to promastigotes if glucose or amino acids are the only energy substrates available. Transformation is inhibited by a no. of metabolic inhibitors including anti-leishmanial and other antiprotozoal drugs. The stage at which inhibition is apparent varies with the inhibitor. The system described for the transformation in vitro of L. mexicana mexicana amastigotes to promastigotes may be the best method available at present for studying the metab. and drug sensitivity of amastigotes free from possible interference by host macrophage components.

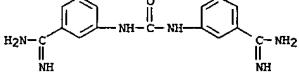
IT 3459-96-9

RL: BIOL (Biological study)

(Leishmania mexicana differentiation in response to)

RN 3459-96-9 CAPLUS

CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis- (9CI) (CA INDEX NAME)



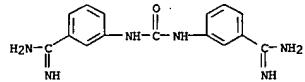
L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1982:73329 CAPLUS
 DOCUMENT NUMBER: 56:73329
 ORIGINAL REFERENCE NO.: 56:14174c-h
 TITLE: Diamidines
 INVENTOR(S): Berg, Samuel Sidney
 PATENT ASSIGNEE(S): May & Baker Ltd.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 888965		19581215	GB	19590824
		1964	US	

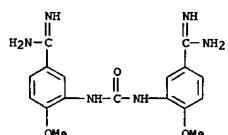
AB Diamidines useful against protozoan diseases were prep'd. m-H2NC6H4CN (50 g.) in anhyd. pyridine was treated with Cl₂CO (15 cc.) in anhyd. toluene (100 cc.) 10 min. with stirring. The soln. was heated 0.5 hr. on steam, cooled, added to 2 l. H₂O, the ppt. filtered off, and washed to give N,N'-bis(m-cyanophenyl)urea (I), m. 205-6.degree. (MeOH). I (42 g.) in anhyd. CHCl₃ (70 cc.) was satd. with anhyd. HCl at 0-5.degree. set aside 1 week, filtered, and dried to give 72 g. imino ether HCl salt of I. This product was added to satd. anhyd. ethanolic NH₃ (720 cc.), the suspension heated at 55-60.degree. 6 hrs., cooled, and filtered to give 3,3'-diaminodiphenylurea diisethionate (III), m. 296.degree. (decompn.). The iminoether HCl salt of I (90 g.) was dissolved in icewater (900 cc.) and the soln. basified at 0-10.degree. with 2N NaOH in the presence of 500 cc. CHCl₃. The CHCl₃ ext. was sep'd., washed with satd. aq. NaCl, dried, concd. in vacuo to give a gum (79.2 g.), which was dissolved in 792 cc. EtOH. HOCH₂CH₂SO₂NH₄ (60 g.) in 120 cc. H₂O was added, the mixt. heated to 60.degree. 8 hrs., cooled, and filtered to give 3,3'-diaminodiphenylurea diisethionate (III), m. 209.degree., decompd. at 256.degree. (MeOH-acetone). The method used to produce I was employed (using m-aminobenzamidine monohydrochloride (IV) to give II-1.H₂O, decompd. at 286.degree.. IV (3.45 g.) and 1.4 g. 3,5-dimethylpyrazole-1-carboxamide (prep'd. according to Scott, et al., CA 53, 3780g) in 7 cc. 1.5H₂O, de-ethoxyethanol was refluxed 5 hrs., cooled, and filtered to give II. 1.5H₂O, decompd. at 286.degree.. The method used to produce I was employed (using 3-amino-4-methoxybenzonitrile, prep'd. according to Blanksma and Petri, CA 42, 148g) to give N,N'-bis(3-cyano-6-methoxyphenyl)urea, m. 315-16.degree., subsequently converted to 3,3'-diaminido-6,6'-dimethoxydiphenylurea dihydrochloride-H₂O, decompd. at 285-6.degree.. Reduced Fe (25 g.) was slowly added to a boiling soln. of 25 g. 4-chloro-3-nitrobenzonitrile (prep'd. according to Le Fevre and Turner, CA 21, 2681) in 380 cc. 50% HOAc. The mixt. was heated by steam 15 min., filtered hot, extd. with boiling 50% HOAc, the exts. added to H₂O, and cooled to give 3-amino-4-chlorobenzonitrile (V), m. 93-4.degree.. V was treated by the method used to produce I to give N,N'-bis-(6-chloro-3-cyano phenyl)urea, decompd. at 330.degree., converted to 3,3'-diaminido-6,6'-dichlorodiphenylurea-2HCl·H₂O, decompd. at 280-2.degree.. The iminoether HCl salt of I (20 g.) was similarly treated as for III except that MeNH₂·HCl (6.6 g.) was added in place of ammonium isethionate to give 3,3'-bis(N-methylamino)diphenylurea-2HCl·1.5H₂O, decompd. from 210.degree.. m. 273-4.degree.. Similarly prep'd. were 3,3'-bis(N-ethylamino)diphenylurea-2HCl·H₂O, decompd. at 302-5.degree.. and 3,3'-bis(N,N-dimethylamino)diphenylurea dihydrobromide hydrate, decompd. at 300-2.degree..

IT 53104-79-3, Carbanilide, 3,3'-diamidino-, dihydrochloride
 93726-99-9, Carbanilide, 5,5'-diamidino-2,2'-dimethoxy-

L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 93899-67-3, Carbanilide, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride 94023-77-5, Carbanilide, 3,3'-bis(methylamidino)-, dihydrochloride 94065-38-0, Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-diamidinocarbanilide 97765-31-6, Carbanilide, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide (prepn. of)
 RN 53104-79-3 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis-, dihydrochloride (9CI) (CA INDEX NAME)

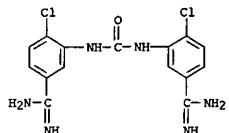


●2 HCl
 RN 93726-99-9 CAPLUS
 CN Carbanilide, 5,5'-diamidino-2,2'-dimethoxy- (7CI) (CA INDEX NAME)



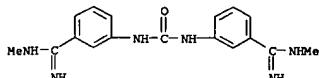
RN 93899-67-3 CAPLUS
 CN Carbanilide, 5,5'-diamidino-2,2'-dichloro-, dihydrochloride (7CI) (CA INDEX NAME)

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●2 HCl

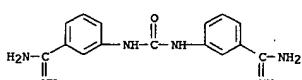
RN 94023-77-5 CAPLUS
 CN Benzenecarboximidamide, 3,3'-(carbonyldiimino)bis[N-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 94065-38-0 CAPLUS
 CN Ethanesulfonic acid, 2-hydroxy-, compd. with 3,3'-(carbonyldiimino)bis[benzenecarboximidamide] (1:1) (9CI) (CA INDEX NAME)

CM 1
 CRN 3459-96-9
 CMF C15 H16 N6 O

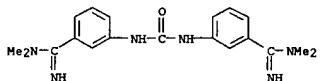


CM 2
 CRN 107-36-8

L5 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CMF C2 H6 O4 S

HO-CH₂-CH₂-SO₃H

RN 97765-31-6 CAPLUS
 CN Carbanilide, 3,3'-bis(N,N-dimethylamidino)-, dihydrobromide (7CI) (CA INDEX NAME)



●2 HBr